```
C:\Documents and Settings\brobinson1\My Documents\stnweb\Queries\4545k.str
                             [cH2]1-2
chain nodes :
```

```
7 8 9 10 13 14
                              16
                                   18
                                        20
                                             21
                                                  22
                                                      29
                                                           33
ring nodes :
                     6 23 24 25
                                       26 27
    1 2 3 4 5
                                                   28
ring/chain nodes :
    17 35
chain bonds :
    6-7 \quad 7-8 \quad 8-13 \quad 9-10 \quad 13-14 \quad 14-16 \quad 14-17 \quad 17-18 \quad 18-20 \quad 20-21 \quad 21-22
    22-25
ring/chain bonds :
    17-35
ring bonds :
    1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 23-24 \quad 23-28 \quad 24-25 \quad 25-26 \quad 26-27 \quad 27-28
exact/norm bonds :
    8-13 9-10 13-14 14-16 14-17 17-18 17-35
                                                            18-20
exact bonds :
    6-7 7-8 21-22 22-25
normalized bonds :
    1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 23-24 \quad 23-28 \quad 24-25 \quad 25-26 \quad 26-27 \quad 27-28
isolated ring systems :
    containing 1 : 23 :
G1:CH2,[*1]
G2:H,CH3,Et
G3:X,CF3,CCl3,CBr3,CN
Match level:
                                 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS
                                                                                     9:CLASS
    1:Atom 2:Atom 3:Atom
    10:CLASS 13:CLASS 14:CLASS 16:CLASS
                                                     17:CLASS 18:CLASS 20:CLASS
    21:CLASS 22:CLASS 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:CLASS 30:Atom 33:CLASS 34:Atom 35:CLASS
```

```
=> d his
     (FILE 'HOME' ENTERED AT 12:21:47 ON 11 JUN 2007)
    FILE 'REGISTRY' ENTERED AT 12:21:55 ON 11 JUN 2007
              STRUCTURE UPLOADED
L1
L2
             1 S L1
            519 S L1 FULL
L3
   FILE 'HCAPLUS' ENTERED AT 12:27:12 ON 11 JUN 2007
            94 S L3
L4
             2 S L4 AND MATSUOKA, H?/AU
L5
L6 .
            92 S L4 NOT L5
             1 S L6 AND SATO, T?/AU
L7
             91 S L6 NOT L7
^{\text{L8}}
            0 S L8 AND TAKAHASHI, T?/AU
L9
             1 S L8 AND KIM, D?/AU
L10
             90 S L8 NOT L10
L11
             0 S L11 AND JUNG, K?/AU
L12
L13
             0 S L11 AND PARK, C?/AU
     FILE 'CAOLD' ENTERED AT 12:30:36 ON 11 JUN 2007
=> s 13
             0 L3
L14
=>
```

Connecting via Winsock to STN

```
Welcome to STN International! Enter x:x
```

LOGINID:ssspta1612bxr

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

```
Welcome to STN International
                 Web Page for STN Seminar Schedule - N. America
NEWS
                 CHEMLIST enhanced with New Zealand Inventory of Chemicals
         JAN 08
NEWS
                 CA/CAplus Company Name Thesaurus enhanced and reloaded
         JAN 16
NEWS
                 IPC version 2007.01 thesaurus available on STN
         JAN 16
NEWS
                 WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
         JAN 16
NEWS
                 CA/CAplus updated with revised CAS roles
NEWS
         JAN 22
                 CA/CAplus enhanced with patent applications from India
         JAN 22
NEWS
     7
                 PHAR reloaded with new search and display fields
         JAN 29
NEWS
     8
                 CAS Registry Number crossover limit increased to 300,000 in
         JAN 29
NEWS
                 multiple databases
                 PATDPASPC enhanced with Drug Approval numbers
NEWS 10
         FEB 15
NEWS 11
         FEB 15
                 RUSSIAPAT enhanced with pre-1994 records
                 KOREAPAT enhanced with IPC 8 features and functionality
NEWS 12
         FEB 23
                 MEDLINE reloaded with enhancements
NEWS 13
         FEB 26
                 EMBASE enhanced with Clinical Trial Number field
NEWS 14
         FEB 26
                 TOXCENTER enhanced with reloaded MEDLINE
NEWS 15
         FEB 26
NEWS 16
         FEB 26
                 IFICDB/IFIPAT/IFIUDB reloaded with enhancements
                CAS Registry Number crossover limit increased from 10,000
         FEB 26
NEWS 17
                 to 300,000 in multiple databases
                 WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 18
         MAR 15
NEWS 19
         MAR 16
                 CASREACT coverage extended
NEWS 20
         MAR 20
                 MARPAT now updated daily
NEWS 21
         MAR 22
                 LWPI reloaded
NEWS 22
         MAR 30
                 RDISCLOSURE reloaded with enhancements
NEWS 23
        APR 02
                 JICST-EPLUS removed from database clusters and STN
NEWS 24
        APR 30
                 GENBANK reloaded and enhanced with Genome Project ID field
NEWS 25
         APR 30
                 CHEMCATS enhanced with 1.2 million new records
NEWS 26
        APR 30
                 CA/CAplus enhanced with 1870-1889 U.S. patent records
NEWS 27
         APR 30
                 INPADOC replaced by INPADOCDB on STN
NEWS 28
         MAY 01
                 New CAS web site launched
NEWS 29
         MAY 08
                 CA/CAplus Indian patent publication number format defined
NEWS 30
         MAY 14
                 RDISCLOSURE on STN Easy enhanced with new search and display
NEWS 31
         MAY 21
                BIOSIS reloaded and enhanced with archival data
NEWS 32
         MAY 21
                 TOXCENTER enhanced with BIOSIS reload
                 CA/CAplus enhanced with additional kind codes for German
NEWS 33
         MAY 21
                 CA/CAplus enhanced with IPC reclassification in Japanese
NEWS 34
         MAY 22
                 patents
```

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

STN Operating Hours Plus Help Desk Availability NEWS HOURS

NEWS LOGIN Welcome Banner and News Items

For general information regarding STN implementation of IPC 8 NEWS IPC8

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 12:21:47 ON 11 JUN 2007

=> file reg

SINCE FILE TOTAL COST IN U.S. DOLLARS ENTRY SESSION 0.21 0.21 FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 12:21:55 ON 11 JUN 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

10 JUN 2007 HIGHEST RN 936909-28-3 STRUCTURE FILE UPDATES: DICTIONARY FILE UPDATES: 10 JUN 2007 HIGHEST RN 936909-28-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

Uploading C:\Documents and Settings\brobinson1\My Documents\stnweb\Queries\4545k.str

STRUCTURE UPLOADED L1

=> d l1

L1 HAS NO ANSWERS

L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 11SAMPLE SEARCH INITIATED 12:26:52 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 35506 TO ITERATE

5.6% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) 1 ANSWERS

SEARCH TIME: 00.00.01

ONLINE **COMPLETE** FULL FILE PROJECTIONS: **COMPLETE** BATCH PROJECTED ITERATIONS: 698859 TO 721381 103 TO 607 PROJECTED ANSWERS:

1 SEA SSS SAM L1 T.2

=> s l1 full THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 171.65 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y) /N or END:y FULL SEARCH INITIATED 12:26:57 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED -710231 TO ITERATE

710231 ITERATIONS 100.0% PROCESSED SEARCH TIME: 00.00.10

519 ANSWERS

519 SEA SSS FUL L1 L3

=> file hcaplus COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 175.70 175.91

FILE 'HCAPLUS' ENTERED AT 12:27:12 ON 11 JUN 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 11 Jun 2007 VOL 146 ISS 25 FILE LAST UPDATED: 10 Jun 2007 (20070610/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4 94 L3

=> s 14 and matsuoka, h?/au 2553 MATSUOKA, H?/AU

L5 2 L4 AND MATSUOKA, H?/AU

 \Rightarrow d 15, ibib abs hitstr, 1-2

L5 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2002:157810 HCAPLUS

DOCUMENT NUMBER:

136:217049

TITLE:

Preparation of cyclic peptide derivatives as motilin

receptor antagonists

INVENTOR(S):

Matsuoka, Hiroharu; Sato, Tsutomu

PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan

SOURCE:

PCT Int. Appl., 89 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO.						DATE		APPLICATION NO.					DATE				
WO	WO 2002016404					A1 20020228							20010823					
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JΡ,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PH,	PL,	
		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	
		US,	UZ,	VN,	YU,	ZA,	zw											
	RW:	GH,	GM,	KE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	
							GB,											
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
AU	AU 2001080120					A5 20020304			AU 2001-80120					20010823				
ÉΡ	EP 1312612					A1 20030521				EP 2001-958426					20010823			
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR							
US	US 2003191053											3625	20030224					
US	US 7018981					B2 20060328												
	PRIORITY APPLN. INFO.:								JP 2000-253950					1	A 20000824			
										WO 2	001-	JP72	13	Ţ	w 2	0010	823	
OTHER S	OTHER SOURCE(S):					PAT	136:	2170	49									

Ι

The title compds. I [T1 = (CH2)m; T2 = (CH2)n; R1 represents optionally AB substituted Ph, etc.; R2 represents amino, etc.; R3 to R6 each represents hydrogen, Me, etc.; V, W, X,Y, Z represent carbonyl or methylene; m is an integer of 0 to 2; and n is an integer of 0 to 3] are prepared In an in vitro test for motilin receptor antagonism, (2S-(2S,12S))-2-amino-N-(2-(3tert-butyl-4-hydroxylphenylmethyl)-1,4,8-triaza-3,7,13-trioxocyclotridecan-12-y1)-3-(4-fluorophenyl)-N-methylpropionamide showed IC50 of 0.52 nM. 401896-13-7P 401896-15-9P 401896-22-8P IT 401896-25-1P 401896-29-5P 401896-32-0P 401896-37-5P 401896-39-7P 401896-43-3P 401896-45-5P 401896-50-2P 401896-52-4P 401896-63-7P 401896-64-8P 401896-65-9P 401896-70-6P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of cyclic peptide derivs. as motilin receptor antagonists) 401896-13-7 HCAPLUS RN β-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-CN N2-methyl-N6-[(phenylmethoxy)carbonyl]-L-lysyl-3-(1,1-dimethylethyl)-Ltyrosyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401896-15-9 HCAPLUS
CN β-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanylN2-methyl-L-lysyl-3-(1,1-dimethylethyl)-L-tyrosyl- (9CI) (CA INDEX NAME)

RN 401896-22-8 HCAPLUS

CN β-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N2-methyl-N6-[(phenylmethoxy)carbonyl]-L-lysyl-3-(1,1-dimethylethyl)-N-methyl-O-(phenylmethyl)-L-tyrosyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401896-25-1 HCAPLUS

CN β -Alanine, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N2-methyl-L-lysyl-3-(1,1-dimethylethyl)-N-methyl-L-tyrosyl- (9CI) (CA INDEX NAME)

RN 401896-29-5 HCAPLUS

CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N2-methyl-N6-[(phenylmethoxy)carbonyl]-L-lysyl-3-(1,1-dimethylethyl)-L-tyrosyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401896-32-0 HCAPLUS

CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N2-methyl-L-lysyl-3-(1,1-dimethylethyl)-L-tyrosyl- (9CI) (CA INDEX NAME)

$$t-Bu$$
 $t-Bu$
 $t-Bu$

RN 401896-37-5 HCAPLUS

CN β -Alanine, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N2-methyl-N6-[(phenylmethoxy)carbonyl]-L-lysyl-3-(1,1-dimethylethyl)-L-tyrosyl-N-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401896-39-7 HCAPLUS

CN β -Alanine, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N2-methyl-L-lysyl-3-(1,1-dimethylethyl)-L-tyrosyl-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401896-43-3 HCAPLUS

CN β-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N2,N6-dimethyl-N6-[(phenylmethoxy)carbonyl]-L-lysyl-3-(1,1-dimethylethyl)-L-tyrosyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 401896-45-5 HCAPLUS

CN β-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N2,N6-dimethyl-L-lysyl-3-(1,1-dimethylethyl)-L-tyrosyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401896-50-2 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N2-methyl-N6-[(phenylmethoxy)carbonyl]-L-lysyl-3-(1,1-dimethylethyl)-N-[4-oxo-4-(phenylmethoxy)butyl]- (9CI) (CA INDEX NAME)

RN 401896-52-4 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N2-methyl-L-lysyl-N-(3-carboxypropyl)-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401896-63-7 HCAPLUS

CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N6-acetyl-N2-methyl-N6-[3-[[(phenylmethoxy)carbonyl]amino]propyl]-L-lysyl-3-(1,1-dimethylethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 401896-64-8 HCAPLUS

CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N6-acetyl-N6-(3-aminopropyl)-N2-methyl-L-lysyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401896-65-9 HCAPLUS

CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N6-acetyl-N2-methyl-N6-[3-[[(phenylmethoxy)carbonyl]amino]propyl]-L-lysyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 401896-70-6 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N2,N5-dimethyl-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-3-(1,1-dimethylethyl)-N-[4-oxo-4-(phenylmethoxy)butyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2000:535162 HCAPLUS

DOCUMENT NUMBER:

133:150920

TITLE:

Preparation of peptides or analogs containing

substituted phenethylamine moiety as motilin receptor

antagonists

INVENTOR(S):

Matsuoka, Hiroharu; Sato, Tsutomu;

Takahashi, Tadakatsu; Kim, Dong Ick; Jung, Kyung Yun;

Park, Chan Hee

PATENT ASSIGNEE(S):

SOURCE:

Chugai Seiyaku Kabushiki Kaisha, Japan

PCT Int. Appl., 403 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	PATENT NO.						KIND DATE				ICAT		DATE					
WO	WO 2000044770					A1 20000803							20000128					
	W:	ΑE,	ΑĻ,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,	
		CZ,	DE,	DK,	DM,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	
		IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	
		MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	
		SK,	SL,	ТJ,	TM,	TR,	TT,	ΤZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	zw		
	RW:	GH,	GM,	ΚE,	LS,	MW,	SD,	SL,	SZ,	ΤZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,	
		DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	
		-	•			•		•	•	•	SN,	•						
CA	CA 2359030						0803	CA 2000-2359030										
EP	1149843			A1				EP 2000-901956										
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
					LV,													
	HU 200105204								į.	HU 2	001-	5204	20000128					
JP			2005		JP 2000-596026													
	Α	20010928				-			20010726									
PRIORITY							999-2											
									JP 1999-283163					-				
									Į	WO 2000-JP444					W 20000128			

OTHER SOURCE(S):

MARPAT 133:150920

GI

Substituted phenethylamine derivs. represented by general formula (I), AB hydrates of the same, or pharmaceutically acceptable salts thereof [wherein Cy is a group represented by general formula Q, an optionally substituted heterocyclic group, C3-7 cycloalkyl, or phenyl; R1, R1, R1, R1 and R5 are each hydrogen, halogeno, hydroxyl, amino, trifluoromethyl or cyano, at least one of R1-R5 being halogeno, trifluoromethyl or cyano; R6 represents hydrogen, (un) substituted linear or branched C1-3 alkyl, amino, or hydroxy; R8 represents hydrogen, Me, or ethyl; R9 represents (un) substituted linear or branched C1-6 alkyl, C2-6 alkenyl, or C2-6 alkynyl, C3-7 cycloalkyl, or (un) substituted Ph; R20 represents hydrogen, or (un) substituted linear or branched C1-3 alkyl or R9 and R20 together forms C3-7 cycloalkyl; R10 represents hydrogen, (un)substituted linear or branched C1-3 alkyl; R11 represents hydrogen or (un) substituted linear or branched C1-3 alkyl, (un) substituted carbamoyl, or carboxy; R12 represents hydroxy or linear or branched C1-4 alkoxy; R13 represents hydrogen, (un) substituted linear or branched C1-6 alkyl, C2-6 alkenyl, or alkynyl, etc.; X, Y represents carbonyl or CH2; provisos are given.], which exhibit motilin receptor antagonism and being useful as drugs for preventing digestive tract movement or high level of blood motilin. Thus, 3-methyl-2-methylaminobutyric acid 2-(3-tert-butyl-4-hydroxyphenyl)-1-(2pyridylcarbamoyl)ethylamide (preparation given) was condensed with Boc-Phe(4-F)-OH using CMPI in the presence of Et3N in THF under ice-cooling for 4 h followed by treatment of the product with CF3CO2H in CH2Cl2 gave 2-((2-amino-3-(4-fluorophenyl)propanoyl)-N-methylamino)-3methylbutyric acid 2-(3-tert-butyl-4-hydroxyphenyl)-1-(2pyridylcarbamoyl)ethylamide (II). II and N-Et-Phe(4-F)-N-Me-Val-N-Et-Tyr(3-tBu)-NHEt showed IC50 of 0.35 and 0.17 nM, resp., for inhibiting binding of 125I-motilin to motilin receptor preparation from mucus membrane of rabbit duodenum.

IT 287205-81-6P 287205-82-7P 287205-83-8P 287205-84-9P 287205-85-0P 287205-87-2P 287205-88-3P 287205-89-4P 287205-90-7P 287205-91-8P 287205-92-9P 287205-93-0P 287205-94-1P 287205-95-2P 287205-96-3P 287205-97-4P 287205-98-5P 287205-99-6P 287206-00-2P 287206-01-3P 287206-02-4P 287206-06-8P 287206-04-6P 287206-05-7P 287206-06-8P 287206-10-4P 287206-11-5P 287206-12-6P 287206-13-7P 287206-15-9P 287206-15-9P 287206-16-0P 287206-17-1P 287206-18-2P 287206-19-3P 287206-20-6P 287206-21-7P 287206-21-7P 287206-23-9P

```
287206-24-0P 287206-25-1P 287206-26-2P
     287206-27-3P 287206-28-4P 287206-29-5P
     287206-30-8P 287206-31-9P 287206-32-0P
     287206-33-1P 287206-34-2P 287206-35-3P
     287206-36-4P 287206-37-5P 287206-38-6P
     287206-39-7P 287206-40-0P 287206-41-1P
     287206-42-2P 287206-43-3P 287206-44-4P
     287206-45-5P 287206-46-6P 287206-47-7P
     287206-49-9P 287206-50-2P 287206-51-3P
     287206-52-4P 287206-53-5P 287206-55-7P
     287206-56-8P 287206-58-0P 287206-59-1P
     287206-60-4P 287206-61-5P 287206-62-6P
     287206-63-7P 287206-64-8P 287206-65-9P
     287206-66-0P 287206-67-1P 287206-68-2P
     287206-69-3P 287206-70-6P 287206-71-7P
     287206-72-8P 287206-73-9P 287206-74-0P
     287206-75-1P 287206-76-2P 287206-77-3P
     287206-78-4P 287206-79-5P 287206-80-8P
     287206-81-9P 287206-82-0P 287206-83-1P
     287206-84-2P 287206-85-3P 287206-86-4P
     287206-87-5P 287206-88-6P 287206-89-7P
     287206-90-0P 287206-91-1P 287206-92-2P
     287206-93-3P 287206-94-4P 287206-95-5P
     287206-96-6P 287206-97-7P 287206-98-8P
     287206-99-9P 287207-00-5P 287207-01-6P
     287207-02-7P 287207-03-8P 287207-04-9P
     287207-05-0P 287207-06-1P 287207-07-2P
     287207-08-3P 287207-09-4P 287207-10-7P
     287207-11-8P 287207-12-9P 287207-13-0P
     287207-14-1P 287207-15-2P 287207-16-3P
     287207-17-4P 287207-18-5P 287207-19-6P
     287207-20-9P 287207-21-0P 287207-22-1P
     287207-23-2P 287207-24-3P 287207-25-4P
     287207-26-5P 287207-27-6P 287207-28-7P
     287207-29-8P 287207-30-1P 287207-31-2P
     287207-32-3P 287207-33-4P 287207-34-5P
     287207-35-6P 287207-38-9P 287207-39-0P
     287207-41-4P 287208-31-5P 287208-73-5P
     287209-74-9P 287209-77-2P 287211-41-0P
     287212-51-5P 287212-55-9P 287212-56-0P
     287212-57-1P 287212-58-2P 287212-59-3P
     287212-60-6P 287212-61-7P 287212-62-8P
     287212-63-9P 287212-64-0P 287212-65-1P
     287212-66-2P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of peptides or analogs containing substituted phenethylamine
moiety
        as motilin receptor antagonists and drugs for preventing digestive
        tract movement or high level of blood motilin)
     287205-81-6 HCAPLUS
     L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-
     dimethylethyl)-N\alpha-methyl- (9CI) (CA INDEX NAME)
```

Absolute stereochemistry.

RN

CN

RN 287205-82-7 HCAPLUS

CN L-Tyrosinamide, 4-chloro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287205-83-8 HCAPLUS

CN L-Tyrosinamide, 3,4-difluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287205-84-9 HCAPLUS

CN L-Tyrosinamide, 3-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287205-85-0 HCAPLUS

CN L-Tyrosinamide, 2-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287205-87-2 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl-N-(methylsulfonyl)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 287205-86-1 CMF C30 H43 F N4 O6 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 287205-88-3 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-methoxy-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287205-89-4 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-2-pyridinyl-(9CI) (CA INDEX NAME)

RN 287205-90-7 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1S)-2-[(aminocarbonyl)amino]-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287205-91-8 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1S)-2-[(aminoiminomethyl)amino]-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287205-92-9 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1S)-2-[(cyanoamino)(methylamino)methylene]amino]-1-[[3-(1,1-dimethylethyl)-4-

hydroxyphenyl]methyl]ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287205-93-0 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1S)-2-[(aminosulfonyl)amino]-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287205-94-1 HCAPLUS

CN Glycinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-L-tyrosyl- ψ (CH2-NH)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287205-95-2 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1S)-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-[(methylsulfonyl)amino]ethyl]-N2-methyl- (9CI)

(CA INDEX NAME)

Absolute stereochemistry.

RN 287205-96-3 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1S)-3-amino-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-3-oxopropyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287205-97-4 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1S)-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-(methylsulfonyl)ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287205-98-5 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(hydroxymethyl)ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287205-99-6 HCAPLUS

CN Butanamide, 2-[[(2S)-2-amino-3-(4-fluorophenyl)propyl]amino]-N-[(1S)-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-(methylsulfonyl)ethyl]-3-methyl-, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-00-2 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1S)-1-(1,4-dihydro-6-methyl-4-oxo-2-pyrimidinyl)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-01-3 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(2,5-dioxo-4-imidazolidinyl)ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

RN 287206-02-4 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(1,3,4-oxadiazol-2-yl)ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-03-5 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(1,2,4-oxadiazol-5-yl)ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-04-6 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(2-thiazolyl)ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-05-7 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(1H-1,2,4-triazol-3-yl)ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-06-8 HCAPLUS

CN Butanamide, 2-[[(2S)-2-amino-3-(4-fluorophenyl)propyl]amino]-N-[(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(2-thiazolyl)ethyl]-3-methyl-, (2S)- (9CI) (CA INDEX NAME)

RN 287206-07-9 HCAPLUS

CN L-Tyrosinamide, 2-fluoro-L-tyrosyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-08-0 HCAPLUS

CN L-Tyrosinamide, 3-fluoro-L-tyrosyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-09-1 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-10-4 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-11-5 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-12-6 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-13-7 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-14-8 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)

RN 287206-15-9 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-16-0 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-17-1 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-

dimethylethyl)-N, Na-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-18-2 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-19-3 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -dimethyl- (9CI) (CA INDEX NAME)

RN 287206-20-6 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-21-7 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-22-8 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

RN 287206-23-9 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-24-0 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-25-1 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-methyl- (9CI) (CA INDEX NAME)

RN 287206-26-2 HCAPLUS
CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-27-3 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-28-4 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 287206-29-5 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-30-8 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-31-9 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-

dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-32-0 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-33-1 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-34-2 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-

dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-35-3 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N, $N\alpha$ -dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-36-4 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-37-5 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N, $N\alpha$ -dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-38-6 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-39-7 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

RN 287206-40-0 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-41-1 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-42-2 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-methyl- (9CI) (CA INDEX NAME)

RN 287206-43-3 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-44-4 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-N,3-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-45-5 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl-N-[(methylsulfonyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-46-6 HCAPLUS

CN L-Tyrosinamide, N-[(2S)-2-amino-3-(4-fluorophenyl)propyl]-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-47-7 HCAPLUS

CN L-Tyrosinamide, N-[(2S)-2-amino-3-(4-fluorophenyl)propyl]-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-49-9 HCAPLUS

CN L-Tyrosinamide, N-[(2S)-2-amino-3-(4-fluorophenyl)propyl]-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-50-2 HCAPLUS

CN Butanamide, 2-[[(2S)-2-amino-3-(4-fluorophenyl)propyl]amino]-N-[(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(hydroxymethyl)ethyl]-3-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-51-3 HCAPLUS

CN Butanamide, 2-[[(2S)-2-amino-3-(4-fluorophenyl)propyl]methylamino]-N-[(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(hydroxymethyl)ethyl]-3-methyl-, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-52-4 HCAPLUS

CN Butanamide, 2-[[(2S)-2-amino-3-(4-fluorophenyl)propyl]amino]-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]-N,3-dimethyl-, (2S)-(9CI) (CA INDEX NAME)

RN 287206-53-5 HCAPLUS

CN Butanamide, 2-[[(2S)-2-amino-3-(4-fluorophenyl)propyl]methylamino]-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]-N, 3-dimethyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-55-7 HCAPLUS

CN Butanamide, 2-[[(2S)-2-amino-3-(4-fluorophenyl)propyl]amino]-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]-3-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-56-8 HCAPLUS

CN Butanamide, 2-[[(2S)-2-amino-3-(4-fluorophenyl)propyl]methylamino]-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]-3-methyl-, (2S)-(9CI) (CA INDEX NAME)

RN

287206-58-0 HCAPLUS Butanamide, 2-[[(2S)-2-amino-3-(4-fluorophenyl)propyl]methylamino]-N-[(1S)-1]CN 2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(hydroxymethyl)ethyl]-N,3dimethyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

287206-59-1 HCAPLUS RN

L-Tyrosinamide, N-[(2S)-2-amino-3-(4-fluorophenyl)propyl]-N-methyl-L-valyl-CN 3-(1,1-dimethylethyl)-(9CI)(CA INDEX NAME)

Absolute stereochemistry.

287206-60-4 HCAPLUS RN

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1dimethylethyl)-N-ethyl- (9CI) (CA INDEX NAME)

RN 287206-61-5 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-62-6 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-63-7 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-

dimethylethyl)-N-ethyl-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-64-8 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-65-9 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-N α -methyl- (9CI) (CA INDEX NAME)

RN 287206-66-0 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -diethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-67-1 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N, $N\alpha$ -diethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-68-2 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -diethyl- (9CI) (CA INDEX NAME)

RN 287206-69-3 HCAPLUS
CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-70-6 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-71-7 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-

dimethylethyl) -N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-72-8 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-73-9 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-74-0 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-75-1 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N, $N\alpha$ -diethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-76-2 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N,N\alpha-diethyl- (9CI) (CA INDEX NAME)

RN 287206-77-3 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -diethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-78-4 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-propyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-79-5 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 287206-80-8 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-N-cyclopropyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-81-9 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-82-0 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-(hydroxymethyl)- (9CI) (CA INDEX NAME)

RN 287206-83-1 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-84-2 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-(hydroxymethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-85-3 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-(hydroxymethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287206-86-4 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-87-5 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-88-6 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-(hydroxymethyl)- (9CI) (CA INDEX NAME)

RN 287206-89-7 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-90-0 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-91-1 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-(hydroxymethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287206-92-2 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1S)-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-(4-morpholinyl)-2-oxoethyl]-N,N2-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-93-3 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1S)-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-[4-(methylsulfonyl)-1-piperazinyl]-2-oxoethyl]-N,N2-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-94-4 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1S)-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-[4-(2-ethoxy-2-oxoethyl)-1-piperazinyl]-2-oxoethyl]-N,N2-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-95-5 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1S)-2-[4-(carboxymethyl)-1-piperazinyl]-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-oxoethyl]-N,N2-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-96-6 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -propyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-97-7 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-(2S)-2-(methylamino)butanoyl-3-

 $(1,1-dimethylethyl)-N\alpha-methyl-$ (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-98-8 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-(2R)-2-(methylamino)butanoyl-3- $(1,1-dimethylethyl)-N\alpha-methyl-$ (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287206-99-9 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-norvalyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-00-5 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-D-norvalyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-01-6 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-isoleucyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-02-7 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-D-isoleucyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287207-03-8 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-leucyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-04-9 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-D-leucyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-05-0 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-4,5-didehydro-N-methyl-L-norvalyl-

 $3-(1,1-dimethylethyl)-N\alpha-methyl-$ (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-06-1 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-4,5-didehydro-N-methyl-D-norvalyl- $3-(1,1-\text{dimethylethyl})-N\alpha-\text{methyl-}$ (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-07-2 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N, 4-dimethyl-L-leucyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287207-08-3 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N,4-dimethyl-D-leucyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-09-4 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-(2S)-4,4,4-trifluoro-2- (methylamino)butanoyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-10-7 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-(2S)-2-cyclohexyl-N-methylglycyl-3- $(1,1-dimethylethyl)-N\alpha-methyl-$ (9CI) (CA INDEX NAME)

RN 287207-11-8 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-(2R)-2-cyclohexyl-N-methylglycyl-3- $(1,1-dimethylethyl)-N\alpha-methyl-$ (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-12-9 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-3-cyclohexyl-N-methyl-L-alanyl-3- $(1,1-dimethylethyl)-N\alpha-methyl-$ (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-13-0 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-3-cyclohexyl-N-methyl-D-alanyl-3- $(1,1-dimethylethyl)-N\alpha-methyl-$ (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-14-1 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-phenylalanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-15-2 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-D-phenylalanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-16-3 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-4-fluoro-N-methyl-L-phenylalanyl-3-

 $(1,1-dimethylethyl)-N\alpha-methyl-$ (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-17-4 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-4-fluoro-N-methyl-D-phenylalanyl-3- $(1,1-dimethylethyl)-N\alpha-methyl-$ (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-18-5 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-4-chloro-N-methyl-L-phenylalanyl-3- $(1,1-dimethylethyl)-N\alpha-methyl-$ (9CI) (CA INDEX NAME)

$$\begin{array}{c} Me \\ Me \\ S \\ N \\ S \\ N \\ Me \\ MH_2 \\ NH_2 \\ \end{array}$$

RN 287207-19-6 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-4-chloro-N-methyl-D-phenylalanyl-3- $(1,1-dimethylethyl)-N\alpha-methyl-$ (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-20-9 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-L-tyrosyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287207-21-0 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-D-tyrosyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 287207-22-1 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-3-(2-thienyl)-L-alanyl-3- $(1,1-dimethylethyl)-N\alpha-methyl-$ (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-23-2 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-3-(2-thienyl)-D-alanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287207-24-3 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-3-cyclopropyl-N-methyl-L-alanyl-3- $(1,1-dimethylethyl)-N\alpha-methyl-$ (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me NH2
$$F$$

NH2 O

RN 287207-25-4 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-(2S)-N-methyl-2-phenylglycyl-3- (1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-26-5 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N, α -dimethyl-L-phenylalanyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-27-6 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N, α -dimethyl-D-phenylalanyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-28-7 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N, 2-dimethyl-L-leucyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-29-8 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N-methyl-D-isovalyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 287207-30-1 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N,3-dimethyl-D-isovalyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-31-2 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-1-(methylamino)cyclopentanecarbony 1-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-32-3 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-1-(methylamino)cyclohexanecarbonyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 287207-33-4 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N,3-dimethyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-34-5 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-N,3-dimethyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-35-6 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-(2R)-N-methyl-2-phenylglycyl-3-

 $(1,1-dimethylethyl)-N\alpha-methyl-$ (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-38-9 HCAPLUS

CN L-Tyrosinamide, 4-(trifluoromethyl)-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-39-0 HCAPLUS

CN L-Tyrosinamide, N-[(2R)-3-(4-fluorophenyl)-2-(hydroxymethyl)-1-oxopropyl]-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-41-4 HCAPLUS

CN L-Tyrosinamide, 4-cyano-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287208-31-5 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1R)-1-(1,4-dihydro-6-methyl-4-oxo-2-pyrimidinyl)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287208-73-5 HCAPLUS

CN Butanamide, 2-[[(2S)-2-amino-3-(4-fluorophenyl)propyl]amino]-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(2-thiazolyl)ethyl]-3-methyl-, (2S)- (9CI) (CA INDEX NAME)

RN 287209-74-9 HCAPLUS

CN Butanamide, 2-[[(2R)-2-amino-3-(4-fluorophenyl)propyl]amino]-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]-3-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-77-2 HCAPLUS

CN Butanamide, 2-[[(2R)-2-amino-3-(4-fluorophenyl)propyl]methylamino]-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]-3-methyl-, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-41-0 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-L-phenylalanyl-(2R)-4,4,4-trifluoro-2-(methylamino)butanoyl-3-(1,1-dimethylethyl)-Nα-methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-51-5 HCAPLUS

CN L-Tyrosinamide, N-[(2S)-3-(4-fluorophenyl)-2-(hydroxymethyl)-1-oxopropyl]-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-55-9 HCAPLUS

CN Tyrosinamide, 4-fluorophenylalanyl-N-methylvalyl-3-(1,1-dimethylethyl)-N-2-pyridinyl- (9CI) (CA INDEX NAME)

RN 287212-56-0 HCAPLUS

CN Valinamide, N-(4-fluorophenyl)alanyl-N-[2-[(aminocarbonyl)amino]-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

RN 287212-57-1 HCAPLUS

CN Valinamide, N-(4-fluorophenyl)alanyl-N-[2-[(aminosulfonyl)amino]-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]ethyl]-N2-methyl- (9CI) (CA

INDEX NAME)

RN 287212-58-2 HCAPLUS

CN Valinamide, 4-fluoro-L-phenylalanyl-N-[1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-[(methylsulfonyl)amino]ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-59-3 HCAPLUS

CN Valinamide, N-(4-fluorophenyl)alanyl-N-[3-amino-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-3-oxopropyl]-N2-methyl- (9CI) (CA INDEX NAME)

RN 287212-60-6 HCAPLUS

CN Valinamide, N-(4-fluorophenyl)alanyl-N-[1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-(methylsulfonyl)ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

RN 287212-61-7 HCAPLUS

CN Valinamide, N-(4-fluorophenyl)alanyl-N-[2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(hydroxymethyl)ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

RN 287212-62-8 HCAPLUS

CN Valinamide, N-(4-fluorophenyl)alanyl-N-[1-(1,4-dihydro-6-methyl-4-oxo-2-pyrimidinyl)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]ethyl]-N2-methyl-(9CI) (CA INDEX NAME)

RN 287212-63-9 HCAPLUS

CN Valinamide, N-(4-fluorophenyl)alanyl-N-[2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(1,3,4-oxadiazol-2-yl)ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

RN 287212-64-0 HCAPLUS

CN Valinamide, N-(4-fluorophenyl)alanyl-N-[2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(1,2,4-oxadiazol-5-yl)ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

RN 287212-65-1 HCAPLUS

CN Valinamide, N-(4-fluorophenyl)alanyl-N-[2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(2-thiazolyl)ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

RN 287212-66-2 HCAPLUS

CN Valinamide, N-(4-fluorophenyl)alanyl-N-[2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(1H-1,2,4-triazol-3-yl)ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

```
287207-47-0P 287207-48-1P 287207-49-2P
IT
     287207-50-5P 287207-51-6P 287207-55-0P
     287207-60-7P 287207-66-3P 287207-72-1P
     287207-78-7P 287207-81-2P 287207-82-3P
     287207-84-5P 287207-86-7P 287207-88-9P
     287207-90-3P 287207-98-1P 287208-07-5P
     287208-13-3P 287208-16-6P 287208-20-2P
     287208-29-1P 287208-30-4P 287208-35-9P
     287208-42-8P 287208-45-1P 287208-47-3P
     287208-61-1P 287208-63-3P 287208-65-5P
     287208-67-7P 287208-71-3P 287208-72-4P
     287208-74-6P 287208-75-7P 287208-93-9P
     287208-94-0P 287208-97-3P 287208-98-4P
     287208-99-5P 287209-00-1P 287209-01-2P
     287209-04-5P 287209-05-6P 287209-06-7P
     287209-09-0P 287209-10-3P 287209-11-4P
     287209-14-7P 287209-15-8P 287209-16-9P
     287209-19-2P 287209-20-5P 287209-21-6P
     287209-24-9P 287209-25-0P 287209-26-1P
     287209-29-4P 287209-30-7P 287209-31-8P
     287209-34-1P 287209-35-2P 287209-36-3P
     287209-39-6P 287209-40-9P 287209-41-0P
     287209-44-3P 287209-45-4P 287209-46-5P
     287209-49-8P 287209-52-3P 287209-54-5P
     287209-55-6P 287209-60-3P 287209-63-6P
     287209-64-7P 287209-67-0P 287209-68-1P
     287209-72-7P 287209-73-8P 287209-75-0P
     287209-76-1P 287209-82-9P 287209-85-2P
     287209-86-3P 287210-09-7P 287210-10-0P
     287210-11-1P 287210-14-4P 287210-15-5P
     287210-16-6P 287210-19-9P 287210-20-2P
     287210-21-3P 287210-24-6P 287210-25-7P
     287210-26-8P 287210-29-1P 287210-30-4P
     287210-31-5P 287210-34-8P 287210-35-9P
     287210-36-0P 287210-39-3P 287210-42-8P
     287210-45-1P 287210-46-2P 287210-47-3P
     287210-48-4P 287210-49-5P 287210-50-8P
     287210-51-9P 287210-52-0P 287210-53-1P
     287210-54-2P 287210-55-3P 287210-56-4P
     287210-57-5P 287210-58-6P 287210-59-7P
     287210-60-0P 287210-61-1P 287210-62-2P
     287210-63-3P 287210-64-4P 287210-67-7P
     287210-70-2P 287210-73-5P 287210-76-8P
     287210-79-1P 287211-02-3P 287211-05-6P
     287211-08-9P 287211-11-4P 287211-14-7P
     287211-17-0P 287211-21-6P 287211-24-9P
     287211-27-2P 287211-30-7P 287211-33-0P
     287211-36-3P 287211-40-9P 287211-42-1P
     287211-45-4P 287211-48-7P 287211-51-2P
     287211-54-5P 287211-57-8P 287211-60-3P
     287211-63-6P 287211-72-7P 287211-77-2P
     287211-80-7P 287211-83-0P 287211-86-3P
     287211-89-6P 287211-92-1P 287211-95-4P
     287212-00-4P 287212-01-5P 287212-04-8P
     287212-07-1P 287212-10-6P 287212-13-9P
     287212-16-2P 287212-19-5P 287212-22-0P
     287212-25-3P 287212-31-1P 287212-49-1P
     287212-50-4P 287212-53-7P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
```

(Reactant or reagent)

(preparation of peptides or analogs containing substituted phenethylamine moiety

as motilin receptor antagonists and drugs for preventing digestive tract movement or high level of blood motilin)

RN 287207-47-0 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-48-1 HCAPLUS

CN L-Tyrosinamide, 4-chloro-N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-49-2 HCAPLUS

CN L-Tyrosinamide, N-[(9H-fluoren-9-ylmethoxy)carbonyl]-3,4-difluoro-L-

Updated Search

phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-50-5 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-3-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-51-6 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-2-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287207-55-0 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-60-7 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-Nmethyl-L-valyl-3-(1,1-dimethylethyl)-N-methoxy-Nα-methyl- (9CI) (CA
INDEX NAME)

RN 287207-66-3 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-2-pyridinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-72-1 HCAPLUS

CN L-Valinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N- [(1S)-2-[(aminocarbonyl)amino]-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-78-7 HCAPLUS

CN L-Valinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-[(1S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-N2-methyl- (9CI) (CA INDEX NAME)

RN 287207-81-2 HCAPLUS

CN L-Valinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-[(1S)-2-amino-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]ethyl]-N2-methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-82-3 HCAPLUS

CN L-Valinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-[(1S)-2-[(aminoiminomethyl)amino]-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-N2-methyl- (9CI) (CA INDEX NAME)

RN 287207-84-5 HCAPLUS

CN L-Valinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-[(1S)-2-[[(cyanoamino)(methylamino)methylene]amino]-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-86-7 HCAPLUS

CN L-Valinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-[(1S)-2-[(aminosulfonyl)amino]-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-88-9 HCAPLUS

CN Glycine, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-L-tyrosyl- ψ (CH2-NH)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

RN 287207-90-3 HCAPLUS

CN Glycinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-L-tyrosyl-ψ(CH2-NH)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287207-98-1 HCAPLUS

CN L-Valinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-[(1S)-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2[(methylsulfonyl)amino]ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287208-07-5 HCAPLUS

CN L-Valinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-[(1S)-3-amino-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-3-oxopropyl]-N2-methyl- (9CI) (CA INDEX NAME)

RN 287208-13-3 HCAPLUS

CN L-Valinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-[(1S)-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-(methylsulfonyl)ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287208-16-6 HCAPLUS

CN L-Valinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N[(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(hydroxymethyl)ethyl]-N2methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287208-20-2 HCAPLUS

CN 2-Thia-5,8,11-triazadodecan-12-oic acid, 4-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-10-[(4-fluorophenyl)methyl]-7-(1-methylethyl)-6-oxo-, 1,1-dimethylethyl ester, 2,2-dioxide, (4S,7S,10S)- (9CI) (CA INDEX NAME)

RN 287208-29-1 HCAPLUS

CN L-Valinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-[(1S)-1-(1,4-dihydro-6-methyl-4-oxo-2-pyrimidinyl)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287208-30-4 HCAPLUS

CN L-Valinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N- [(1R)-1-(1,4-dihydro-6-methyl-4-oxo-2-pyrimidinyl)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287208-35-9 HCAPLUS

CN L-Valinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-[(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(2,5-dioxo-4-imidazolidinyl)ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

RN 287208-42-8 HCAPLUS

CN L-Valinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-[(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(1,3,4-oxadiazol-2-yl)ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287208-45-1 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 287208-47-3 HCAPLUS

CN L-Valinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N[(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(1,2,4-oxadiazol-5yl)ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287208-61-1 HCAPLUS

CN L-Valinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N- [(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(2-thiazolyl)ethyl]-N2- methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$t-Bu$$
 $OBu-t$
 NH
 S
 Me
 $i-Pr$
 O

Updated Search

RN 287208-63-3 HCAPLUS

CN L-Valinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(2-thiazolyl)ethyl]-N2methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$t-Bu$$
 $OBu-t$
 NH
 S
 $i-Pr$
 O

RN 287208-65-5 HCAPLUS

CN L-Valinamide, 4-fluoro-L-phenylalanyl-N-[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(2-thiazolyl)ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287208-67-7 HCAPLUS

CN L-Valinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-[(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(1H-1,2,4-triazol-3-yl)ethyl]-N2-methyl- (9CI) (CA INDEX NAME)

RN 287208-71-3 HCAPLUS

CN Carbamic acid, [(1S)-2-[[(1S)-1-[[[(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(2-thiazolyl)ethyl]amino]carbonyl]-2-methylpropyl]amino]-1-[(4-fluorophenyl)methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287208-72-4 HCAPLUS

CN Carbamic acid, [(1S)-2-[[(1S)-1-[[[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(2-thiazolyl)ethyl]amino]carbonyl]-2-methylpropyl]amino]-1-[(4-fluorophenyl)methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 287208-74-6 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-2-fluoro-L-tyrosyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287208-75-7 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-3-fluoro-L-tyrosyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287208-93-9 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 287208-94-0 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287208-97-3 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)

RN 287208-98-4 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287208-99-5 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)

RN 287209-00-1 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-01-2 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-04-5 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-Nmethyl-L-valyl-3-(1,1-dimethylethyl)-N,Nα-dimethyl- (9CI) (CA INDEX
NAME)

RN 287209-05-6 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -dimethyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-06-7 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -dimethyl-(9CI) (CA INDEX NAME)

RN 287209-09-0 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-10-3 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-11-4 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

RN 287209-14-7 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-15-8 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-methyl- (9CI) (CA INDEX NAME)

RN 287209-16-9 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-19-2 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 287209-20-5 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-21-6 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 287209-24-9 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-25-0 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)

RN 287209-26-1 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-N-ethyl-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-29-4 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-30-7 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

RN 287209-31-8 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-34-1 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -dimethyl- (9CI) (CA INDEX NAME)

RN 287209-35-2 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -dimethyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-36-3 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -dimethyl-(9CI) (CA INDEX NAME)

RN 287209-39-6 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-40-9 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-41-0 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

$$H_2N$$
 O
 O
 Et
 S
 N
 S
 N

RN 287209-44-3 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-45-4 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-methyl-(9CI) (CA INDEX NAME)

RN 287209-46-5 HCAPLUS CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-49-8 HCAPLUS
CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-Nmethyl-L-valyl-N,3-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 287209-52-3 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-Nmethyl-L-valyl-3-(1,1-dimethylethyl)-Nα-methyl-N[(methylsulfonyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-54-5 HCAPLUS

CN L-Tyrosinamide, N-[(2S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-(4-fluorophenyl)propyl]-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287209-55-6 HCAPLUS

CN L-Tyrosinamide, N-[(2S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-(4-fluorophenyl)propyl]-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-60-3 HCAPLUS

CN L-Tyrosinamide, N-[(2S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-(4-fluorophenyl)propyl]-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

RN 287209-63-6 HCAPLUS CN Carbamic acid, [(1S)-2-[[(1S)-1-[[[(1S)-2-[3-(1,1-dimethylethyl)-4-(1,1-dimethylethyl)]]]

hydroxyphenyl]-1-(hydroxymethyl)ethyl]amino]carbonyl]-2methylpropyl]amino]-1-[(4-fluorophenyl)methyl]ethyl]-, 1,1-dimethylethyl
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-64-7 HCAPLUS

CN Carbamic acid, [(1S)-2-[[(1S)-1-[[[(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(hydroxymethyl)ethyl]amino]carbonyl]-2-methylpropyl]methylamino]-1-[(4-fluorophenyl)methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-67-0 HCAPLUS

CN Carbamic acid, [(1S)-2-[[(1S)-1-[[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]methylamino]carbonyl]-2-methylpropyl]amino]-1-[(4-fluorophenyl)methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 287209-68-1 HCAPLUS

CN Carbamic acid, [(1S)-2-[[(1S)-1-[[[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]methylamino]carbonyl]-2-methylpropyl]methylamino]-1-[(4-fluorophenyl)methyl]ethyl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-72-7 HCAPLUS

CN Carbamic acid, [(1S)-2-[[(1S)-1-[[[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]amino]carbonyl]-2-methylpropyl]amino]-1-[(4-fluorophenyl)methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-73-8 HCAPLUS

CN Carbamic acid, [(1R)-2-[[(1S)-1-[[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]amino]carbonyl]-2-methylpropyl]amino]-1-[(4-fluorophenyl)methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 287209-75-0 HCAPLUS

CN Carbamic acid, [(1S)-2-[[(1S)-1-[[[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]amino]carbonyl]-2-methylpropyl]methylamino]-1-[(4-fluorophenyl)methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-76-1 HCAPLUS

CN Carbamic acid, [(1R)-2-[[(1S)-1-[[[(1R)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-methylethyl]amino]carbonyl]-2-methylpropyl]methylamino]-1-[(4-fluorophenyl)methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-82-9 HCAPLUS

CN Carbamic acid, [(1S)-2-[[(1S)-1-[[[(1S)-2-[3-(1,1-dimethylethyl)-4-hydroxyphenyl]-1-(hydroxymethyl)ethyl]methylamino]carbonyl]-2-methylpropyl]methylamino]-1-[(4-fluorophenyl)methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 287209-85-2 HCAPLUS

CN L-Tyrosinamide, N-[(2S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-(4-fluorophenyl)propyl]-L-valyl-N-[(1,1-dimethylethoxy)carbonyl]-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287209-86-3 HCAPLUS

CN L-Tyrosinamide, N-[(2S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-(4-fluorophenyl)propyl]-N-methyl-L-valyl-N-[(1,1-dimethylethoxy)carbonyl]-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-09-7 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (9CI) (CA INDEX NAME)

RN 287210-10-0 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-11-1 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (9CI) (CA INDEX NAME)

RN 287210-14-4 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-15-5 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-16-6 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-N α -methyl- (9CI) (CA INDEX NAME)

RN 287210-19-9 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -diethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-20-2 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -diethyl-(9CI) (CA INDEX NAME)

RN 287210-21-3 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-N-ethyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -diethyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-24-6 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (9CI) (CA INDEX NAME)

RN 287210-25-7 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-26-8 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-(9CI) (CA INDEX NAME)

RN 287210-29-1 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-30-4 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-N α -methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-31-5 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl-N α -methyl-(9CI) (CA INDEX NAME)

RN 287210-34-8 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -diethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-35-9 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N,N α -diethyl-(9CI) (CA INDEX NAME)

RN 287210-36-0 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N,Nα-diethyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-39-3 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-propyl- (9CI) (CA INDEX NAME)

RN 287210-42-8 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-45-1 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-N-cyclopropyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 287210-46-2 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-L-valyl-3-(1,1-dimethylethyl)-N-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-47-3 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-48-4 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-L-valyl-3-(1,1-dimethylethyl)-N-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

RN 287210-49-5 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-(hydroxymethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-50-8 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 287210-51-9 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-(hydroxymethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$t-Bu$$
 O
 Ph
 O
 Me
 $i-Pr$
 O
 S
 N
 $i-Pr$
 O

RN 287210-52-0 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-53-1 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-(hydroxymethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287210-54-2 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-Nα-methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-55-3 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-(hydroxymethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-56-4 HCAPLUS

Updated Search

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-Nmethyl-L-valyl-3-(1,1-dimethylethyl)-Nα-ethyl- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

RN 287210-57-5 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-(hydroxymethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-58-6 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

RN 287210-59-7 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-60-0 HCAPLUS

CN L-Tyrosinamide, N-ethyl-4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-61-1 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-62-2 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-(hydroxymethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-63-3 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-Nα-ethyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-64-4 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-ethyl-L-valyl-3-(1,1-dimethylethyl)-N α -ethyl-N-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-67-7 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-(hydroxymethyl)-N α -methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-70-2 HCAPLUS

CN L-Valinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-[(1S)-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-(4-morpholinyl)-2-oxoethyl]-N,N2-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

RN 287210-73-5 HCAPLUS

CN L-Valinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N[(1S)-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-[4(methylsulfonyl)-1-piperazinyl]-2-oxoethyl]-N,N2-dimethyl- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RN 287210-76-8 HCAPLUS

CN L-Valinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N- [(1S)-1-[[3-(1,1-dimethylethyl)-4-hydroxyphenyl]methyl]-2-[4-(2-ethoxy-2-oxoethyl)-1-piperazinyl]-2-oxoethyl]-N, N2-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287210-79-1 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 287211-02-3 HCAPLUS CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-(2S)-2-(methylamino)butanoyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-05-6 HCAPLUS CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl- (2R)-2-(methylamino)butanoyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287211-08-9 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-L-norvalyl-3-(1,1-dimethylethyl)-Nα-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-11-4 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-D-norvalyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287211-14-7 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-L-isoleucyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-17-0 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-D-isoleucyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287211-21-6 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-L-leucyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-24-9 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-D-leucyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-27-2 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-4,5-didehydro-N-methyl-L-norvalyl-3-(1,1-dimethylethyl)-N α -methyl-(9CI) (CA INDEX NAME)

RN 287211-30-7 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-4,5-didehydro-N-methyl-D-norvalyl-3-(1,1-dimethylethyl)-N α -methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-33-0 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N,4-dimethyl-L-leucyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287211-36-3 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N,4-dimethyl-D-leucyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-40-9 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-(2S)-4,4,4-trifluoro-2-(methylamino)butanoyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287211-42-1 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-(2R)-4,4,4-trifluoro-2-(methylamino)butanoyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-45-4 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-(2S)-2-cyclohexyl-N-methylglycyl-3-(1,1-dimethylethyl)-N α -methyl-(9CI) (CA INDEX NAME)

RN 287211-48-7 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-(2R)-2-cyclohexyl-N-methylglycyl-3-(1,1-dimethylethyl)-N α -methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-51-2 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-3-cyclohexyl-N-methyl-L-alanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287211-54-5 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-3-cyclohexyl-N-methyl-D-alanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-57-8 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-L-phenylalanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287211-60-3 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-D-phenylalanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-63-6 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-4-fluoro-N-methyl-L-phenylalanyl-3-(1,1-dimethylethyl)-N α -methyl-(9CI) (CA INDEX NAME)

RN 287211-72-7 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-4-fluoro-N-methyl-D-phenylalanyl-3-(1,1-dimethylethyl)-N α -methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-77-2 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-4-chloro-N-methyl-L-phenylalanyl-3-(1,1-dimethylethyl)-N α -methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-80-7 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-4-chloro-N-methyl-D-phenylalanyl-3-(1,1-dimethylethyl)-N α -methyl-(9CI) (CA INDEX NAME)

RN 287211-83-0 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-tyrosyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-86-3 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-methyl-D-tyrosyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287211-89-6 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-3-(2-thienyl)-L-alanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287211-92-1 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-3-(2-thienyl)-D-alanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287211-95-4 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-3-cyclopropyl-N-methyl-L-alanyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-00-4 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-(2S)-N-methyl-2-phenylglycyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

RN 287212-01-5 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-(2R)-N-methyl-2-phenylglycyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-04-8 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N, α -dimethyl-L-phenylalanyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-07-1 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N, α -dimethyl-D-phenylalanyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-10-6 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N,2-dimethyl-L-leucyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-13-9 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N-methyl-D-isovalyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-16-2 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N,3-dimethyl-D-isovalyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

RN 287212-19-5 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-1-(methylamino)cyclopentanecarbonyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-22-0 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-1-(methylamino)cyclohexanecarbonyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

$$HO$$
 $t-Bu$
 H_2N
 O
 Me
 $t-BuO$
 NH

RN 287212-25-3 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-N,3-dimethyl-L-valyl-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$t-BuO$$
 $t-BuO$
 t

RN 287212-31-1 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N,3-dimethyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-49-1 HCAPLUS

CN L-Tyrosinamide, N-[(2S)-3-ethoxy-2-[(4-fluorophenyl)methyl]-1,3-dioxopropyl]-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 287212-53-7 HCAPLUS

CN L-Tyrosinamide, 4-cyano-N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N α -methyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS 41 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 12:21:47 ON 11 JUN 2007)

FILE 'REGISTRY' ENTERED AT 12:21:55 ON 11 JUN 2007

STRUCTURE UPLOADED L1

L2 1 S L1

L3 519 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 12:27:12 ON 11 JUN 2007

L494 S L3

2 S L4 AND MATSUOKA, H?/AU L5

=> s 14 not 15

92 L4 NOT L5

=> s 16 and sato, t?/au

24044 SATO, T?/AU

1 L6 AND SATO, T?/AU L7

=> d 17, ibib abs hitstr, 1

ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2002:90066 HCAPLUS DOCUMENT NUMBER: 136:135034

TITLE: Method for producing tripeptide derivative

INVENTOR(S): Sato, Tsutomu; Shimizu, Hirohito

Chugai Seiyaku Kabushiki Kaisha, Japan PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 50 pp.

1

CODEN: PIXXD2

DOCUMENT TYPE:

Patent Japanese

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND APPLICATION NO. DATE DATE

```
A1 20020131 WO 2001-JP6295
                                                                       20010719
     WO 2002008248
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
              RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
              UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
              DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
              BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                  20050414
                                               JP 2000-219977
                                                                        20000721
                           Α
     JP 2005097119
                                                                    A 20000721
                                               JP 2000-219977
PRIORITY APPLN. INFO.:
                          CASREACT 136:135034; MARPAT 136:135034
OTHER SOURCE(S):
```

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- A method for producing L-phenylalanyl-L-valyl-L-3-tert-butyl-L-AB tyrosinamide compds. represented by the general formula (I; wherein R1 represents a hydrogen atom or a linear or branched aliphatic alkyl group having 1 to 4 carbon atoms; R2 represents a hydrogen atom or Me group; R3 represents a hydrogen atom or Me group; and R4 represents a halogen atom) comprises condensation of 3-tert-butyl-L-tyrosinamide derivs. (II; R1, R2 = same as above) with N-methyl-L-valine derivs. (III; P1 = amino-protecting group), N-deprotection of the resulting L-valy1-3-tert-buty1-L-tyrosinamide derivs. (IV; R1, R2, P1 = same as above), and condensation of the resulting IV (P1 = H; R1 , R2 = same as above) with L-phenylalanine derivs. (V; R3, R4 = same as above; P2 = amino-protecting group) followed by N-deprotection. The method can be advantageously used for producing a novel peptide derivative in a com. process. Thus, 20.8 g MeSO3H and 20.0 g tert-Bu chloride were successively added to 10.0 g L-tyrosine Me ester hydrochloride under stirring, stirred at 50° for 5 h, treated dropwise with MeOH (20 mL)/H2O (20 mL) under ice-cooling then with a solution of 14.2 g KOH in 43 mL H2O at <10° to give 77.0% 3-tert-butyl-L-tyrosine Me ester which (8.35 g) was added to a mixture of 24.1 g 62% aqueous ethylamine and 7.52 g ethylamine hydrochloride under ice-cooling and stirred at room temperature for

h to give 89.8% 3-tert-butyl-L-tyrosine ethylamide (VI). To a solution of 5.50 g VI and 3.35 g 1-hydroxybenzotriazole monohydrate in 55 mL THF were successively added 4.19 g 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and 3.04 mL Et3N and stirred at room temperature for 2.5 h to give

100% N-tert-butoxycarbonyl-N-methyl-L-valyl-3-tert-butyl-L-tyrosine ethylamide which (10.0 g) was dissolved in 100 mL EtOAc, treated with 11.1 mL concentrated H2SO4 under ice-cooling, treated with 100 mL EtOAc, adjusted pH 8 by adding saturated aqueous NaHCO3, and stirred 15 min to give 87.9% N-methyl-L-valyl-3-tert-butyl-L-tyrosine ethylamide (VII). To a mixture of 5.50 g VII, 5.20 g N-tert-butoxycarbonyl-N-methyl-4-fluoro-L-phenylalanine, 4.47 g 2-chloro-1-methylpyridinium iodide, and 37 mL tert-Bu Me ether was added 5.09 mL Et3N and stirred at room temperature for 4 h to give 86.0% N-tert-butoxycarbonyl-N-methyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-tert-butyl-L-tyrosine ethylamide which (7.50 g) was similarly deprotected as described above using concentrated H2SO4 in EtOAc to give 100% N-methyl-4-fluoro-L-phenylalanyl-N-methyl-L-valyl-3-tert-butyl-L-

Absolute stereochemistry.

RN 393562-03-3 HCAPLUS
CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-L-phenylalanyl-Nmethyl-L-valyl-3-(1,1-dimethylethyl)-Nα-methyl- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

RN 287206-61-5 HCAPLUS
CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 12:21:47 ON 11 JUN 2007)

FILE 'REGISTRY' ENTERED AT 12:21:55 ON 11 JUN 2007

L1 STRUCTURE UPLOADED
L2 1 S L1

```
519 S L1 FULL
L3
     FILE 'HCAPLUS' ENTERED AT 12:27:12 ON 11 JUN 2007
               94 S L3
L5 .
                2 S L4 AND MATSUOKA, H?/AU
               92 S L4 NOT L5
L6
L7
                1 S L6 AND SATO, T?/AU
=> s 16 not 17
              91 L6 NOT L7
=> s 18 and takahashi, t?/au
          20393 TAKAHASHI, T?/AU
               0 L8 AND TAKAHASHI, T?/AU
L9
\Rightarrow s 18 and kim, d?/au
          24688 KIM, D?/AU
               1 L8 AND KIM, D?/AU
L10
=> d l10, ibib abs hitstr, 1
L10 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2007 ACS on STN
                             2002:637704 HCAPLUS
ACCESSION NUMBER:
                             137:185838
DOCUMENT NUMBER:
                             Process for preparation of peptide derivatives
TITLE:
                             Kim, Dong Ick; Jeon, Gee Ho; Kim, Sung Jin
INVENTOR(S):
PATENT ASSIGNEE(S):
                             Chuqai Seiyaku Kabushiki Kaisha, Japan
                             PCT Int. Appl., 40 pp.
SOURCE:
                             CODEN: PIXXD2
DOCUMENT TYPE:
                             Patent
                             Japanese
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                 APPLICATION NO.
                                                                             DATE
      PATENT NO.
                             KIND
                                     DATE
      _____
                             ____
                                     _____
                                                   ______
                                                WO 2002-JP1139
                             A1
                                  20020822
                                                                             20020212
     WO 2002064623
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
               LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
               PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
```

```
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
AU 2002230216 A1 20020828 AU 2002-230216 20020212
PRIORITY APPLN. INFO.:

KR 2001-6673 A 20010212
WO 2002-JP1139 W 20020212
OTHER SOURCE(S):
CASREACT 137:185838; MARPAT 137:185838
```

AB The title compds. I [R1 is hydrogen or linear or branched C1-4 alkyl; R2 is hydrogen or linear or branched C1-4 alkyl; and R3 is halogeno] are prepared in a multistep process. I are motilin receptor antagonists and are useful as drugs for gastric or intestinal diseases (no data). Thus, amidation of N-(tert-butoxycarbonyl)-L-(4-fluorophenyl)alanine with L-valine Me ester hydrochloride, followed by methylation with iodomethane, saponification, reaction with 3-tert-butyl-L-tyrosine Et amide, and deprotection,

gave N-methyl-L-4-fluorophenylalanyl-N-methyl-L-valine-3-tert-butyl-L-tyrosine Et amide.

IT 287206-61-5P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(process for preparation of peptide derivs.)

RN 287206-61-5 HCAPLUS

CN L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 287210-10-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(process for preparation of peptide derivs.)

RN 287210-10-0 HCAPLUS

CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-dimethylethyl)-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 12:21:47 ON 11 JUN 2007)

FILE 'REGISTRY' ENTERED AT 12:21:55 ON 11 JUN 2007

L1 STRUCTURE UPLOADED

L2 1 S L1

L3 519 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 12:27:12 ON 11 JUN 2007

L4 94 S L3

L5 2 S L4 AND MATSUOKA, H?/AU

L6 92 S L4 NOT L5

L7 1 S L6 AND SATO, T?/AU

L8 91 S L6 NOT L7

L9 0 S L8 AND TAKAHASHI, T?/AU

1 S L8 AND KIM, D?/AU

=> s 18 not 110

L11 90 L8 NOT L10

=> s 111 and jung, k?/au

2843 JUNG, K?/AU

L12 0 L11, AND JUNG, K?/AU

=> s lll and park, c?/au

10333 PARK, C?/AU

L13 0 L11 AND PARK, C?/AU

 \Rightarrow d l11, ibib abs fhitstr, 1-90

L11 ANSWER 1 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:16167 HCAPLUS

DOCUMENT NUMBER: 146:252099

SOURCE:

TITLE: Oxidative cyclization of isodityrosine tripeptides:

optimized condition and application of

electrochemically generated thallium(III) ion Tanabe, Takamasa; Obata, Rika; Nishiyama, Shigeru

AUTHOR(S): Tanabe, Takamasa; Obata, Rika; Nishiyama, Shiger CORPORATE SOURCE: Department of Chemistry, Faculty of Science and

Technology, Keio University, Hiyoshi 3-14-1,

Kohoku-ku, Yokohama, 223-8522, Japan

Heterocycles (2006), 69, 113-118

CODEN: HTCYAM; ISSN: 0385-5414

PUBLISHER: Japan Institute of Heterocyclic Chemistry

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 146:252099

AB Thallium(III) trinitrate oxidation of the tripeptide, which was a synthetic precursor of the 17-membered isodityrosine natural products isolated from sponge Microciona eurypa, eurypamides, was investigated to give the desired cyclized compound in 96% yield at best. In addition, the thallium(III) species generated by electrochem. oxidation of thallium(I) successfully

produced the target compds.

IT 866417-84-7

RL: RCT (Reactant); RACT (Reactant or reagent) (oxidative macrocyclization of isodityrosine tripeptides by electrochem. generated thallium)

RN 866417-84-7 HCAPLUS

CN L-Tyrosine, 3,5-diiodo-N-[(phenylmethoxy)carbonyl]-L-tyrosyl-O-(phenylmethyl)-L-threonyl-3,5-dibromo-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:1298385 HCAPLUS

DOCUMENT NUMBER: 146:177451

TITLE: Delineation of the motilin domain involved in

desensitization and internalization of the motilin

receptor by using full and partial antagonists Mitselos, Anna; Depoortere, Inge; Peeters, Theo L.

CORPORATE SOURCE: Centre for Gastroenterological Research, Catholic University of Leuven, Louvain, B-3000, Belg.

Biochemical Pharmacology (2007), 73(1), 115-124

CODEN: BCPCA6; ISSN: 0006-2952

PUBLISHER: Elsevier B.V.

AUTHOR(S):

SOURCE:

DOCUMENT TYPE:

Journal

LANGUAGE:

English

Studies with fragments of the gastrointestinal peptide, motilin, indicate AB that the C-terminal region of this peptide plays an important role in the desensitization of the motilin receptor (MTLR). To verify this hypothesis, we studied the desensitization, phosphorylation and internalization induced by motilin analogs of different chain length with agonistic and antagonistic properties in CHO-MTLR cells. We studied motilin [1-22], the [1-14] fragment, the analogs Phe3[1-22] and Phe3[1-14], and two putative antagonists, GM-109 and MA-2029 (modified 1-4 and 1-3 fragments). Activation and desensitization (2 h preincubation with the motilin analogs 10 $\mu M)$ were studied in CHO-MTLR cells by an aequorin based luminescence assay. Phosphorylation was studied by immunopptn. and internalization was visualized in CHO-MTLR cells containing an enhanced green fluorescent protein (CHO-MTLR-EGFP). Results showed that Motilin [1-22] and [1-14] were more potent than Phe3[1-22] and Phe3[1-14](pEC50: 9.77, 8.78, 7.36 and 6.65, resp.) to induce Ca2+ release. GM-109 and MA-2029 were without agonist activity. Motilin[1-22] and Phe3[1-22] decreased the second response to motilin from 78±2% to 11±3% and $34\pm3\%$ (P < 0.001), resp., whereas [1-14], Phe3[1-14], GM-109 and MA-2029 had no desensitizing effect (68 \pm 5%, 78 \pm 3%, 78 \pm 6% and 78 \pm 5%, resp., P > 0.05). The rank order of MTLR-phosphorylation was: [1-22] > [1-14] > Phe3[1-22] = Phe3[1-14] > GM-109 = MA-2029. Onlymotilin [1-22] and [1-14] induced receptor MTLR-EGFP internalization as shown by a decrease in membrane fluorescence: 20±3% and 7±3%, resp. Thus, the C-terminus of motilin enhances desensitization, phosphorylation and internalization of the MTLR while modifications of the N-terminus can favor a conformation of the receptor that is less susceptible to phosphorylation and internalization.

IΤ 922190-03-2, MA 2029

RL: BSU (Biological study, unclassified); PKT (Pharmacokinetics); PRP (Properties); BIOL (Biological study)

(motilin receptor antagonist; delineation of motilin domain involved in

desensitization, phosphorylation and internalization of motilin receptor by using full and partial antagonists)

922190-03-2 HCAPLUS RN

L-Tyrosinamide, 4-fluoro-N-methyl-L-phenylalanyl-N-methyl-L-valyl-3-(1,1-CN dimethylethyl)-N-ethyl-, hydrochloride (1:1) (CA INDEX NAME)

THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS 48 REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L11 ANSWER 3 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN 2006:710144 HCAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 145:189182 Preparation of peptides as neuropeptide-2 receptor TITLE: (Y-2R) agonists Danho, Waleed; Ehrlich, George; Fry, David C.; Khan, INVENTOR(S): Wajiha; Swistok, Joseph USA PATENT ASSIGNEE(S): U.S. Pat. Appl. Publ., 102 pp. SOURCE: CODEN: USXXCO DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE ----_____ ______ _____ US 2006-328743 WO 2006-EP161 US 2006160742 20060720 20060110 A1 A1 WO 2006077035 20060727 Α9 20061026 WO 2006077035 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM PRIORITY APPLN. INFO.: US 2005-644840P P 20050118 OTHER SOURCE(S): MARPAT 145:189182 AB R12-R13-R14-NH2 [X is 6-piperazin-1-yl-4(3H)-quinazolinone-3-acetic acid (Pqa), 5-0-(carboxymethyl)serotonin (Cms), 4-(2-aminoethyl)-6dibenzofuranpropanoic acid, 4-piperidin-4-ylbutanoic acid, or 4-(2-aminoethyl)-1-(carboxymethyl)piperazine; Y is H, (un)substituted alkyl, aryl, or alkoxy or a poly(ethylene) glycol moiety; R1-R14 are amino acid residues (defined)] and their pharmaceutically-acceptable salts which are neuropeptide-2 receptor (Y-2R) agonists and are useful for the treatment of diseases such as obesity. Thus, H-Ile-Lys-Pqa-Arg-His-Tyr-Leu-Asn-Leu-Val-Thr-Arg-Gln-Arg-Tyr-NH2 was prepared by the solid-phase method, exhibited selective Y-2R activity in vitro (EC50 = 3.2 nM, IC50 = 0.032 nM), and was shown to cause reduction of food intake in mouse models of human obesity. 900808-58-4P TT RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of peptides as neuropeptide-2 receptor (Y-2R) agonists) RN 900808-58-4 HCAPLUS

L-Tyrosinamide, N-acetyl-L-isoleucyl-L-norleucyl-4-oxo-6-(1-piperazinyl)-

3(4H)-quinazolineacety1-3,4,5-trifluoro-L-phenylalanyl-L-histidyl-L-tyrosyl-L-leucyl-L-asparaginyl-L-leucyl-L-valyl-L-threonyl-L-arginyl-L-

CN

glutaminyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

L11 ANSWER 4 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2006:595922 HCAPLUS

DOCUMENT NUMBER:

146:179253

TITLE:

TMC-95-Based Inhibitor Design Provides Evidence for

the Catalytic Versatility of the Proteasome

AUTHOR(S):

Groll, Michael; Goetz, Marion; Kaiser, Markus; Weyher,

Elisabeth; Moroder, Luis

CORPORATE SOURCE:

Department for Physiological Chemistry,

Ludwig-Maximilians-University, Munich, D-81377,

Germany

SOURCE:

Chemistry & Biology (Cambridge, MA, United States)

(2006), 13(6), 607-614

CODEN: CBOLE2; ISSN: 1074-5521

PUBLISHER: DOCUMENT TYPE:

Cell Press Journal

LANGUAGE:

English

TMC-95's natural cyclic tripeptide metabolites represent potent AB competitive proteasome inhibitors. The constrained conformation of TMC-95 proteasomal inhibitors provides the driving force for entropically high-affinity binding. Based on the crystal structure of the proteasome: TMC-95A complex, the synthetically challenging TMC-95 core structure was used for the design and synthesis of less demanding biphenyl-ether macrocycles, in which the biphenyl-ether moiety functions as an endocyclic clamp restricting its tripeptide backbone. These simplified analogs allowed us to identify high plasticity of the proteasomal tryptic-like specificity pocket. Biphenyl-ether compds. extended with an amide group were hydrolyzed by the proteasome, although the crystal structure of such proteasome:biphenyl-ether complexes revealed quenching of proteolysis at the acyl-enzyme intermediate. Our data reveal that biphenyl-ether derivs. bind noncovalently to the proteasomal tryptic-like active site in a reversible substrate-like manner without allosteric changes of active site residues.

IT 918906-65-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(design, preparation and structural characterization of proteasomal TMC-95-based inhibitors)

RN 918906-65-7 HCAPLUS

CN L-Tyrosinamide, 3-fluoro-4-nitro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-L-asparaginyl-N-propyl- (CA INDEX NAME)

REFERENCE COUNT:

47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2007 ACS on STN L11 ANSWER 5 OF 90

ACCESSION NUMBER:

2006:505970 HCAPLUS

DOCUMENT NUMBER:

146:274598

TITLE:

Solid-phase synthesis and biological activities of biphenyl ether-containing cyclic oligopeptides Nakamura, Kazuhiko; Obata, Rika; Nishiyama, Shigeru

AUTHOR(S):

SOURCE:

CORPORATE SOURCE:

Faculty of Science and Technology, Keio University, 3-14-1 Kohoku-ku, Yokohama, 223-8522, Japan Peptide Science (2006), Volume Date 2005, 42nd,

127-130

CODEN: PSCIFQ; ISSN: 1344-7661

PUBLISHER:

Japanese Peptide Society

DOCUMENT TYPE:

Journal

LANGUAGE:

English

A symposium report. Halogenated cyclic isodityrosine-class tripeptides were synthesized as analogs of eurypamide B, a marine natural product. The cyclic peptides were synthesized using thallium(III) oxidation as a key reaction to construct the biaryl ether linkage in good yield. In addition, manipulation of trityl resin-supported tripeptide as a substrate of oxidation enabled a solid-phase synthesis of the peptides. Upon combination with imipenem, some of the resultant eurypamide-analogs showed anti-MRSA activity.

866417-84-7P ΙT

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(solid-phase synthesis of biphenyl ether-linked cyclic peptides as eurypamide B analogs, and their anti-MRSA activity in combination with imipenem)

RN 866417-84-7 HCAPLUS

L-Tyrosine, 3,5-diiodo-N-[(phenylmethoxy)carbonyl]-L-tyrosyl-O-CN (phenylmethyl)-L-threonyl-3,5-dibromo-, methyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT:

5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: DOCUMENT NUMBER:

2006:494455 HCAPLUS 144:488943

TITLE:

Preparation of cyclic isodityrosine derivatives having

an imipenem-potentiating effect and a cholesteryl

ester formation inhibiting activity

INVENTOR(S):

Nishiyama, Shigeru; Obata, Rika; Tomoda, Hiroshi

PATENT ASSIGNEE(S):

Keio University, Japan PCT Int. Appl., 75 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

1

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO.					KIND DATE			i	APPL	ICAT		DATE				
WO	O 2006054396			A1	_	2006	0526	WO 2005-JP18182						20050930			
	W:	AE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	ΚP,	KR,	ΚZ,
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,
		NA,	NG,	NI,	NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,
		SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	ΤZ,	UA,	UG,	US,	UΖ,	VC,	VN,
		YU,	ZA,	ZM,	ZW												
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	ΉU,	ΙE,
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG,	BW,	GH,
		GM,	ΚE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	ΚZ,	MD,	RU,	ТJ,	TM										
PRIORIT	JP 2004-336698									A 20041119							
OTHER SOURCE(S):						MARPAT 144:488943											

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Title compds. I [R1 = H, amido, Boc, etc.; R2 = benzoyl, benzyl, modified AB benzyl, etc.; R3 = H, OR5, amido; R5 = straight-chain or branched alkyl, aromatic ring; R4 = H, straight-chain or branched alkyl; X1, X2 = halo] and

GI

their pharmacol. acceptable salts were prepared For example, BOP mediated acylation of 3,5-dibromo-L-tyrosine Me ester with O-benzyl-N-Boc-threonine followed by reaction with N-Cbz-3,5-diiodo-L-tyrosine and treatment with thallium (III) nitrate afforded compound II. Compound II exhibited an imipenem-potentiating effect against MRSA (IC50 = 3 μ g/mL). And, in cholesteryl ester formation inhibition assays, the IC50 value of compound II was 3 μ g/mL.

IT 866417-84-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of cyclic isodityrosine derivs. having imipenem-potentiating effect and cholesteryl ester formation inhibiting activity)

RN 866417-84-7 HCAPLUS

CN L-Tyrosine, 3,5-diiodo-N-[(phenylmethoxy)carbonyl]-L-tyrosyl-O-(phenylmethyl)-L-threonyl-3,5-dibromo-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1007538 HCAPLUS

DOCUMENT NUMBER: 143:460423

TITLE: Further analogues of plant peptide hormone

phytosulfokine- α (PSK- α) and their

biological evaluation

AUTHOR(S): Bahyrycz, Agata; Matsubayashi, Yoshikatsu; Ogawa,

Mari; Sakagami, Youji; Konopinska, Danuta

CORPORATE SOURCE: Faculty of Chemistry, University of Wroclaw, Wroclaw,

50-383, Pol.

SOURCE: Journal of Peptide Science (2005), 11(9), 589-592

CODEN: JPSIEI; ISSN: 1075-2617

PUBLISHER: John Wiley & Sons Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 143:460423

AB Phytosulfokine- α (PSK- α), a sulfated growth factor of structure H-Tyr(SO3H)-Ile-Tyr(SO3H)-Thr-Gln-OH universally found in both monocotyledons and dicotyledons, strongly promotes proliferation of plant cells in culture. In studies on the structure/activity relationship of PSK- α , synthesis was performed for a series of 23 analogs modified at position 1, 3 or 4 as well as simultaneously at positions 1 and 3 of the peptide chain. The peptides were synthesized by the solid phase

method according to the Fmoc procedure on a Wang-resin. Free peptides were released from the resin by 95% TFA in the presence of EDT. All peptides were tested by competitive binding assay to the carrot membrane using 3H-labeled PSK- α according to the test of Matsubayashi et al.

Among these peptide analogs, [H-Phe(4-Cl)1]-PSK- α ,

[H-Phe(4-I)1]-PSK- α , and [Phe(4-Cl)3]-PSK- α retained 30% PSK- α activity. Analog [Tyr(PO3H2)3]-PSK- α showed 10% of $PSK-\alpha$ activity.

869100-74-3P IT

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of analogs of plant peptide hormone phytosulfokine- α $(PSK-\alpha)$ and their biol. evaluation)

869100-74-3 HCAPLUS RN

L-Glutamine, 4-chloro-L-phenylalanyl-L-isoleucyl-O-sulfo-L-tyrosyl-L-CN (CA INDEX NAME) threonyl- (9CI)

Absolute stereochemistry. Rotation (-).

REFERENCE COUNT:

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS 12 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2005:921405 HCAPLUS

DOCUMENT NUMBER:

143:367587

TITLE:

Discovery of halogenated eurypamide B analogs as inhibitors of lipid droplet accumulation in

macrophages

AUTHOR(S):

Obata, Rika; Ohshiro, Taichi; Tomoda, Hiroshi;

Nishiyama, Shigeru

CORPORATE SOURCE:

Department of Chemistry, Faculty of Science and Technology, Keio University, Kohoku-ku, Yokohama,

223-8522, Japan

SOURCE:

Bioorganic & Medicinal Chemistry Letters (2005),

15(19), 4189-4191

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: DOCUMENT TYPE:

Elsevier B.V. Journal

Ι

LANGUAGE:
OTHER SOURCE(S):

R1NH

English CASREACT 143:367587

GI

Br OH O Br O O N H N H

Me

or2

AB Halogenated cyclic isodityrosine-tripeptides [I (R1 = H, R2 = CH2Ph, R3 = Me; R1 = R2 = H, R3 = Me; R1 = Boc, R2 = R3 = H; Boc = tert-butoxycarbonyl)] were synthesized as analogs of a marine natural product, eurypamide B. Although the original eurypamides showed no inhibitory activity, the new analogs were found to inhibit lipid droplet accumulation in macrophages with a low micromolar IC50 value.

IT 620960-60-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of halogenated cyclic isodityrosine-tripeptides eurypamide B analogs by peptide coupling and ring closure via intramol. phenolic oxidation)

RN 620960-60-3 HCAPLUS

CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-3,5-diiodo-L-tyrosyl-L-threonyl-3,5-dibromo-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

REFERENCE COUNT:

14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2007 ACS on STN L11 ANSWER 9 OF 90

ACCESSION NUMBER:

2005:485754 HCAPLUS

DOCUMENT NUMBER:

143:173123

TITLE:

Microwave-Assisted Intramolecular Suzuki-Miyaura Reaction to Macrocycle, a Concise Asymmetric Total

Synthesis of Biphenomycin B Lepine, Renaud; Zhu, Jieping

AUTHOR(S): CORPORATE SOURCE:

Institut de Chimie des Substances Naturelles, CNRS,

Gif-sur-Yvette, 91198, Fr.

SOURCE:

Organic Letters (2005), 7(14), 2981-2984

CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER:

American Chemical Society

DOCUMENT TYPE:

Journal

LANGUAGE:

English

Ι

OTHER SOURCE(S):

CASREACT 143:173123

GT

A concise and efficient total synthesis of biphenomycin B (I) was AB accomplished featuring a key microwave-assisted intramol. Suzuki-Miyaura reaction for formation of the 15-membered meta, meta-cyclophane 20.

ΙT 861099-80-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(microwave-assisted intramol. Suzuki-Miyaura reaction to macrocycle, a concise asym. total synthesis of biphenomycin B)

861099-80-1 HCAPLUS RN

L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-5-iodo-2-(1-CN methylethoxy)-L-phenylalanyl-3-[(5R)-2,2-dimethyl-3-[(phenylmethoxy)carbonyl]-5-oxazolidinyl]-L-alanyl-2-(1-methylethoxy)-5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-, methyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 10 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:857446 HCAPLUS

DOCUMENT NUMBER:

141:326194

TITLE:

Gonadotropin releasing hormone (GnRH) analogs

conjugates with steroid hormones and therapeutic uses

thereof

INVENTOR(S):

Millar, Robert Peter

PATENT ASSIGNEE(S):

Ardana Bioscience Limited, UK

SOURCE:

PCT Int. Appl., 76 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	rent	NO.			KIND DATE				i	APPL	ICAT:	DATE					
WO	2004087215				A1	_	20041014			WO 2	004-0		20040405				
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ВŻ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		ТJ,	TM,	TN,	TR,	TT,	ΤZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	ΤZ,	UG,	ZM,	ZW,	AM,	AZ,
		BY,	KG,	KZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,
		ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	ΝL,	PL,	PT,	RO,	SE,	SI,
		SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,
		TD,	ΤG														
EΡ	1613	357			A1 20060111			EP 2004-725716						20040405			
	R:	AT,	BE.	CH.	DE.	DK.	ES.	FR.	GB.	GR.	IT.	LI.	LU.	NL.	SE.	MC.	PT.

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR JP 2006-506091 20040405 JP 2006522085 T 20060928 20060703 US 2006-552110 US 2006247177 Α1 20061102 GB 2003-7777 20030404 PRIORITY APPLN. INFO .: WO 2004-GB1478 20040405

AB A compound comprising a gonadotrophin releasing hormone analog conjugated to a hormone moiety, or a derivative thereof, which is able to bind to a plasma hormone binding protein. The compds. may be used to treat hormone-dependent disorders such as cancer, or as a contraceptive.

IT 428438-55-5, A-84861

RL: RCT (Reactant); RACT (Reactant or reagent) (gonadotropin releasing hormone (GnRH) analogs conjugates with steroid hormones and therapeutic uses thereof)

RN 428438-55-5 HCAPLUS

CN D-Alaninamide, N-[[(2S)-tetrahydro-2-furanyl]carbonyl]glycyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-N-methyl-L-tyrosyl-N6-(3-pyridinylcarbonyl)-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 11 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

2004:674362 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 142:86565

TITLE: Studies on experimental iodine allergy: 3. Low

molecular weight elicitogenic antigens of iodine

allergy

AUTHOR(S): Sugihara, Yoshiki; Shionoya, Hiroshi; Okano, Kazuo;

Sagami, Fumio; Mikami, Takashi; Katayama, Kouichi Department of Drug Safety Research, Eisai Tsukuba

CORPORATE SOURCE: Research Laboratories, Eisai Co., Ltd., Tsukubashi,

Ibaraki, 300-2635, Japan Journal of Toxicological Sciences (2004), 29(2), SOURCE:

147-154

CODEN: JTSCDR; ISSN: 0388-1350 Japanese Society of Toxicology

DOCUMENT TYPE: Journal

LANGUAGE: English We hypothesize that iodine allergy is an immune response to iodinated self proteins produced in vivo from various iodine-containing chems. Since an antigenic determinant of exptl. iodine allergy is diiodotyrosine (DIT), we designed low mol. weight DIT derivs. having provocative antigenicity without sensitizing immunogenicity. Tetraiododityrosine and hexaiodotrityrosine provoked dose-dependent skin reactions in guinea pigs previously immunized with iodine. No guinea pigs immunized with hexaiodotrityrosine showed anaphylactic reaction by i.v. challenge with hexaiodotrityrosine and none of their antisera showed pos. passive cutaneous anaphylaxis (PCA) reaction in guinea pigs, indicating the non-immunogenic nature of the compound Erythrosine, one of the color additives having a structure common with DIT, was assessed for its immunol. property. ELISA inhibition studies on erythrosine revealed that the inhibitory activity of erythrosine was stronger than that of DIT. Furthermore, erythrosine provoked a PCA reaction in animals sensitized with anti-iodine antisera. In conclusion, hexaiodotrityrosine is thought to be useful for skin testing of iodine allergy without any fear of sensitization to the allergen. Erythrosine was shown to provoke an exptl. iodine allergy and, also, the relationships

PUBLISHER:

between the new concept of iodine allergy and features of clin. findings of adverse effects by iodocontrast media are discussed.

174608-41-4 IT

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); BIOL (Biological study)

(low mol. weight DIT derivative hexaiodotrityrosine is antigenic but not immunogenic in provoking PCA in guinea pig iodine allergy model and erythrosine is immunogenic in provoking exptl. iodine allergy)

RN

174608-41-4 HCAPLUS L-Tyrosine, 3,5-diiodo-L-tyrosyl-3,5-diiodo-L-tyrosyl-3,5-diiodo- (9CI) CN (CA INDEX NAME)

Absolute stereochemistry.

19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 12 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:466148 HCAPLUS

DOCUMENT NUMBER: 141:174467

Total synthesis of eurypamides, marine TITLE:

cyclic-isodityrosines from the Palauan sponge

Microciona eurypa

Ito, Miyuki; Yamanaka, Maki; Kutsumura, Noriki; AUTHOR(S):

Nishiyama, Shigeru

Department of Chemistry, Faculty of Science and CORPORATE SOURCE:

Technology, Keio University, Kohoku-ku, Yokohama,

223-8522, Japan

Tetrahedron (2004), 60(26), 5623-5634 SOURCE:

CODEN: TETRAB; ISSN: 0040-4020

Elsevier Science B.V. PUBLISHER:

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:174467

GT

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Total synthesis of eurypamides A, B, and D has been successfully AB

Updated Search

IT

accomplished. The T1(NO3)3 (TTN) oxidation of the halogenated bisphenols, e.g. I (Boc = tert-butoxycarbonyl), effected regio-controlled cyclization to provide the corresponding diaryl ethers, e.g. II. This investigation revealed a structural revision of eurypamide A as to possess (2''S,3''R,4''S)-configuration, along with the spectral data of pure eurypamides A and D, which were previously characterized in a mixture 620960-60-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(total synthesis of eurypamides, isolated from Microciona eurypa, via T1(NO3)3 oxidation and regioselective cyclization of halogenated bisphenols intermediates)

RN 620960-60-3 HCAPLUS

CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-3,5-diiodo-L-tyrosyl-L-threonyl-3,5-dibromo-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

9

REFERENCE COUNT:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 13 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:353144 HCAPLUS

DOCUMENT NUMBER:

140:368700

TITLE:

Methods using exemestane, alone or with other

therapeutic agents, for treating estrogen-dependent

disorders

INVENTOR(S):

Wajszczuk, Charles Paul; Gans, Hendrik J. Dekoning; Di

Salle, Enrico; Piscitelli, Gabriella; Massimini,

Giorgio; Purandare, Dinesh

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 21 pp., Cont.-in-part of WO

2002 72,106.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.						KIN	D 1	DATE			APPLICATION NO.						DATE			
						-														
	US 2004082557					A1		20040429			US 2003-611653						20030702			
	WO 2002072106					A2		20020919			WO 2002-EP638						20020118			
WO 2002072106					A3		20031030													
		W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,		
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,		
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	KZ,	LC,	LK,	LR,		

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO:

US 2001-770911

B2 20010126

WO 2002-EP638

A2 20020118

US 2002-393320P

P 20020702

AB The invention discloses a method of preventing and/or treating estrogen-dependent disorders selected from endometriosis, uterine fibroids, dysfunctional uterine bleeding, endometrial hyperplasia, polycystic ovarian disease, fibrocystic breast disease and fibrocystic mastopathy, which comprises administering to a female mammal in need of such treatment an effective amount of aromatase inactivator exemestane, alone or in combination with addnl. therapeutic agents. The invention also discloses a method for treating infertility in a female mammal in need of the infertility treatment, comprising administering an effective amount of exemestane to the mammal.

IT 428438-55-5, A 84861

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(exemestane, alone or with other therapeutic agents, for treating estrogen-dependent disorders)

RN 428438-55-5 HCAPLUS

CN D-Alaninamide, N-[[(2S)-tetrahydro-2-furanyl]carbonyl]glycyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-N-methyl-L-tyrosyl-N6-(3-pyridinylcarbonyl)-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

L11 ANSWER 14 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:209417 HCAPLUS

DOCUMENT NUMBER: 141:157439

TITLE: TMC-95A analogues with endocyclic biphenyl ether group

as proteasome inhibitors

AUTHOR(S): Kaiser, Markus; Milbradt, Alexander G.; Siciliano,

Carlo; Assfalg-Machleidt, Irmgard; Machleidt, Werner;

Groll, Michael; Renner, Christian; Moroder, Luis

CORPORATE SOURCE: Max-Planck-Institut fuer Biochemie, AG Bioorganische

Chemie, Martinsried, D-82152, Germany

SOURCE: Chemistry & Biodiversity (2004), 1(1), 161-173

CODEN: CBHIAM; ISSN: 1612-1872 Verlag Helvetica Chimica Acta AG

PUBLISHER: Verlag He DOCUMENT TYPE: Journal

DOCUMENT TYPE: Journal LANGUAGE: English

AB TMC-95A, a cyclic tripeptide metabolite of Apiospora montagnei, is a potent competitive inhibitor of proteasome. Based on the X-ray structure of its complex with yeast proteasome, the synthetically challenging structure of this natural product was simplified in a first generation of analogs by replacing the highly oxidized side-chain biaryl system with a phenyl-oxindole group. In the present study, the TMC-95 biaryl group was substituted with a biphenyl ether with retainment of significant proteasome inhibition. Because of the facile synthetic access of tripeptides containing in i, i+2 positions residues of the isodityrosine type, this new generation of TMC-95 analogs may represent promising lead structures for further optimization of affinity and selectivity of proteasome inhibitors.

IT 728007-88-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of TMC-95A analogs with endocyclic biphenyl ether group as proteasome inhibitors)

RN 728007-88-3 HCAPLUS

CN L-Tyrosinamide, 3-fluoro-4-nitro-N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-L-asparaginyl-N-propyl-O-[tris(1-methylethyl)silyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 15 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2003:989207 HCAPLUS

DOCUMENT NUMBER:

140:287691

TITLE:

Development and characterization of potent and specific peptide inhibitors of p60c-src protein

tyrosine kinase using pseudosubstrate-based inhibitor

design approach

AUTHOR(S):

SOURCE:

Kamath, J. R.; Liu, R.; Enstrom, A. M.; Lou, Q.; Lam,

K.S.

CORPORATE SOURCE:

Division of Hematology and Oncology, Department of Internal Medicine, UC Davis Cancer Center, University of California Davis, Sacramento, CA, 95817, USA

Journal of Peptide Research (2003), 62(6), 260-268

CODEN: JPERFA; ISSN: 1397-002X

PUBLISHER:

Blackwell Publishing Ltd. Journal

DOCUMENT TYPE: LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 140:287691

The cytoplasmic protein p60c-src, an ubiquitous non-receptor protein tyrosine kinase (PTK) is a potential anticancer target as it is over-expressed and/or constitutively active in several cancer types. addition, the phenotype of c-src knock-out mice is consistent with osteopetrosis, which suggests that inhibitors against this enzyme may also be therapeutic for osteoporosis. Using a known peptide substrate for c-src, MIYKYYF, as a template, we have developed a series of pseudosubstrate-based peptide inhibitors. Structure-activity relationship studies have been performed on one of these inhibitors, CIYKYYF. In a kinase assay using YIYGSFK as the substrate, CIYKYY has been demonstrated to inhibit p60c-src, with an IC50 of 0.6 μM . Further truncation has led to the determination that even the smaller peptide, CIYK, is a moderately potent inhibitor with IC50 of 15 µM. Some improvement in inhibitory potency (IC50 = $11.8 \mu M$) has been observed with the replacement of Tyr3 in CIYK with β -phenylalanine (β -Phe). The tetrapeptide Cl(β-Phe)K will be used as a lead compound for future development of

peptidomimetics and small mol. inhibitors that have the capacity to penetrate the plasma membrane of intact cells.

IT 676144-47-1P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(development and characterization of peptide inhibitors of p60c-src protein tyrosine kinase)

676144-47-1 HCAPLUS RN

L-Phenylalaninamide, L-cysteinyl-L-isoleucyl-3,5-diiodo-L-tyrosyl-L-lysyl-CN L-tyrosyl-L-tyrosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS 49 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2007 ACS on STN L11 ANSWER 16 OF 90

ACCESSION NUMBER:

2003:769309 HCAPLUS

DOCUMENT NUMBER:

139:365204

TITLE:

Synthesis and structural revision of eurypamides isolated from the Palauan sponge Microciona eurypa Ito, Miyuki; Yamanaka, Maki; Kutsumura, Noriki;

AUTHOR(S):

Nishiyama, Shigeru

CORPORATE SOURCE:

Faculty of Science and Technology, Department of Chemistry, Keio University, Kohoku-ku, Yokohama,

223-8522, Japan

SOURCE:

Tetrahedron Letters (2003), 44(43), 7949-7952

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER:

Elsevier Science B.V.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 139:365204

GI

AB Eurypamides A and B were successfully synthesized by employing T1(NO3)3 (TTN) oxidation of the corresponding halogenated phenols I [R1 = CH[(R)-Me]OH, CH[(S)-OTBS]CH[(R)-OTBS]CH2N3, CH[(R)-OTBS]CH[(S)-OTBS]CH2N3; TBS = SiMe2t-Bu; Boc = CO2t-Bu]. This investigation revealed that the dihydroxyarginine residue of eurypamide A should be revised to possess (2S,3R,4S)-configuration. In addition, the synthesis of eurypamide B provided a pure sample, which was previously characterized in a mixture IT 620960-60-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis via Tl(NO3)3 oxidation and cyclization of phenols intermediates of eurypamides A and B isolated from Microciona eurypa)

RN 620960-60-3 HCAPLUS

CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-3,5-diiodo-L-tyrosyl-L-threonyl-3,5-dibromo-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 17 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:533623 HCAPLUS

DOCUMENT NUMBER: 139:277151

TITLE: Diastereoselective synthesis of 1-

benzyltetrahydroisoquinoline derivatives from amino

acids by 1,4 chirality transfer. Part 2

AUTHOR(S): Zawadzka, Anna; Leniewski, Andrzej; Maurin, Jan K.;

Wojtasiewicz, Krystyna; Siwicka, Aleksandra; Blachut,

Dariusz; Czarnocki, Zbigniew

CORPORATE SOURCE: Faculty of Chemistry, Warsaw University, Warsaw,

02-093, Pol.

SOURCE: European Journal of Organic Chemistry (2003), (13),

2443-2453

CODEN: EJOCFK; ISSN: 1434-193X
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:277151

GΙ

L-Amino acids (L-Ala, L-Phe, L-Val, L-Pro) were used as a source of chirality in the diastereoselective synthesis of tetrahydroisoquinoline derivs. The key step was the Pictet-Spengler condensation of ketoamides I [R1 = H or Me; R2 is an amino acid side chain; or R1R2 = (CH2)3; R3 = H, C1], which proceeded under very mild conditions. L-Ala, L-Phe and L-Val gave rise the R-configuration at the newly formed stereogenic center. Surprisingly, L-Pro gave the opposite result. The stereochem. of II [R1, R2 = Me, R4 = C1; R1R2 = (CH2)3; R3 = H] were established on the basis of X-ray crystallog. data.

IT 603121-75-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(diastereoselective synthesis of benzylisoquinoline pyrazinedione derivs. from amino acids via Pictet-Spengler condensation)

RN 603121-75-1 HCAPLUS

CN Benzenepropanamide, 3-chloro-N-[(1S)-2-[[2-(3,4-dimethoxyphenyl)ethyl]amino]-1-methyl-2-oxoethyl]-N-methyl- α -oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

REFERENCE COUNT:

THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 18 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

38

Updated Search

ACCESSION NUMBER:

2003:343939 HCAPLUS

DOCUMENT NUMBER:

AUTHOR(S):

SOURCE:

139:286537

TITLE:

Established theory of radiation-induced decay is not

generalizable to Bolton-Hunter labeled peptides Doran, Amanda C.; Wan, Yieh-Ping; Kopin, Alan S.;

Beinborn, Martin

CORPORATE SOURCE:

Molecular Cardiology Research Institute, Molecular

Pharmacology Research Center, Tufts-New England

Medical Center, Boston, MA, 02111, USA

Biochemical Pharmacology (2003), 65(9), 1515-1520

CODEN: BCPCA6; ISSN: 0006-2952

PUBLISHER:

Elsevier Science Inc.

Journal English

DOCUMENT TYPE: LANGUAGE:

Peptide hormones radiolabeled with 125I are widely used for the pharmacol. characterization of cognate receptors. As a prerequisite for calculating ligand affinities from competition binding assays, and for estimating receptor densities from such studies, it is necessary to know the concentration of bioactive radioligand that is used in resp. expts. It has been demonstrated previously that radioiodinated peptides undergo decay catastrophe, i.e., disintegration of the radioactive label leads to the concomitant destruction of the carrier peptide. Decay catastrophe does not apply to two peptide hormones that are iodinated by Bolton-Hunter conjugation: cholecystokinin octapeptide and glucagon-like peptide 2. The function of aged samples of these radioligands at corresponding recombinantly expressed receptors was assessed by measuring ligand-induced

compds., although predicted by decay catastrophe to contain little or subthreshold remaining bioactivity, stimulated an unexpectedly high level of receptor-mediated second messenger signaling. Quant. comparison of observed functions with those of corresponding unlabeled peptides suggested that the bioactivity of each radioligand had been largely conserved despite the radioactive decay of the iodine label. Consistent with an apparent absence of decay catastrophe, the authors noted that the specific radioactivity, when determined immediately following peptide iodination, was close to the theor. maximum but exponentially decreased over time. These findings raise the possibility that attachment of a Bolton-Hunter conjugate may shield labeled peptides from radiation-induced damage, a

inositol phosphate production or generation of cAMP, resp. Both of the tested

expts. 79672-09-6

IT

RL: ANT (Analyte); BSU (Biological study, unclassified); CPS (Chemical process); PEP (Physical, engineering or chemical process); ANST (Analytical study); BIOL (Biological study); PROC (Process)

scenario that should be considered when performing radioligand binding

(established theory of radiation-induced decay is not generalizable to Bolton-Hunter labeled peptides in relation to second messenger signaling in COS-7 cells)

RN 79672-09-6 HCAPLUS

CN Cholecystokinin-8 (swine), N-[3-[4-hydroxy-3-(iodo-125I)phenyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

REFERENCE COUNT:

22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 19 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2003:133081 HCAPLUS

DOCUMENT NUMBER:

138:193268

```
09890219
                           Polypeptide conjugates with extended circulating
TITLE:
                          half-lives
                          West, Theodore R.; McMurry, Thomas J.; Dumas,
INVENTOR(S):
                           Stephane; Kolodziej, Andrew.
                           Epix Medical, Inc., USA
PATENT ASSIGNEE(S):
                          PCT Int. Appl., 62 pp.
SOURCE:
                          CODEN: PIXXD2
DOCUMENT TYPE:
                           Patent
LANGUAGE:
                          English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                  DATE
                                              APPLICATION NO.
     PATENT NO.
                          KIND
     _____
                          ----
                                  _____
                                               _____
                          A1 20030220 WO 2002-US25323 20020809
     WO 2003013573
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
              PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
         UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
              CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
              PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
              NE, SN, TD, TG
     AU 2002324655
                           A1
                                  20030224
                                             AU 2002-324655
                                                                        20020809
                                             EP 2002-759312
     EP 1423136
                           Α1
                                  20040602
                                                                        20020809
              AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
                           \mathbf{T}
                                  20041216
                                              JP 2003-518579
                                                                        20020809
     JP 2004537580
                           A1
                                  20041216
                                               US 2004-487025
                                                                        20040715
     US 2004254119
                           B2
                                  20070306
     US 7186797
     JP 2006063071
                           Α
                                  20060309
                                               JP 2005-218098
                                                                        20050727
                                                                    P 20010810
                                               US 2001-311557P
PRIORITY APPLN. INFO.:
                                               JP 2003-518579
                                                                    A3 20020809
                                               WO 2002-US25323
                                                                    W 20020809
                          MARPAT 138:193268
OTHER SOURCE(S):
     The present invention relates to compds. and methods for synthesizing
     compds. wherein the compds. exhibit extended circulating half-life in the
     blood. The increase in circulating half-life is achieved by conjugating
     polypeptides to binding groups that exhibit high affinity for human serum
     albumin. A conjugate of recombinant human insulin with 5-oxy-pentanoic
     acid-phosphono-(R)-2-oxymethyl-N-(S)-4-isobutyl-\alpha-methylphenylacetyl-
     N'-(S)-N-(3-methoxy-2,4,6-triiodobenzamide) aspartate ethylenediamine
```

diamide was prepared, and its pharmacokinetics was examined in rabbit. 497937-19-6D, derivs., conjugates with polypeptides ΙT

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of polypeptide conjugates having higher affinity for human serum albumin)

RN 497937-19-6 HCAPLUS

Benzenepropanamide, N, N'-[(1R)-1-[(phosphonooxy)methyl]-1,2-CN ethanediyl]bis[2,4,6-triiodo-3-methoxy- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS 3 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2007 ACS on STN L11 ANSWER 20 OF 90

ACCESSION NUMBER:

2002:716096 HCAPLUS

DOCUMENT NUMBER:

137:226651

TITLE:

Combined method for treating hormone-dependent

disorders with aromatase inactivator exemestane and

other therapeutic agents

INVENTOR(S):

Di Salle, Enrico; Piscitelli, Gabriella; Massimini, Giorgio; Purandare, Dinesh; Dekoning, Gans Hendrik

PATENT ASSIGNEE(S):

Pharmacia Italia S.p.A., Italy; Pharmacia & Upjohn

Company

SOURCE:

PCT Int. Appl., 49 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	PATENT NO.				KIND DATE				APPLICATION NO.						DATE			
	2002 2002				A2				,	WO 2	002-	EP63	8		2	0020	118	
		-							RA.	BB.	BG,	BR.	BY.	BZ.	CA.	CH.	CN.	
	,, ,										EE,							
											KG,							
											MW,							
		•	•	•	•	•		•			SL,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	
		UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	zw								
	RW:	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	ΤZ,	ŪG,	ZM,	ZW,	AM,	ΑZ,	BY,	
		KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	CH,	CY,	DE,	DK,	ES,	FI,	FR,	GB,	
		GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	
								SN,			•		•					
CA	2434							•	CA 2002-2434611						12	0020	118	
									AU 2002-257573									
	1377										002-					0020		
	1377									UL 2	002	, 2, 5.			_	0020	1.10	
151									CB	CP	IT,	тт	T.II	NIT	C F	мс	ייים	
	к.	•	•									111,	шо,	ип,	JE,	110,	ш,	
7.0	0004							MK,				- 7 1 A	C F		2	0000	110	
	2004															0020		
	3377															0020		
US	2004	0825	57		A1		20040429		9 US 2003-611653									
PRIORITY	PRIORITY APPLN. INFO.:					US 2001-770911					7							
						WO 2002-EP638 W 20020118						118						

US 2002-393320P

A method of preventing and treating estrogen dependent disorders selected AB from endometriosis, uterine fibroids, dysfunctional uterine bleeding, endometrial hyperplasia, polycystic ovarian disease, fibrocystic breast disease and fibrocystic mastopathy, is disclosed which is comprised of administering to a mammalian patient in need of such treatment an effective amount of aromatase inactivator exemestane, alone or in combination with addnl. therapeutic agents. ΙT

428438-55-5, A 84861

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combined method for treating hormone-dependent disorders with aromatase inactivator exemestane and other therapeutic agents)

RN 428438-55-5 HCAPLUS

D-Alaninamide, N-[[(2S)-tetrahydro-2-furanyl]carbonyl]glycyl-3-(2-CN naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-Nmethyl-L-tyrosyl-N6-(3-pyridinylcarbonyl)-D-lysyl-L-leucyl-N6-(1methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

L11 ANSWER 21 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2002:695975 HCAPLUS

DOCUMENT NUMBER:

137:232913

TITLE:

Preparation of peptides for pharmaceutical use as

modulators of melanocortin receptors

INVENTOR(S):

Yu, Guixue; Macor, John; Herpin, Timothy; Lawrence, R. Michael; Morton, George C.; Ruel, Rejean; Poindexter,

Graham S.; Ruediger, Edward H.; Thibault, Carl

PATENT ASSIGNEE(S):

SOURCE:

Bristol-Myers Squibb Company, USA

PCT Int. Appl., 107 pp. CODEN: PIXXD2

3 0 0 1 1 0 2 1

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE	APPLICATION NO. DATE
WO 2002070511 A1 20020912	WO 2002-US6479 20020302
W: AE, AG, AL, AM, AT, AU, AZ, B	BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, D	DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, J	JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, M	MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, S	SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, Z	•
	SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
, , , , , , , , , , , , , , , , , , , ,	GR, IE, IT, LU, MC, NL, PT, SE, TR,
	GN, GQ, GW, ML, MR, NE, SN, TD, TG
CA 2437594 A1 20020912	
AU 2002254095 A1 20020919	
EP 1363898 A1 20031126	
, , , , , , , ,	GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, C	
HU 200401544 A2 20041228	
JP 2005511475 T 20050428	
	US 2002-90582 20020304
US 6979691 B2 20051227	

US 2003096827	A1	20030522	US	2002-90288			20020304
US 6713487	В2	20040330					
US 2004229882	A1	20041118	US	2003-696761			20,031029
US 7067525	B2	20060627					
US 2006025403	A1	20060202	US	2005-199464			20050808
PRIORITY APPLN. INFO.:			US	2001-273206P	٠	P	20010302
			US	2001-273291P		Ρ	20010302
			WO	2002-US6479		W	20020302
			US	2002-90288		A3	20020304
			US	2002-90582		A3	20020304

OTHER SOURCE(S):

MARPAT 137:232913

GI

Compds. W-(CR6R7)yCH(G)(CR4R5)xCO-X(R1)CHR2(CHR3)r(CH2)sCO-E [X = N or CH; AΒ R1, R3 = H or alkyl; R2 = H, aryl, cycloalkyl, heteroaryl, heterocyclyl, (un) substituted alkyl or alkenyl; R1 together with R2 or R3 or R2 together with R3 form mono- or bicyclic aryl, cycloalkyl, heteroaryl, or heterocyclyl; E = (un)substituted pyrrolidino, piperidino, hexahydro-1-azepinyl, 1-piperazinyl, cyclopentyl, cyclohexyl, cycloheptyl, amino, (cyclo) alkylamino; R4-R6 = H, (un) substituted alkyl, amino, alkylamino, hydroxy, alkoxy, aryl, cycloalkyl, heteroaryl, or heterocyclyl; or CR4R5 or C6R7 is a spirocycloalkyl ring; r, s = 0 or 1; x = 0-4; y = 0-2; G = alkenyl, arylalkenyl, hydroxy, heteroaryl, cyano,functionalized alkyl or alkenyl, etc.; W = amino, alkylamino, hydroxy, alkoxy, carbamoyl, amidino, cycloalkyl, heteroaryl, heterocyclyl, etc.] were prepared as modulators of melanocortin receptors, particularly MC-1R and MC-4R. Thus, peptide I was prepared by a solution-phase peptide coupling/deprotection scheme.

IT 457902-69-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptides for pharmaceutical use as modulators of melanocortin receptors)

RN 457902-69-1 HCAPLUS

CN 4-Piperidinepropanamide, α -[[3-(2-chlorophenyl)-1-oxopropyl]amino]-N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, (α S)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 22 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2002:692478 HCAPLUS

DOCUMENT NUMBER: TITLE:

138:304504

Development and characterization of potent peptide

inhibitors of p60c-src PTK using pseudosubstrate-based

inhibitor design approach

AUTHOR(S):

Kamath, Jayesh R.; Liu, Ruiwu; Enstrom, Amanda M.;

Liu, Gang; Lou, Qiang; Lam, Kit S.

CORPORATE SOURCE:

Division of Hematology and Oncology, Department of

Internal Medicine, UC Davis Cancer Center, University

of California Davis, Sacramento, CA, 95817, USA

SOURCE:

Peptides: The Wave of the Future, Proceedings of the Second International and the Seventeenth American Peptide Symposium, San Diego, CA, United States, June 9-14, 2001 (2001), 551-552. Editor(s): Lebl, Michal; Houghten, Richard A. American Peptide Society: San

Diego, Calif.

CODEN: 69DBAL; ISBN: 0-9715560-0-8

DOCUMENT TYPE:

Conference English

LANGUAGE:

A symposium report. Using MIYKYYF as template, potent

pseudosubstrate-based inhibitors of p60c-src protein tyrosine kinase were synthesized. SAR (structure-activity relationship) studies of the parent peptide MIYKYYF identified IYKYYF as an inhibitor with similar potency as its parent peptide. Inhibition evaluations using dithiolthreitol showed a ten-fold reduction in inhibitory potency of CIYKYYF, suggesting that disulfide bond formation between the Cysl of the peptide CIYKYYF and a cysteine residue at the enzyme active site could account for the enhanced potency of CIYKYYF. At the N-terminus, the sulfhydryl group, the free $N\alpha$ -group and the L-enantiomer of Cysl are crucial for the improved inhibitory potency of the peptide CIYKYYF. The replacement of L-isoleucine2 of CIYKYYF with unnatural amino acid D-propargylglycine resulted in the development of the most potent inhibitor of this series. No improvement in inhibitory potency was noted with the Tyr3 mimetic analogs of CIYKYYF or CIYK, indicating the possibility that the hydroxyl group of Tyr3 could be essential for certain critical interactions at the enzyme active site.

IT 509149-13-7P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of pseudosubstrate-based potent peptide inhibitors of protein tyrosine kinase and enzyme-inhibiting structure-activity relationship)

509149-13-7 HCAPLUS RN

L-Phenylalanine, L-cysteinyl-L-isoleucyl-3,5-diiodo-L-phenylalanyl-L-lysyl-CN L-tyrosyl-L-tyrosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2007 ACS on STN L11 ANSWER 23 OF 90

ACCESSION NUMBER:

2002:637480 HCAPLUS

DOCUMENT NUMBER:

137:190724

TITLE:

Melanocortin metallopeptides for treatment of sexual

dysfunction

INVENTOR(S):

Sharma, Shubh D.; Shi, Yi-qun; Yang, Wei; Cai,

Hui-zhi; Shadiack, Annette

PATENT ASSIGNEE(S):

Palatin Technologies, Inc., USA

SOURCE:

PCT Int. Appl., 58 pp.

CODEN: PIXXD2 Patent

DOCUMENT TYPE: LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO.					KIND D		DATE		APPLICATION NO.						DATE		
	2002				A2 A3		2002			WO 2	002-	us44	31		2	0020	213	
	₩:	CO, GM, LS, RO,	CR, HR, LT, RU,	CU, HU, LU, SD,	CZ, ID, LV,	DE, IL, MA, SG,	AU, DK, IN, MD, SI,	DM, IS, MG,	DZ, JP, MK,	EC, KE, MN,	EE, KG, MW,	ES, KP, MX,	FI, KR, MZ,	GB, KZ, NO,	GD, LC, NZ,	GE, LK, PL,	GH, LR, PT,	
US	2002 2004 2005	GH, CY, BF, 2381 0388 1649	GM, DE, BJ, 06 97	KE, DK, CF,	LS, ES, CG, A1	MW, FI, CI,	MZ, FR, CM, 2002 2004 2005	GB, GA, 0828 0226	GR, GN,	IE, GQ, AU 2 US 2 US 2	IT, GW, 002-	LU, ML, 2381 6407 3627	MC, MR, 06 55	NL, NE,	PT, SN, 2	SE,	TR, TG 213 813 114	

A2 19950607 US 1995-476652 US 1996-660697 A3 19960605 US 2000-483837 A2 20000117 WO 2002-US4431 W 20020213 US 2003-640755 A2 20030813 US 2004-536691P P 20040114

OTHER SOURCE(S):

MARPAT 137:190724

Metallopeptides are provided for use in treatment of sexual dysfunction in AΒ mammals. The metallopeptides are agonists for at least one of melanocortin-3 or melanocortin-4 receptors. The metallopeptides are conformationally fixed on complexation of a metal ion-binding portion thereof with a metal ion. Also provided are metallopeptides that are antagonists for at least one of melanocortin-3 or melanocortin-4 receptors.

IT 448902-31-6

> RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(melanocortin metallopeptides for treatment of sexual dysfunction)

RN 448902-31-6 HCAPLUS

L-Cysteinamide, N-(1-oxoheptyl)-O-(phenylmethyl)-L-seryl-4-chloro-D-CN phenylalanyl-L-arginyl-L-tyrosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$H_{2}N$$
 $H_{3}N$
 $H_{4}N$
 $H_{5}N$
 $H_{6}N$
 $H_{7}N$
 H

HCAPLUS COPYRIGHT 2007 ACS on STN L11 ANSWER 24 OF 90

ACCESSION NUMBER:

2002:575744 HCAPLUS

DOCUMENT NUMBER:

137:135069

TITLE:

Method for reducing or preventing the establishment, growth or metastasis of cancer by administering indole peptidomimetics PAR-1 antagonist and optionally PAR-2

antagonists

INVENTOR(S):

D'Andrea, Michael; Derian, Claudia; Woodrow, Hal Brent

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 44 pp., Cont.-in-part of U.S.

Ser. No. 603,231.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002103138	A1	20020801	US 2001-865824	20010525
US 6858577	B1	20050222	US 2000-603231	20000626
US 2003224999	A1	20031204	US 2003-403542	20030331
US 7183252	B2	20070227		
PRIORITY APPLN. INFO.:			US 1999-141550P	P 19990629
			US 2000-603231	A2 20000626

OTHER SOURCE(S):

MARPAT 137:135069

The authors have discovered a method of modifying the tumor cell microenvironment to reduce or prevent the establishment, growth or metastasis of malignant cells comprising administering to a patient having malignant cells a pharmaceutically effective amount of a PAR-1 (proteinase-activated receptor 1) inhibitor and optionally a PAR-2 (proteinase-activated receptor 2) inhibitor to prevent or reduce activation of normal cells within the tumor microenvironment. This method also has the effect in some patients of modulating the immune system to facilitate a more efficient immune response to malignant cells and maybe coupled with cytokine therapy and T-cell therapy to enhance the patient's immune response to the malignant cells.

IT 316150-72-8P, L-Argininamide, 3,4-difluoro-N-[[[1-[(2-methylphenyl)methyl]-3-(1-pyrrolidinylmethyl)-1H-indol-6yl]amino]carbonyl]-L-phenylalanyl-N-[2-(2-methoxyphenyl)ethyl]RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(inhibition of growth or metastasis of cancer by administering indole peptidomimetics PAR-1 antagonists and combined with PAR-2 antagonists and other agents in relation to immunostimulant activity)

RN 316150-72-8 HCAPLUS

CN L-Argininamide, 3,4-difluoro-N-[[[1-[(2-methylphenyl)methyl]-3-(1-pyrrolidinylmethyl)-1H-indol-6-yl]amino]carbonyl]-L-phenylalanyl-N-[2-(2-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 25 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2002:487180 HCAPLUS

DOCUMENT NUMBER:

137:228443

TITLE:

A CLN2-related and thermostable serine-carboxyl

proteinase, kumamolysin: cloning, expression, and

identification of catalytic serine residue

AUTHOR(S):

Oyama, Hiroshi; Hamada, Takatoshi; Ogasawara, Shin; Uchida, Kenichi; Murao, Sawao; Beyer, Bret B.; Dunn,

Ben M.; Oda, Kohei

Department of Applied Biology, Faculty of Textile CORPORATE SOURCE:

Science, Kyoto Institute of Technology, Kyoto,

606-8585, Japan

Journal of Biochemistry (Tokyo, Japan) (2002), 131(5), SOURCE:

757-765

CODEN: JOBIAO; ISSN: 0021-924X Japanese Biochemical Society

PUBLISHER: DOCUMENT TYPE: Journal LANGUAGE: English

The gene encoding kumamolysin, a thermostable pepstatin-insensitive AR carboxyl proteinase, was cloned and expressed. (i) Kumamolysin was synthesized as a large precursor consisting of two regions: amino-terminal prepro (188 amino acids) and mature proteins (384 amino acids). (ii) The deduced amino acid sequence of the mature region exhibited high similarity to those of such bacterial pepstatin-insensitive enzymes as Pseudomonas carboxyl proteinase (PSCP; EC 3.4.23.37, identity = 37%), Xanthomonas carboxyl proteinase (XCP; EC 3.4.23.33, identity = 36%), and human CLN2 gene product (identity = 36%), which is related to a fatal neurodegenerative disease. (iii) The presumed catalytic triad, Glu78, Asp82, Ser278, was found to be conserved in the amino acid sequence of kumamolysin. (iv) Kumamolysin was inactivated by such aldehyde-type inhibitors as Ac-Ile-Pro-Phe-CHO (Ki = $0.7\pm0.14~\mu\text{M}$). In PSCP, it has been clarified that these inhibitors form a hemiacetal linkage with the catalytic serine residue and inactivate the enzyme. (v) Mutational anal. of the Ser278 residue revealed that the mutant lost both auto-processing activity and proteolytic activity. These results strongly suggest that kumamolysin has a unique catalytic triad consisting of Glu78, Asp82, and Ser278 residues, as previously observed for PSCP. 392232-98-3 ΤТ

RL: ARU (Analytical role, unclassified); BSU (Biological study, unclassified); PRP (Properties); ANST (Analytical study); BIOL (Biological

(kumamolysin has a unique catalytic triad consisting of Glu78, Asp82, and Ser278 residues, as previously observed for PSCP)

392232-98-3 HCAPLUS RN

L-Leucinamide, 4-iodo-N-(3-methyl-1-oxobutyl)-L-phenylalanyl-N-[(1S)-1-CN formyl-2-(4-hydroxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
HCAPLUS COPYRIGHT 2007 ACS on STN
L11 ANSWER 26 OF 90
                            2002:391511 HCAPLUS
ACCESSION NUMBER:
                            136:406856
DOCUMENT NUMBER:
                            Combined therapy against tumors comprising
TITLE:
                            estramustine phosphate and LHRH agonists or
                            antagonists
                            Buchalter, Jeffrey H.; Horak, Ivan D.
INVENTOR(S):
PATENT ASSIGNEE(S):
                            Pharmacia & Upjohn Company, USA
SOURCE:
                            PCT Int. Appl., 11 pp.
                            CODEN: PIXXD2
DOCUMENT TYPE:
                            Patent
                            English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                            KIND
                                    DATE
                                                 APPLICATION NO.
                                                                            DATE
     PATENT NO.
     WO 2002039996
                             A2
                                    20020523
                                                 WO 2001-US44161
                                                                            20011106
     WO 2002039996
                             A3
                                    20030320
              AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,
              HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
              LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT,
          RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
              BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                             Α5
                                    20020527
                                                 AU 2002-28648
                                                                            20011106
     AU 2002028648
PRIORITY APPLN. INFO.:
                                                  US 2000-714606
                                                                        A1 20001116
                                                  WO 2001-US44161
                                                                        W 20011106
     A method for treating tumors in a mammal, including humans, in need of
AB
     such a treatment including administering simultaneously, sep. or
      sequentially to said mammal estramustine phosphate and a LHRH agonist or
      antagonist, in amts. sufficient to achieve a therapeutically useful
      effect. Estramustine phosphate arginine salt formulation for injection
     was prepared
TΤ
      428438-55-5, A 84861
      RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
         (combined therapy against tumors comprising estramustine phosphate and
```

D-Alaninamide, N-[[(2S)-tetrahydro-2-furanyl]carbonyl]glycyl-3-(2-

methyl-L-tyrosyl-N6-(3-pyridinylcarbonyl)-D-lysyl-L-leucyl-N6-(1-

methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-N-

Absolute stereochemistry.

428438-55-5 HCAPLUS

LHRH agonists or antagonists)

RN

CN

PAGE 1-B

L11 ANSWER 27 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:391510 HCAPLUS

DOCUMENT NUMBER: 136:380114

TITLE: Aromatase inhibitor combination with inhibition of

testicular and ovarian hormone output for treatment of

estrogen-dependent cancers

INVENTOR(S):

Purandare, Dinesh

PATENT ASSIGNEE(S):

Pharmacia & Upjohn Company, USA

SOURCE:

PCT Int. Appl., 13 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

: 1

PATENT INFORMATION:

```
DATE
     PATENT NO.
                          KIND
                                  DATE
                                              APPLICATION NO.
                                  _____
                                              ______
                          ----
                                  20020523
                                              WO 2001-US43847
                                                                       20011106
                           A2
     WO 2002039995
                           Α9
                                  20030206
     WO 2002039995
                                  20030501
     WO 2002039995
                           Α3
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,
             HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
             US, UZ, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG,
             KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     CA 2428249
                                              CA 2001-2428249
                           A1
                                  20020523
                                                                       20011106
     AU 200230464
                                  20020527
                                              AU 2002-30464
                                                                       20011106
                           Α
                                  20030910
                                              EP 2001-990699
                                                                       20011106
     EP 1341549
                           A2
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                              CN 2001-818938
                                                                       20011106
     CN 1498112
                           Α
                                  20040519
     JP 2004536022
                           Т
                                  20041202
                                              JP 2002-542370
                                                                       20011106
     BR 2001015423
                           Α
                                  20051213
                                              BR 2001-15423
                                                                       20011106
                                              NZ 2001-525720
     NZ 525720
                           Α
                                  20061222
                                                                       20011106
     ZA 2003003669
                           Α
                                  20040513
                                              ZA 2003-3669
                                                                       20030513
                                              NO 2003-2206
     NO 2003002206
                           Α
                                  20030715
                                                                       20030515
                                              US 2003-416844
     US 2004043938
                           A1
                                  20040304
                                                                       20030912
                                              US 2000-714605
PRIORITY APPLN. INFO .:
                                                                   A1 20001116
                                              WO 2001-US43847
                                                                   W 20011106
     The invention provides a combination therapy for treating
AB
     estrogen-dependent cancers in susceptible mammals, including humans,
     comprising inhibiting testicular or ovarian hormone output and
     administering at least one aromatase inhibitor.
IT
     428438-55-5, A 84861
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (aromatase inhibitor combination with inhibition of testicular and
        ovarian hormone output for treatment of estrogen-dependent cancers)
RN
     428438-55-5 HCAPLUS
     D-Alaninamide, N-[[(2S)-tetrahydro-2-furanyl]carbonyl]glycyl-3-(2-
CN
     naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-N-
     methyl-L-tyrosyl-N6-(3-pyridinylcarbonyl)-D-lysyl-L-leucyl-N6-(1-
```

methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

PAGE 1-B

HCAPLUS COPYRIGHT 2007 ACS on STN L11 ANSWER 28 OF 90

2001:857934 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 136:147059

TITLE: Inhibitor Complexes of the Pseudomonas Serine-Carboxyl

Proteinase

Wlodawer, Alexander; Li, Mi; Gustchina, Alla; Dauter, AUTHOR(S):

Zbigniew; Uchida, Kenichi; Oyama, Hiroshi; Goldfarb,

Nathan E.; Dunn, Ben M.; Oda, Kohei

Protein Structure Section Macromolecular CORPORATE SOURCE:

Crystallography Laboratory and Intramural Research Support Program, SAIC Frederick National Cancer Institute at Frederick, Frederick, MD, 21702, USA

Biochemistry (2001), 40(51), 15602-15611 CODEN: BICHAW; ISSN: 0006-2960 SOURCE:

PUBLISHER: American Chemical Society DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:147059

Crystal structures of the serine-carboxyl proteinase from Pseudomonas sp. 101 (PSCP), complexed with a number of inhibitors, have been solved and refined at high- to atomic-level resolution All of these inhibitors (tyrostatin, pseudo-tyrostatin, AcIPF, AcIAF, and chymostatin, as well as previously studied iodotyrostatin and pseudo-iodotyrostatin) make covalent bonds to the active site Ser287 through their aldehyde moieties, while their side chains occupy subsites S1-S4 of the enzyme. The mode of binding of the inhibitors is almost identical for their P1 and P2 side chains, while significant differences are observed for P3 and P4 (if present). Kinetic parameters for the binding of these nanomolar inhibitors to PSCP have been established and correlated with the observed mode of binding. The preferences of this enzyme for a larger side chain in P2 as well as Tyr or Phe in P1 are explained by the size, shape, and characteristics of the S2 and S1 regions of the protein structure, resp. Networks of hydrogen bonds involving glutamic and aspartic acids have been analyzed for the atomic-resolution structure of the native enzyme. PSCP contains a calcium-binding site that consists of Asp328, Asp348, three amide carbonyl groups, and a water mol., in almost perfect octahedral coordination. The presence of Ca2+ cation is necessary for the activity of the enzyme.

IT 392232-98-3P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of peptide inhibitors of the Pseudomonas serine-carboxyl proteinase and crystallog. study of the proteinase-inhibitor complexes)

RN 392232-98-3 HCAPLUS

CN L-Leucinamide, 4-iodo-N-(3-methyl-1-oxobutyl)-L-phenylalanyl-N-[(1S)-1-formyl-2-(4-hydroxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HO CHO O I-BU NH I-BU O

REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 29 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:615130 HCAPLUS

DOCUMENT NUMBER: 135:358138

TITLE: Synthesis of a cyclic diaryl ether derivative under

solid-phase conditions

AUTHOR(S): Nakamura, K.; Nishiya, H.; Nishiyama, S.

CORPORATE SOURCE: National Institute of Advanced Industrial Science and

Technology, Tsukuba, 305-8566, Japan

SOURCE: Tetrahedron Letters (2001), 42(36), 6311-6313

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

09890219

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 135:358138

AB The TTN phenolic oxidation, along with the N-protective group of the corresponding tripeptide derivs., was examined to accomplish construction of a cyclic isodityrosine derivative under solid-phase conditions. The desired cyclization was effected under the TTN (thallium(III) trinitrate)/NMP-MeOH conditions to give the corresponding 17-membered ring lactam 12.

IT 372963-97-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of cyclic isodityrosine derivative from tripeptides by phenolic oxidation using TTN under solid-phase conditions)

RN 372963-97-8 HCAPLUS

CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-3,5-diiodo-L-tyrosyl-L-isoleucyl-3,5-dibromo-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10

REFERENCE COUNT:

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 30 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2001:325496 HCAPLUS

DOCUMENT NUMBER:

136:17330

TITLE:

Antitumor and antiangiogenic effects of somatostatin

receptor-targeted in situ radiation with

111In-DTPA-JIC 2DL

AUTHOR(S):

Gulec, Seza A.; Drouant, George J.; Fuselier, Joseph; Anthony, Catherine T.; Heneghan, James; DelCarpio, Joseph B.; Coy, David H.; Murphy, William A.;

Woltering, Eugene A.

CORPORATE SOURCE:

Department of Surgery, The Louisiana State University

Health Sciences Center, New Orleans, LA, USA

SOURCE:

Journal of Surgical Research (2001), 97(2), 131-137

CODEN: JSGRA2; ISSN: 0022-4804

PUBLISHER:

Academic Press

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB Expression of somatostatin receptor subtype 2 (sst 2) in angiogenic tumor vessels appears to be homogeneous, while tumor cell expression of this receptor is often heterogeneous. We have developed a novel in vitro three-dimensional tumor angiogenesis model to study the antitumor and the antiangiogenic effects of radiolabeled somatostatin analogs. We hypothesized that targeted in situ radiation with an Auger electron-emitting radiolabeled somatostatin analog would produce receptor-specific cytotoxicity in sst 2-expressing cells. IMR-32 human

ΤT

RN

CN

neuroblastoma (sst 2-pos.) and MDA MB-231 human breast cancer (sst 2-neg.) xenografts were created in nude mice from monolayer cell cultures. Fragments of these tumors were embedded in three-dimensional fibrin gels supplemented with endothelial growth media and incubated for a period of 14 days. Tumor fragments were treated with 50 $\mu\text{Ci/mL}$ of 111In-JIC 2DL, a sst 2-preferring somatostatin analog, or medium on Day 1. Initial angiogenic activity was determined at 48 h and the mean angiogenic score and tumoricidal responses were assessed on Day 14.Results and conclusion. Tumoricidal effects of 111In-JIC 2DL were seen only in sst 2-pos. IMR-32 tumors. However, the angiogenic response was inhibited in both IMR-32 and MDA MB-231 tumors independent of the tumor cells sst 2 status. Somatostatin receptor-mediated in situ radiation therapy has profound cytotoxic effects on angiogenic blood vessels and sst 2-expressing tumor cells. (c) 2001 Academic Press.

271785-12-7D, indium-111 DTPA derivative

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antitumor and antiangiogenic effects of somatostatin receptor-targeted in situ radiation with 111In-DTPA-JIC 2DL)

271785-12-7 HCAPLUS

L-Threoninamide, D-lysyl-3,5-diiodo-D-tyrosyl-D-lysyl-3,5-diiodo-D-tyrosyl-D-lysyl-L-cysteinyl-L-phenylalanyl-D-tryptophyl-L-lysyl-L-threonyl-L-cysteinyl-, cyclic (6→11)-disulfide (9CI) (CA INDEX NAME)

PAGE 2-A

REFERENCE COUNT:

19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 31 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2001:12482 HCAPLUS

DOCUMENT NUMBER:

134:71906

TITLE:

Preparation of novel indole peptidomimetics as

thrombin receptor antagonists

INVENTOR(S):

Zhang, Han-cheng; Hoekstra, William J.; Maryanoff,

Bruce E.; McComsey, David F.

PATENT ASSIGNEE(S):

Ortho-Mcneil Pharmaceutical, Inc., USA; Cor

Therapeutics, Inc. PCT Int. Appl., 76 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	PATENT NO.				KIND DATE				APPLICATION NO.						DATE		
· · · -	20010		-				20010			WO	2000-	US18	018		2	0000	629
WO	20010		-		Α3		20010										
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB	, BG,	BR,	ΒY,	CA,	CH,	CN,	CR,
		CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FΙ	, GB,	GD,	GE,	GH,	GM,	HR,	ΗU,
		ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR	, KZ,	LC,	LK,	LR,	LS,	LT,	LU,
-		LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	X, NO,		PL,	PT,	RO,	RU,	SD,	SE,
		SG,									, UA,						
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ	, TZ,	ŪG,	ZW,	ΑT,	BE,	CH,	CY,
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙT	, LU,	MC,	NL,	PT,	SE,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR	, NE,	SN,	TD,	ΤG			
US	6858	577			В1		20050	222		US	2000-	6032	31		2	0000	626
US	20032	22499	99		A1		2003	1204		US	2003-	4035	42		2	0030	331
US	US 2003224999 US 7183252				В2		20070	227									
PRIORITY	RIORITY APPLN. INFO.:			. :					US 1999-141550P			50P	:	P 19990629			
									US 2000-603231					A 20000626			
OTHER SO	THER SOURCE(S):			MARPAT 134:71906			06										

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Indole derivs. I [A1 and A2 are certain D- or L-amino acid residues which may be substituted; R1 = amino, alkylamino, arylamino, heteroalkyl, etc.; R2 = H, halo, alkyl, cycloalkyl, alkenyl, alkynyl, arylalkyl, aryl, heteroaryl; R3, R4 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, heteroalkyl, indanyl, etc. or R3R4N = (un)substituted piperidinyl, piperazinyl, morpholino, or pyrrolidinyl; R5 = (un)substituted aryl, arylalkyl, cycloalkyl, heteroaryl; R6 = H, alkyl; X = 0, S; m = 0-3; n = 1 or 2; p = 0 or 1] were prepared as thrombin receptor antagonists for the treatment of diseases associated with thrombosis, restenosis, hypertension, heart failure, arrhythmia, inflammation, angina, stroke, atherosclerosis, ischemic conditions, angiogenesis related disorders, cancer, and neurodegenerative disorders. Thus, compound II, prepared by a multistep procedure starting from 6-nitroindole (scheme given), showed IC50 = 0.28 and 0.47 μM, resp., in the thrombin-induced gel-filtered platelet aggregation and thrombin receptor binding assays.

IT 316150-72-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel indole peptidomimetics as thrombin receptor antagonists)

RN 316150-72-8 HCAPLUS

CN L-Argininamide, 3,4-difluoro-N-[[[1-[(2-methylphenyl)methyl]-3-(1-pyrrolidinylmethyl)-1H-indol-6-yl]amino]carbonyl]-L-phenylalanyl-N-[2-(2-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 32 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:910888 HCAPLUS

DOCUMENT NUMBER: 136:290900

TITLE: Substrate specificity and inhibition studies of human serotonin N-acetyltransferase. [Erratum to document

Updated Search

cited in CA133:39768]

Ferry, Gilles; Loynel, Armelle; Kucharczyk, Nathalie; AUTHOR(S):

Bertin, Sophie; Rodriguez, Marianne; Delagrange, Philippe; Galizzi, Jean-Pierre; Jacoby, Edgar;

Volland, Jean-Paul; Lesieur, Daniel; Renard, Pierre; Canet, Emmanuel; Fauchere, Jean-Luc; Boutin, Jean A.

Division de Pharmacologie Moleculaire et Cellulaire, CORPORATE SOURCE:

Institut de Recherches Servier, Crosissy sur Seine,

78290, Fr.

Journal of Biological Chemistry (2000), 275(50), 39799 SOURCE:

CODEN: JBCHA3; ISSN: 0021-9258

American Society for Biochemistry and Molecular PUBLISHER:

Biology

DOCUMENT TYPE: Journal English LANGUAGE:

Throughout the text, all of the Vmax units should read "nmol/min/mg protein" rather than "µmol/min/mg protein". This correction is especially evident on page 8797, right column, next to last sentence in the last paragraph; page 8799, left column, 11th line from the bottom of the page; page 8799, right column, 10th through 14th lines; and in the unit headings

of Tables II and III (pages 8799 and 8800, resp.).

274918-26-2 ΙT

RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)

(substrate specificity and inhibition studies of human serotonin N-acetyltransferase (Erratum))

274918-26-2 HCAPLUS RN

L-Tyrosine, 3-benzo[b]thien-3-yl-L-alanyl-4-fluoro-L-phenylalanyl-3-CN cyclohexyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 33 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

2000:720707 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 134:29690

A Novel Synthesis of Biaryl-Containing Macrocycles by TITLE:

a Domino Miyaura Arylboronate Formation:

Intramolecular Suzuki Reaction

Carbonnelle, Anny-Claude; Zhu, Jieping AUTHOR(S):

Institut de Chimie des Substances Naturelles, CNRS, CORPORATE SOURCE:

Gif-sur-Yvette, 91198, Fr.

Organic Letters (2000), 2(22), 3477-3480 SOURCE:

CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER: American Chemical Society

DOCUMENT TYPE: LANGUAGE:

Journal English

OTHER SOURCE(S):

CASREACT 134:29690

GΙ

AB A novel macrocyclization procedure is developed on the basis of a domino process. Thus, treatment of linear diiodide I under defined conditions gave a 15-membered m,m-cyclophane via aryl-aryl bond formation. Two distinct cross-coupling manifolds, Miyaura's arylboronic ester synthesis and intramol. Suzuki reaction, proceed in an ordered fashion. Concentration is an important factor for the success of this process.

IT 312493-98-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of biaryl-containing macrocycles by a domino Miyaura arylboronate

formation:intramol. Suzuki reaction)

RN 312493-98-4 HCAPLUS

CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-3-iodo-L-tyrosyl-L-leucyl-3-iodo-O-methyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 34 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2000:442999 HCAPLUS

DOCUMENT NUMBER:

133:223020

TITLE:

Design and Synthesis of Potent Hexapeptide and Heptapeptide Gonadotropin-Releasing Hormone

Antagonists by Truncation of a Decapeptide Analogue

Sequence

AUTHOR(S):

Yahalom, Dror; Rahimipour, Shai; Koch, Yitzhak;

Ben-Aroya, Nurit; Fridkin, Mati

09890219

SOURCE:

CORPORATE SOURCE:

Departments of Organic Chemistry and Neurobiology,

Weizmann Institute of Science, Rehovot, 76100, Israel

Journal of Medicinal Chemistry (2000), 43(15),

2831-2836

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER:

American Chemical Society

DOCUMENT TYPE:

Journal

LANGUAGE:

English

A novel strategy for designing reduced-size analogs of the decapeptide AB gonadotropin-releasing hormone (GnRH) was developed. As opposed to previous attempts to delete residues from either of the peptide's termini, our approach is based upon the known importance of both C- and N-terminals of GnRH analogs for receptor recognition, whereas the central part of the mol. is replaced by a short spacer. The present truncation strategy was successful for generation of reduced-size hexapeptide and heptapeptide antagonists possessing potent antagonistic capacity. The same methodol. was not suitable for the generation of reduced-size agonists, suggesting different conformational characteristics for GnRH agonists and antagonists. A heptapeptide antagonist designed by this method was shown to inhibit serum levels of LH in castrated rats in vivo. Structure-activity studies suggested that the structural preferences for GnRH receptor recognition are similar to those reported for decapeptide antagonists. Our studies resulted in a heptapeptide GnRH antagonist (Ac-D-Nal2-D-Cpa-D-Pal-Gly-Arg-Pro-D-Ala-NH2) with high receptor-binding affinity (IC50 = 7 nM), as compared to that of GnRH itself. The highest affinity of a new hexapeptide antagonist was somewhat lower (IC50 = 45

IT 292141-37-8P

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(design and synthesis of hexa- and heptapeptide gonadotropin-releasing hormone antagonists)

RN 292141-37-8 HCAPLUS

D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-CN phenylalanyl-3-(2-pyridinyl)-D-alanyl-D-tyrosyl-L-arginyl-L-prolyl- (9CI) (CA INDEX NAME)

PAGE 1-B

THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS 28 REFERENCE COUNT:

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2007 ACS on STN L11 ANSWER 35 OF 90

ACCESSION NUMBER:

2000:431263 HCAPLUS

DOCUMENT NUMBER:

133:223013

TITLE:

An efficient total synthesis of K-13, a

non-competitive inhibitor of ACE I

AUTHOR(S):

SOURCE:

Bigot, Antony; Bois-Choussy, Michele; Zhu, Jieping

CORPORATE SOURCE: Institut de Chimie des Substances Naturelles, CNRS, Gif-sur-Yvette, 91198, Fr.

Tetrahedron Letters (2000), 41(23), 4573-4577

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER:

Elsevier Science Ltd.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 133:223013

GΙ

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

An efficient synthesis of K-13 (I), a non-competitive inhibitor of ACE I with an endo biaryl ether bond, is described. The key cycloetherification reaction of linear tripeptide II gave a 17-membered macrocycle in quant. yield.

IT 291781-71-0

> RL: RCT (Reactant); RACT (Reactant or reagent) (total synthesis of the 17-membered cyclopeptide K-13 as a non-competitive inhibitor of ACE I)

RN 291781-71-0 HCAPLUS

CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-4-fluoro-3-nitro-Lphenylalanyl-O-methyl-L-tyrosyl-3-hydroxy-, methyl ester (9CI) (CA INDEX NAME)

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 36 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2000:368415 HCAPLUS

DOCUMENT NUMBER: 133:13158

TITLE: Hydrophilic somatostatin analogs

38

INVENTOR(S): Coy, David H.; Murphy, William A.; Woltering, Eugene

A.; Fuselier, Joseph A.; Drouant, George

THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS

PATENT ASSIGNEE(S): Tulane University, USA

SOURCE: PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

REFERENCE COUNT:

P?	PATENT NO.				KIND DATE				APPLICATION NO.						DATE			
W	2000	0311	22		A1	-	2000	0602							1	 9991	020	
	W:	AE,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG	, BR,	BY,	CA,	CH,	CN,	CR,	CU,	
		CZ,	DE,	DK,	DM,	EE,	ES,	FI,	GB,	GD	, GE,	GH,	GM,	HR,	HU,	ID,	IL,	
		IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC	, LK,	LR,	LS,	LT,	LU,	LV,	MA,	
		MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	\mathtt{PL}	, PT,	RO,	RU,	SD,	SE,	SG,	SI,	
		SK,	SL,	ТJ,	TM,	TR,	TT,	TΖ,	UA,	UG	, UZ,	VN,	YU,	ZA,	ZW,	AM,	AZ,	
		BY,	KG,	KZ,	MD,	RU,	ТJ,	TM										
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	TZ	, UG,	ZW,	AT,	BE,	CH,	CY,	DE,	
		DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU	, MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	
		CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE	, SN,	TD,	TG					
US	5 6465	613			B1 20021015				US 1998-196259						19981119			
CZ	A 2351	944			A1		2000	0602		CA	1999-	2351	944		1	9991	020	
El	P 1131	343			A1		2001	0912		EΡ	1999-	9550	77		1	9991	020	
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	SI,	LT,	LV,	FI,	RO											
J	P 2003	5179	99		${f T}$		2003	0603		JP .	2000-	5839	49		1	9991	020	
PRIORI	ry App	LN.	INFO	. :						US	1998-	1962	59		A 1	9981	119	
			•							WO	1999-	US24	532	1	W 1	9991	020	
OTHER SOURCE(S):					MARPAT 133:13158					58								

OTHER SOURCE(S): MARPAT 133:13158

AB The invention features novel somatostatin analogs that may be readily labeled with toxic or non-toxic detectable labels. These unlabeled and labeled analogs are useful for specifically targeting somatostatin receptor bearing cells, in particular neoplastic cells. Labeled analogs are useful, for example, for tumor localization and detection. Where labeled with a toxic label (e.g., radioactivity), the analogs are useful

09890219

IT

RN

CN

for the targeted delivery of toxicity to somatostatin receptor-bearing cells, in particular neoplastic cells. Also disclosed are methods for treating and detecting neoplasms, and methods for imaging somatostatin receptor-bearing cells.

271785-11-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of labeled or unlabeled hydrophilic somatostatin analogs for targeting somatostatin receptor-bearing cells)

271785-11-6 HCAPLUS

L-Threoninamide, D-lysyl-3,5-diiodo-L-tyrosyl-L-lysyl-3,5-diiodo-L-tyrosyl-L-lysyl-L-cysteinyl-L-phenylalanyl-D-tryptophyl-L-lysyl-L-threonyl-L-cysteinyl-, cyclic $(6\rightarrow11)$ -disulfide (9CI) (CA INDEX NAME)

PAGE 2-A

REFERENCE COUNT: :

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 37 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2000:283962 HCAPLUS

DOCUMENT NUMBER:

132:304929

TITLE:

Method of making mammalian kringle 5 peptide fragments

with angiogenesis inhibitory effect by elastase

proteolytic cleavage of plasminogen

INVENTOR(S):

Davidson, Donald J.

PATENT ASSIGNEE(S):

Abbott Laboratories, USA

SOURCE:

U.S., 48 pp., Cont.-in-part of U.S. Ser. No. 832,087.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6057122	A	20000502	US 1997-851350	19970505
US 5801146	Α	19980901	US 1996-643219	19960503
US 5981484	Α	19991109	US 1997-832087	19970403
US 6699838	В1	20040302	US 1997-924287	19970905
US 2004138127	A1	20040715	US 2004-753646	20040108
PRIORITY APPLN. INFO.:			US 1996-643219	A2 19960503
			US 1997-832087	A2 19970403
			US 1997-851350	A2 19970505
			US 1997-924287	A1 19970905

AB A method of making mammalian kringle 5 peptide fragments corresponding to the 5th kringle domain of mammalian plasminogen and having angiogenic inhibitory effect is claimed. The method comprises exposing a mammalian plasminogen to elastase at a ratio of about 1:100 to 1:300 (weight/weight) and isolating kringle 5 fragments from the mixture Kringle 5 peptide fragments were prepared either by porcine elastase proteolytic cleavage of Lys plasminogen or synthesized by standard solid phase Fmoc chemical The inhibition

09890219

IT

CN

of bovine capillary endothelial cell proliferation and migration by kringle 5 peptide fragments was both potent and specific to the endothelial cells but not normal or tumor cells. Kringle 5 peptide fragments were also produced recombinantly in Pichia pastoris and E. coli. 199664-87-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis of, antiangiogenic kringle 5 peptide; method of making mammalian kringle 5 peptide fragments with angiogenesis inhibitory effect by elastase proteolytic cleavage of plasminogen)

RN 199664-87-4 HCAPLUS

L-Tyrosinamide, 1-acetyl-L-prolyl-L-arginyl-L-lysyl-L-leucyl-3-iodo-L-tyrosyl-L- α -aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

REFERENCE COUNT:

29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 38 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2000:223526 HCAPLUS

DOCUMENT NUMBER:

133:39768

TITLE:

Substrate specificity and inhibition studies of human serotonin N-acetyltransferase

09890219

CORPORATE SOURCE:

Ferry, Gilles; Loynel, Armelle; Kucharczyk, Nathalie; AUTHOR(S):

Bertin, Sophie; Rodriguez, Marianne; Delagrange, Philippe; Galizzi, Jean-Pierre; Jacoby, Edgar; Volland, Jean-Paul; Lesieur, Daniel; Renard, Pierre;

Canet, Emmanuel; Fauchere, Jean-Luc; Boutin, Jean A. Division de Pharmacologie Moleculaire et Cellulaire, Institut de Recherches Servier, Croissy sur Seine,

78290, Fr.

Journal of Biological Chemistry (2000), 275(12), SOURCE:

8794-8805

CODEN: JBCHA3; ISSN: 0021-9258

American Society for Biochemistry and Molecular PUBLISHER:

Biology

DOCUMENT TYPE: Journal LANGUAGE: English

Arylalkylamine N-acetyltransferase (AANAT) catalyzes the reaction of serotonin with acetyl-CoA to form N-acetylserotonin and plays a major role in the regulation of the melatonin circadian rhythm in vertebrates. In the present study, the human cloned enzyme has been expressed in bacteria, purified, cleaved, and characterized. The specificity of the human enzyme toward substrates (natural as well as synthetic arylethylamines) and cosubstrates (essentially acyl homologs of acetyl-CoA) has been investigated. Peptide combinatorial libraries of tri-, tetra-, and pentapeptides with various amino acid compns. were also screened as potential sources of inhibitors. We report the findings of several peptides with low micromolar inhibitory potency. For activity measurement as well as for specificity studies, an original and rapid method of anal. was developed. The assay was based on the separation and detection of N-[3H]acetylarylethylamine formed from various arylethylamines and tritiated acetyl-CoA, by means of high performance liquid chromatog. with radio-chemical detection. The assay proved to be robust and flexible, could accommodate the use of numerous synthetic substrates, and was successfully used throughout this study. We also screened a large number of pharmacol. bioamines among which only one, tranylcypromine, behaved as a substrate. The synthesis and survey of simple arylethylamines also showed that AANAT has a large recognition pattern, including compds. as different as phenyl-, naphthyl-, benzothienyl-, or benzofuranyl-ethylamine derivs. An extensive enzymic study allowed us to pinpoint the amino acid residue of the pentapeptide inhibitor, S 34461, which interacts with the cosubstrate-binding site area, in agreement with an in silico study based on the available coordinates of the hAANAT crystal.

274918-26-2 ΙT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study) (substrate specificity and inhibition studies of human serotonin N-acetyltransferase)

274918-26-2 HCAPLUS RN

L-Tyrosine, 3-benzo[b]thien-3-yl-L-alanyl-4-fluoro-L-phenylalanyl-3-CN cyclohexyl-L-alanyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 39 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2000:133716 HCAPLUS

DOCUMENT NUMBER:

132:180873

TITLE:

Preparation of pentapeptide LHRH analogs

INVENTOR(S):

Haviv, Fortuna; Dwight, Wesley; Greer, Jonathan

PATENT ASSIGNEE(S):

Abbott Laboratories, USA

SOURCE:

PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

GI

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATI	ON NO.	DATE				
WO 2000009545	A1	20000224	WO 1999-U	 S18476		19990812			
W: CA, JP, MX RW: AT, BE, CH,	CY, DE	, DK, ES,	FI, FR, GB,	GR, IE,	IT, LU	, MC, NL			
PT, SE US 6297354 PRIORITY APPLN. INFO.:	B1	20011002	US 1999-3 US 1998-1			19990812 19980812			
			US 1998-9			19980812			
OTHER SOURCE(S):	MARPAT	132:1808	73						

$$Q = \frac{X}{(CH_2)_nCO}$$

Pentapeptide LHRH analogs of formula R-A-B-C-D-E-R1 [R = Q; X = H, alkyl, alkoxy, halide; Y = H, alkyl; n = 1-3; A = 3-(1-naphthyl)-D-alanyl(D-1Nal), 3-(1-naphthyl)-L-alanyl, D-Trp, Gly, etc.; B = Ser, Gly; C = NMeTyr, NMePhe, Sar, Arg, Lys(N ϵ -nicotinoyl), etc.; or B and C together form and amino acid derivative; D = D-Lys(N ϵ -nicotinyl),

D-Arg, D-Cit, Phe, Gly, etc.; E = cyclohexylalanyl, Gly, Leu, NMeLeu; or D and E together form an amino acid derivative; R1 = NH(CH2)1R2, NR3(CH2)mNHR4, NH(CH2)rNR5R6, NH(CH2)pNHC(:NH)NH2; l = 0-10; m = 1-2; r and p = 1-10; R2 = H, OH, NH2, CONH2, Me, Ph; R3 = H, Me, Et; R4 = H, Me, NH2, CONH2; R5 and R6 taken together with the nitrogen atom to which each is attached form a ring, e.g. pyrrolyl, piperidinyl, morpholinyl, pyridyl, etc.], or their pharmaceutically acceptable salts, esters, or prodrugs, were prepared as LHRH antagonists. Thus, 4-F-Phenylpropionyl-D-lNal-Ser-NMeTyr-D-Lys(Ns-nicotinyl)-Leu-NHCH2CH2-(1-pyrrolidine), prepared by standard solid phase peptide synthesis methods and isolated as the trifluoroacetate salt, antagonized LHRH with pA2 = 9.91. The title compds. are useful in the treatment of disease conditions which are mediated by reproductive hormones, e.g. benign prostate hyperplasia, prostate tumors, breast and ovaries tumors, cryptorchidism, hirsutism, gastric motility disorders, dysmenorrhea, and endometriosis.

IT 259273-45-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pentapeptide LHRH analogs)

RN 259273-45-5 HCAPLUS

CN L-Tyrosine, N-[3-(4-fluorophenyl)-1-oxopropyl]-O-(phenylmethyl)-L-seryl-O[(2,6-dichlorophenyl)methyl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 40 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

6

ACCESSION NUMBER:

1999:718961 HCAPLUS

DOCUMENT NUMBER:

131:346531

TITLE:

antiangiogenic kringle 5 peptide fragments of

plasminogen for therapeutic control of angiogenesis

INVENTOR(S):

Davidson, Donald J.

PATENT ASSIGNEE(S):

Abbott Laboratories, USA

SOURCE:

U.S., 40 pp., Cont.-in-part of U.S. 5,801,146.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.

KIND DATE

APPLICATION NO.

DATE

Updated Search

```
US 1997-832087
                                                                   19970403
                               19991109
    US 5981484
                         Α
                                19980901
                                           US 1996-643219
                                                                   19960503
    US 5801146
                         Α
                                                                   19970505
                                           EP 1997-925478
    EP 910571
                         A2
                                19990428
                         В1
                                20050720
    EP 910571
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
                                19990721
                                            CN 1997-195989
                                                                   19970505
    CN 1223690
                         Α
                                            BR 1997-8911
                                                                   19970505
    BR 9708911
                         Α
                                19990803
    HU 9903530
                        A2
                                20000228
                                           HU 1999-3530
                                                                   19970505
                        В1
    HU 224827
                                20060228
                        Α
    US 6057122
                                20000502
                                            US 1997-851350
                                                                   19970505
                       A
T
                                           NZ 1997-332319
                                                                   19970505
    NZ 332319
                                20000929
                                            JP 1997-540162
                                                                   19970505
    JP 2002502235
                                20020122
    AT 299888
                         T
                                           AT 1997-925478
                                                                   19970505
                                20050815
                        A2
    EP 1612272
                                20060104
                                           EP 2005-106596
                                                                   19970505
    EP 1612272
                         Α3
                                20070502
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, IE,
             FI, PL
                         Т3
                                20060216
                                            ES 1997-925478
                                                                   19970505
    ES 2246513
    US 6699838
                         В1
                                            US 1997-924287
                                                                   19970905
                                20040302
                        Α
                                19991026
                                            US 1998-131995
                                                                   19980811
    US 5972896
                        В1
                                            US 1998-132154
                                                                   19980811
                                20010626
    US 6251867
                                                                   19981103
                        Α
                                           KR 1998-708851
     KR 2000010739
                                20000225
                        A1
                                            HK 1999-104850
                                                                   19991027
                                20060519
    HK 1021191
                                                                   20040108
                        A1
                                20040715
                                            US 2004-753646
     US 2004138127
                                                               A2 19960503
                                            US 1996-643219
PRIORITY APPLN. INFO.:
                                                               A 19970403
                                            US 1997-832087
                                                                A3 19970505
                                            EP 1997-925478
                                                                A2 19970505
                                            US 1997-851350
                                            WO 1997-US7700
                                                                W 19970505
                                                                A1 19970905
                                            US 1997-924287
```

AB Mammalian kringle 5 peptide fragments that can inhibit angiogenesis are described for treating angiogenic diseases. Kringle 5 peptide fragments were manufactured either by proteolytic cleavage of plasminogens from various species or synthesized by standard FMOC chemical The inhibition of stimulated proliferation and migration by kringle 5 peptide fragments was both potent and specific to the bovine endothelial cells but not normal or tumor cells. Methods and compns. for inhibiting angiogenic diseases are also proposed.

IT 199664-87-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis of, antiangiogenic kringle 5 peptide; antiangiogenic kringle 5 peptide fragments of plasminogen for therapeutic control of angiogenesis)

RN 199664-87-4 HCAPLUS

CN L-Tyrosinamide, 1-acetyl-L-prolyl-L-arginyl-L-lysyl-L-leucyl-3-iodo-L-tyrosyl-L- α -aspartyl- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2007 ACS on STN L11 ANSWER 41 OF 90

ACCESSION NUMBER:

1999:670109 HCAPLUS

DOCUMENT NUMBER:

131:295567

TITLE:

Inhibition of Helicobacter pylori proliferation

INVENTOR(S):

Kaneko, Hiroshi; Mitsuma, Terunori; Yamashita, Koichi;

Morgan, Barry

PATENT ASSIGNEE(S):

Biomeasure, Inc., USA

SOURCE:

U.S., 19 pp. CODEN: USXXAM

DOCUMENT TYPE:

LANGUAGE:

Patent

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.					KIN	D	DATE		i	APPLICATION NO.						DATE			
						_						<u></u>			_				
US	5968	903			Α		1999	1019	1	US 1	998-	7411	7		1	9980.	507		
WO	9956	769			A2		1999	1111	1	WO 1	999-1	US10	058		1	9990.	506		
WO	9956	769			A3		2000	1109											
	W:	ΑE,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,		
		DE,	DK,	EE,	ES,	FI,	GB,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,		
		KE.	ŔĠ.	KP.	KR.	KZ.	LC.	LK:	LR.	LS.	LT.	LU.	LV.	MD.	MG.	MK.	MN.		

MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TMLS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, RW: GH, GM, KE, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, ES, FI, FR, GN, GW, ML, MR, NE, SN, TD, TG CI, CM, GA, AU 9939754 Α 19991123 AU 1999-39754 19990506 EP 1075273 A2 20010214 EP 1999-922851 19990506 DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI R: AT, BE, CH, JP 2002513769 Т 20020514 JP 2000-546793 19990506 20010105 NO 2000-5588 20001106 NO 2000005588 Α US 1998-74117 A1 19980507 PRIORITY APPLN. INFO .: WO 1999-US10058 W 19990506

OTHER SOURCE(S): MARPAT 131:295567

The present invention is directed to a method of using somatostatin or a somatostatin agonist to inhibit the proliferation of Helicobacter pylori (H. pylori), which comprises administering to a patient in need thereof an effective amount of said somatostatin or somatostatin agonist. Preferably, a somatostatin sub-type receptor 2 (SSTR-2) selective somatostatin agonist is administered in a method of this invention. The inhibition of H. pylori proliferation is useful in treating various gastroduodenal diseases such as peptic ulcers, gastric cancer and gastric lymphoma.

IT 113294-83-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(inhibition of Helicobacter pylori proliferation with somatostatin or a somatostatin agonist)

RN 113294-83-0 HCAPLUS

CN L-Threoninamide, 2,3,4,5,6-pentafluoro-D-phenylalanyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-L-cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 61 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 42 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

CORPORATE SOURCE:

1999:578704 HCAPLUS

DOCUMENT NUMBER:

132:102593

TITLE:

Agonist-antagonist structure-activity relationships of

thrombin receptor tethered ligand peptide

AUTHOR(S):

Fujita, T.; Nose, T.; Nakajima, M.; Inoue, Y.;

Nakamura, N.; Inoue, T.; Costa, T.; Shimohigashi, Y. Laboratory of Biochemistry, Department of Chemistry,

Faculty of Science, Kyushu University, Fukuoka,

812-8581, Japan

SOURCE:

Peptide Science: Present and Future, Proceedings of the International Peptide Symposium, 1st, Kyoto, Nov. 30-Dec. 5, 1997 (1999), Meeting Date 1997, 202-204. Editor(s): Shimonishi, Yasutsugu. Kluwer: Dordrecht,

Neth.

CODEN: 68BYA5 Conference

DOCUMENT TYPE:

LANGUAGE:

English

In order to obtain an effective antagonist of thrombin receptor, we have designed several SFLLRNP analogs that could be expected to establish new interaction with the receptor.

IT 255837-51-5

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(agonist-antagonist structure-activity relationships of thrombin receptor tethered ligand peptide)

255837-51-5 HCAPLUS

L-Tyrosinamide, 4-fluoro-N-(3-mercapto-1-oxopropyl)-L-phenylalanyl-3-CN

RN

cyclohexyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 43 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:453428 HCAPLUS

DOCUMENT NUMBER: 131:267135

TITLE: Radioligand binding assay of cholecystokinin receptor

in rat cerebral cortex

AUTHOR(S): Xiang, Peng; Chen, Manling; Tan, Tianzhi; Shi, Yuhong

CORPORATE SOURCE: School of Basic Medical Sciences, WCUMS, Chengdu,

610041, Peop. Rep. China

SOURCE: Huaxi Yike Daxue Xuebao (1999), 30(2), 214-216

CODEN: HYDXET; ISSN: 0257-7712

PUBLISHER: Huaxi Yike Daxue

DOCUMENT TYPE: Journal LANGUAGE: Chinese

AB A radioligand binding assay system for determining the characterization of CCK receptor is presented. Using Bolton-Hunter reagent, the authors prepared a biol. active, specific 125I-BH-CCK8. The iodination mixture was then transferred to a column of Sephadex G-25 and examined by silica TLC. Its specific activity and radiochem. purity were 3.4 TBq/mmol and 96%, resp. Binding of 125I-BH-CCK8 to the membrane of rat cerebral cortex was rapid, reversible, time-temperature dependent, saturable and specific. The labeled

CCK

was shown to have biol. activity as measured by the CCK receptor radioassay. Under the authors' laboratory conditions, the CCK binding required 1 h to reach equilibrium at 4°. The authors chose polyethylene glycol 6000 and γ -globulin protein for the separation of B and F. Scatchard plot of CCK binding was linear with a Kd value of 1.098nmol/L and Bmax of 197.5 fmol/mg protein. The results of this study support the view that CCK may function as a regulatory peptide in brain and hence may be of use for clarifying the CCK receptor's function in central nervous system.

IT 79672-09-6

RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(radioligand binding assay of cholecystokinin receptor in rat cerebral cortex)

RN 79672-09-6 HCAPLUS

CN Cholecystokinin-8 (swine), N-[3-[4-hydroxy-3-(iodo-125I)phenyl]-1-

oxopropyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

L11 ANSWER 44 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

Updated Search

ACCESSION NUMBER: 1999:383879 HCAPLUS DOCUMENT NUMBER: 131:175140

DOCUMENT NUMBER: 131:175140
TITLE: Determination of ABT-861 by high-performance liquid

chromatography and a model for ion-pair formation with

trifluoroacetic acid

AUTHOR(S): Simonzadeh, N.; Levison, B.; Buko, A.; Matuszak, K.;

Hanscom, M.

CORPORATE SOURCE: Abbott Laboratories, Abbott Park, IL, 60064-3500, USA

SOURCE: Journal of Chromatographic Science (1999), 37(6),

185-190

CODEN: JCHSBZ; ISSN: 0021-9665

PUBLISHER: Preston Publications

DOCUMENT TYPE: Journal LANGUAGE: English

ABT-861 is a gonadotropin releasing hormone (GnRH) antagonist candidate drug synthesized at Abbott Labs. for use in medical conditions responsive to hormonal manipulation (e.g., prostate cancer in elderly males, endometriosis in females, and central precocious puberty in children). A HPLC method employing gradient elution with UV detection is developed for the assay of ABT-861 and determination of impurities in bulk powder and

injectable formulations. The chromatog. conditions employed included the use of a 250 + 4.6 mm, 5- μ m ODS Vydac HPLC column at 35°, an MeCN-H2O (0.1% TFA in each phase) eluent, and a 60-min run time using UV detection. The chromatog. conditions are used for the determination of ABT-861 and its degradation products and manufacturing impurities in the bulk powder

and

injectable formulations. The limit of detection was approx. 9 ng at 225 nm. Method validation includes linearity of detector response with amount injected, precision, and standard addition-recovery data. Under the chromatog. conditions employed, diastereomeric and manufacturing impurities and

degradation products are separated from ABT-861, demonstrating that the method is stability-indicating. Thus, the current method is suitable for the routine anal. of ABT-861 and related impurities, providing good selectivity and sensitivity. (c) 1999 Preston Publications.

IT 238080-44-9

RL: ANT (Analyte); FMU (Formation, unclassified); ANST (Analytical study); FORM (Formation, nonpreparative)

(determination of ABT-861 by HPLC and model for ion-pair formation with trifluoroacetic acid)

RN 238080-44-9 HCAPLUS

CN D-Alaninamide, N-[[(2S)-tetrahydro-2-furanyl]carbonyl]glycyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-L-seryl-N-methyl-L-tyrosyl-N6-(3-pyridinylcarbonyl)-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

PAGE 1-B

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 45 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:353265 HCAPLUS

DOCUMENT NUMBER: 131:166695

TITLE: Structural essentials for agonist-antagonist actions

of thrombin receptor tethered-ligand

AUTHOR(S): Nose, Takeru; Fujita, Tsugumi; Morita, Yuki; Costa,

Tommaso; Shimohigashi, Yasuyuki

CORPORATE SOURCE: Department of Chemistry, Faculty of Science, Kyushu

University, Fukuoka, 812-8581, Japan

SOURCE: Peptide Science (1999), Volume Date 1998, 35th,

217-220

CODEN: PSCIFQ; ISSN: 1344-7661 Protein Research Foundation

PUBLISHER:

DOCUMENT TYPE: Journal English LANGUAGE:

In order to clarify structural essentials for agonist and antagonist AB activities against thrombin receptor, we have designed and synthesized a series of analogs of thrombin receptor tethered-ligand peptide (SFLLRNP). It was found that potent antagonists require a combination of the N-terminal trans-cinnamoyl, para-fluoro-Phe-2, and Arg-3. In particular, the placement of N-terminal benzene ring instead of the N-terminal amino

group appeared to be an essential requisite for antagonist.

238756-24-6 IT

RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)

(structural essentials for agonist-antagonist actions of thrombin

receptor tethered-ligand)

238756-24-6 HCAPLUS RN

L-Tyrosinamide, 4-fluoro-N-[(2E)-1-oxo-3-phenyl-2-propenyl]-L-phenylalanyl-CN L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 46 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

1999:329683 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 131:115001

TITLE: Recognition of an MHC class I-restricted antigenic

peptide can be modulated by para-substitution of its buried tyrosine residues in a TCR-specific manner

AUTHOR(S): Saito, Naoyuki G.; Chang, Hsiu-Ching; Paterson, Yvonne

CORPORATE SOURCE: Department of Microbiology and Eldridge Reeves Johnson

Foundation for Molecular Biophysics, University of Pennsylvania School of Medicine, Philadelphia, PA,

19104, USA

SOURCE: Journal of Immunology (1999), 162(10), 5998-6008

CODEN: JOIMA3; ISSN: 0022-1767

PUBLISHER: American Association of Immunologists

DOCUMENT TYPE: Journal English LANGUAGE:

Conformational dependence of TCR contact residues of the H-2Kb mol. on the two buried tyrosine side chains of the vesicular stomatitis virus (VSV)-8 peptide was investigated by systematic substitutions of the tyrosines with phenylalanine, p-fluorophenylalanine (pFF), or p-bromophenylalanine (pBrF). The results of peptide competition CTL assays revealed that all of the peptide variants, except for the pBrF analogs, had near-native binding to the H-2Kb mol. Epitope-mapped anti-H-2Kb mAbs detected conformational differences among H-2Kb mols. stabilized with these VSV-8 variants on RMA-S cells. Selective recognition of the VSV-8 analogs was displayed by a panel of three H-2Kb-restricted, anti-VSV-8 TCRs. Thus, these substitutions result in an antigenically significant conformational change of the MHC mol. surface structure at both C and D pockets, and the effect of this change on cognate T cell recognition is dependent on the TCR structure. The results confirm that the structure of buried peptide side chains can determine the surface conformation of the MHC mol. and demonstrate that even a very subtle structural nuance of the buried side chain can be incorporated into the surface conformation of the MHC mol. The ability of buried residues to modulate this mol. surface augments the number of residues on the MHC-peptide complex that can be recognized as "foreign" by the CD8+ T cell repertoire and allows for a higher level of antigenic discrimination. This may be an important mechanism to expand the total number of TCR specificities that can respond to a single peptide determinant.

IT 232616-70-5D, complexes with MHC class I

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)

(cytotoxic T-cell recognition of MHC class I/peptide complexes is modulated by complex contact surface for TCR as altered by anchor residue structure in binding pocket)

RN 232616-70-5 HCAPLUS

CN L-Leucine, L-arginylglycyl-4-fluoro-L-phenylalanyl-L-valyl-L-tyrosyl-L-glutaminylglycyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 86 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 47 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1998:344369 HCAPLUS

DOCUMENT NUMBER:

129:16399

TITLE:

Conformationally constrained LH-RH analogs, their uses

and pharmaceutical compositions containing them

INVENTOR(S):

Delansorne, Remi; Paris, Jacques

PATENT ASSIGNEE(S):

Laboratoire Theramex S.A., Monaco

SOURCE:

Eur. Pat. Appl., 32 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	rent	NO.			KIN	D	DATE			APP	LIC.	AT]	ON I	NO.		D2	ATE	
EP 842946 R: FR			A1		1998	0520		ΕP	199	6-4	1024	41		1	9961	114		
CA	2270	158			A1		1998	0522		CA	199	7-2	2270	158		15	9971	112
	9821																	
							BB,											
							GH,											
							LU,											
							SI,											
			YU,			·	•	,	-		-	-		-				
	RW:	GH,	KE,	LS,	MW,	SD,	SZ,	UG,	ZW,	. AT	, B	Ε,	CH,	DE,	DK,	ES,	FI,	FR,
							MC,											
		ML,	MR,	NE,	SN,	TD,	TG					•						
ΑU	9854	817			Α		1998	0603		AU	199	8-5	5481	7		1:	9971	112
EΡ	9371	01			A1		1999	0825		EΡ	199	7-9	511	83		1	9971	112
EΡ	9371	01			В1		2000	1011										
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, I	Τ,	LI,	LU,	NL,	SE,	MC,	PT,
					LV,													
CN	1237	979			A		1999 2000	1208								-	9971	112
	9713	060			Α		2000	0411		BR	199	7-1	1306	0		1	9971	112
HU	2000	0024	9		A2		2000	0728		HU	200	0 - 2	249			1:	9971	112
HU	2000						2000	1128										
	1969						2000								,		9971	
	3354				Α		2000						3354.				9971	
	2001		44				2001					-		-			9971	
	9710				А		1998							_			9971	
	9902				A		1999									_	9990	
MX	9904	512			A		2000	0531		MX	199	9-4	1512			1	9990	514

US 6153587 A 20001128 US 1999-317125 19990524
PRIORITY APPLN. INFO.: EP 1996-402441 A 19961114
WO 1997-EP6322 W 19971112

OTHER SOURCE(S): MARPAT 129:16399

LH-RH peptide analogs V-W-X-SPL-Y-Pro-Z [V is the peptide A1A2, where A1 is pGlu, AcSar, or an aromatic D-amino acid and A2 is a direct bond, His, D-Phe, D-pFPhe, or D-pClPhe; W is an aromatic L- or D-amino acid; X is the dipeptide A3A4, where A3 is Ala, Thr, Ser, D-Ser, Ser(OBzl), or MeSer and A4 = Tyr, Phe, cis-3-(4-pyrazinylcarbonylaminocyclohexyl)alanine, L- or D-Ne-picolinoyllysine, -Ne-nicotinoyllysine, or -Ne-isopropyllysine; SPL is a spirolactam; Y is the dipeptide A5A6, where A5 is an amino acid with an alkyl or cycloalkyl sid chain and A6 is (un)substituted L- or D-Arg, -homoarginine, -Lys, -homolysine, -Orn, -citrulline, -homocitrulline, or p-aminophenylalanine; Z is GlyNH2, D-Ala-NH2, azaglycinamide, (un)substituted alkylamino) were prepared which have excellent affinity for LH-RH receptors. Thus, pGlu-His-Trp-Ser-Tyr-SPL-Leu-Arg-Pro-NHEt, prepared by the solid-phase method, showed affinity (pKi = 8.94) for the LH-RH receptor.

IT 207607-70-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(conformationally constrained LH-RH analogs)

RN 207607-70-3 HCAPLUS

CN Glycinamide, 5-oxo-L-prolyl-L-histidyl-4-chloro-L-phenylalanyl-L-seryl-L-tyrosyl-(αS,5S)-α-(2-methylpropyl)-6-oxo-1,7-diazaspiro[4.4]nonane-7-acetyl-L-arginyl-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

H2N

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 48 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

7

ACCESSION NUMBER:

1998:163467 HCAPLUS

DOCUMENT NUMBER:

128:226683

TITLE:

Method of inhibiting fibrosis with a somatostatin

agonist

INVENTOR(S):

Culler, Michael D.; Kasprzyk, Philip G.

PATENT ASSIGNEE(S):

Biomeasure Incorporated, USA; Culler, Michael D.;

Kasprzyk, Philip G. PCT Int. Appl., 61 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

3

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

						_						T 0 1 1					
PA'	rent	NO.			KIN!	D	DATE					TON			D	ATE	
						_										0070	007
WO	9808																
	W:	ΑL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
•		DK,	ĖΕ,	ES,	FI,	GB,	GE,	GH,	HU,	IL,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	ΝZ,	PL,
		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	UA,	UG,	US,
		UZ,	VN,	YU,	zw												
	RW:	GH,	KE,	LS,	MW,	SD,	SZ,	UG,	ZW,	ΑT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,
		GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,
		GN,	ML,	MR,	ΝE,	SN,	TD,	ΤG									
CA	2264	309			A1		1998	0305		CA 1	997-	2264	309		1	9970	827
ΑU	9741	490			A		1998	0319		AU 1	997-	4149	0		1	9970	827
ΑU	7267	31			B2		2000	1116									
EP	9383	28			A1		1999	0901		EP 1	997-	9393	92		1	9970	827
EP	9383	28			В1		2006	0412									
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	FI	·	•	·		•	•					•			
CN	1229	357			Α		1999	0922		CN 1	997-	1976	71		1	9970	827

HU 9903918 JP 2001500483 EP 1574219	A2 T A2	20000428 20010116 20050914	HU 1999-3918 JP 1998-511678 EP 2005-76124	19970827 19970827 19970827
EP 1574219 R: AT, BE, CH,	A3 DE,	20060426 DK, ES, FR,	GB, GR, IT, LI, LU,	NI. SE. MC. PT.
R: AT, BE, CH, IE, FI	DE,	DR, ES, FR,	GB, GR, 11, H1, H0,	NB, 5B, 110, 11,
AT 322905	Т	20060415	AT 1997-939392	19970827
ES 2258282	Т3	20060816	ES 1997-939392	19970827
ZA 9707783	Α	19990301	ZA 1997-7783	19970829
US 6268342	В1	20010731	US 1999-254097	19990510
US 2005222025	A1	20051006	US 2004-935593	20040907
PRIORITY APPLN. INFO.:			US 1996-705790	A2 19960830
			EP 1997-939392	A3 19970827
•			WO 1997-US14154	W 19970827
·			US 1999-254097	A3 19990510
			US 2001-761605	A3 20010116

OTHER SOURCE(S): MARPAT 128:226683

AB The present invention relates to a method of inhibiting fibrosis in a patient. The method comprises administering a therapeutically effective amount of a somatostatin, a somatostatin agonist or a pharmaceutically acceptable salt thereof to said patient.

IT 113294-83-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(method of inhibiting fibrosis with a somatostatin agonist)

RN 113294-83-0 HCAPLUS

CN L-Threoninamide, 2,3,4,5,6-pentafluoro-D-phenylalanyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-L-cysteinyl- (9CI) (CA INDEX NAME)

PAGE 1-B

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 2 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 49 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1997:740418 HCAPLUS

DOCUMENT NUMBER:

128:43873

TITLE:

Antiangiogenic peptides, polypeptides containing them,

and methods for inhibiting angiogenesis

INVENTOR(S):

Davidson, Donald J.; Wang, Jieyi; Gubbins, Earl J. Abbott Laboratories, USA

PATENT ASSIGNEE(S):

SOURCE:

PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	TENT NO.	•		KIND	DATE	APPLICATION NO.	DATE	
	9741824				19971113 19980108	WO 1997-US7700	19970505	
WO	9741824 W: AU,	BR,				JP, KR, MX, NZ		
	RW: AT,	•		•		FR, GB, GR, IE, IT,	LU, MC, NL, PT, SE	
US	5801146	•	•	A	19980901	US 1996-643219	19960503	
CA	2253243			A1	19971113	CA 1997-2253243	19970505	
ΑU	9730606			Α	19971126	AU 1997-30606	19970505	
ΑU	724077			B2	20000914			
ΕP	910571			A2	19990428	EP 1997-925478	19970505	
EΡ	910571			В1	20050720			
	R: AT,	BE,	CH,	DE, D	K, ES, FR,	GB, GR, IT, LI, LU,	NL, SE, PT, IE, FI	
CN	1223690	i		A	19990721	CN 1997-195989	19970505	
BR	9708911			A	19990803	BR 1997-8911	19970505	

ни 9903530	A2	20000228	ни 1999-3530		19970505
HU 224827	B1	20060228			
NZ 332319	Α	20000929	NZ 1997-332319		19970505
JP 2002502235	· T	20020122	JP 1997-540162		19970505
AT 299888	Т	20050815	AT 1997-925478		19970505
HK 1021191	A1	20060519	HK 1999-104850		19991027
PRIORITY APPLN. INFO.:	•		US 1996-643219	Α	19960503
			US 1997-832087	Α	19970403
			WO 1997-US7700	W	19970505

AB Mammalian kringle 5 fragments and kringle 5 fusion proteins are disclosed as compds. for treating angiogenic diseases. Methods and compns. for inhibiting angiogenic diseases are also disclosed.

IT 199664-87-4P

RL: PNU (Preparation, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (antiangiogenic peptides, polypeptides containing them, and methods for inhibiting angiogenesis)

RN 199664-87-4 HCAPLUS

CN L-Tyrosinamide, 1-acetyl-L-prolyl-L-arginyl-L-lysyl-L-leucyl-3-iodo-L-tyrosyl-L- α -aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

L11 ANSWER 50 OF 90 HCAPLUS' COPYRIGHT 2007 ACS on STN

Updated Search

ACCESSION NUMBER:

1997:547277 HCAPLUS

DOCUMENT NUMBER:

127:162122

TITLE:

Preparation of 5-amino-4-hydroxyhexanoic acid

derivatives for treatment of AIDS

INVENTOR(S):

Bold, Guido; Lang, Marc; Fassler, Alexander; Capraro,

Hans-georg; Bhagwat, Shripad; Schneider, Peter;

Hoogevest, Petervan

PATENT ASSIGNEE(S):

Ciba-Geigy Corp., USA

SOURCE:

U.S., 98 pp., Cont.-in-part of U.S. Ser. No. 941,595,

abandoned. CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
				_	
US 5643878	Α	19970701	US 1994-207646		19940308
ZA 9206938	Α	19940311	ZA 1992-6938		19920911
CN 1089269	A	19940713	CN 1993-100044		19930104
PRIORITY APPLN. INFO.:			СН 1991-2689	Α	19910912
			CH 1992-890	Α	19920327
			CH 1992-2007	Α	19920625
			US 1992-941595	В2	19920908
			CH 1992-772	Α	19930311
OTHER SOURCE(S).	MARPAT	127:162122			

GΙ

AB Peptides I [A1, B1 = bond, amino acid residue; A2 = amino acid residue; R1 = H, alkoxycarbonyl, or (un) substituted benzyloxycarbonyl; R2, R3 = (un) substituted Ph or cyclohexyl; R4R5N = (un) substituted morpholino] were prepared for the treatment of AIDS. Thus, 5(S)-Boc-amino-4(S)-hydroxy-6cyclohexyl-2(R)-(p-fluorophenylmethyl)hexanoyl-L-Val-L-Phe-morpholin-4ylamide (Boc = tert-butoxycarbonyl) was prepared via peptide coupling in solution

Ι

150609-45-3P TΤ

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminohydroxyhexanoic acid derivs. for treatment of AIDS)

150609-45-3 HCAPLUS RN

CN Carbamic acid, [1-(cyclohexylmethyl)-2-[[(1,1-

dimethylethyl) dimethylsilyl] oxy] - 4 - [(4-fluorophenyl) methyl] - 5 - [[1-[[1-[(4-fluorophenyl) methyl]]] - 6 - [[1-[[1-[(4-fluorophenyl) methyl]]]] - 6 - [[1-[[1-[(4-fluorophenyl) methyl]]]]] - 6 - [[1-[[1-[(4-fluorophenyl) methyl]]]]] - 6 - [[1-[[1-[(4-fluorophenyl) methyl]]]]] - 6 - [[1-[[1-[(4-fluorophenyl) methyl]]]]]] - 6 - [[1-[[1-[(4-fluorophenyl) methyl]]]]] - 6 - [[1-[[1-[(4-fluorophenyl) methyl]]]]] - 6 - [[1-[[1-[(4-fluorophenyl) methyl]]]]]] - 6 - [[1-[[1-[(4-fluorophenyl) methyl]]]]]]]methoxyphenyl)methyl]-2-(4-morpholinyl)-2-oxoethyl]amino]carbonyl]-2methylpropyl]amino]-5-oxopentyl]-, 1,1-dimethylethyl ester, $[1S-[1R^*, 2R^*, 4S^*, 5[R^*(R^*)]]]-(9CI)$ (CA INDEX NAME)

L11 ANSWER 51 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1996:721028 HCAPLUS

DOÇUMENT NUMBER:

126:55003

TITLE:

Radiolabeled ligands specific for the G

protein-coupled state of neurotensin receptors Gaudriault, Georges; Zsurger, Nicole; Vincent,

Jean-Pierre

CORPORATE SOURCE:

Institut Pharmacologie Moleculaire Cellulaire, Universite Nice Sophia Antipolis, Valbonne, Fr. Journal of Neurochemistry (1996), 67(6), 2590-2598

SOURCE:

CODEN: JONRA9; ISSN: 0022-3042

PUBLISHER:

AUTHOR(S):

Lippincott-Raven

DOCUMENT TYPE:

Journal

LANGUAGE: English AR

Radiolabeled analogs of neuromedin N have been prepared by acylation of the α , ϵ 1, and ϵ 2 amino groups of [Lys2] neuromedin N (Lys-Lys-Pro-Tyr-Ile-Leu) either with the 125I-labeled Bolton-Hunter reagent or with N-succinimidyl[2,3-3H]propionate. The binding properties of the purified analogs toward newborn mouse brain homogenate or toward membranes of cells transitorily (COS) or permanently (AA1) transfected with the cloned rat brain neurotensin receptor cDNA were evaluated and compared with those of radiolabeled neurotensin. The α -modified analog of [Lys2] neuromedin N behaves exactly like neurotensin in these binding expts., whereas the $\varepsilon 1$ - and $\varepsilon 2$ -modified analogs selectively recognize the fraction of neurotensin binding sites that is sensitive to $GTP\gamma S$. The proportion of neurotensin receptors coupled to GTP binding proteins is .apprx.50% in membranes of newborn mouse brain or of AA1 cells that respond to neurotensin by an increase of the intracellular inositol trisphosphate concentration By contrast, membranes of transitorily transfected COS cells that do not respond to neurotensin exhibit very low levels of GTP-sensitive receptors labeled with the ε1- or ε2-modified analogs. These radiolabeled peptides offer new tools to selectively detect active neurotensin receptors.

185154-30-7 TΨ

RL: BPR (Biological process); BSU (Biological study, unclassified); PRP
(Properties); BIOL (Biological study); PROC (Process)
 (radiolabeled ligands specific for G protein-coupled state of
 neurotensin receptors)

RN 185154-30-7 HCAPLUS

CN 2-13-Neurotensin (cattle), N-[3-[4-hydroxy-3-(iodo-125I)phenyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

PAGE 2-A

HCAPLUS COPYRIGHT 2007 ACS on STN L11 ANSWER 52 OF 90

1996:175605 HCAPLUS ACCESSION NUMBER:

124:233153 DOCUMENT NUMBER:

Preparation of compound bearing two TITLE:

2,6-diiodophenol-4-yl groups and diagnostic drug for

iodine allergy

Sugihara, Yoshiki; Shionoya, Hiroshi; Yamatsu, Kiyomi INVENTOR(S):

Eisai Co., Ltd., Japan PCT Int. Appl., 38 pp. PATENT ASSIGNEE(S): SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9532173	A1	19951130	WO 1995-JP997	19950524
W: CA, JP, US	DE. DK	. ES. FR. GB	GR, IE, IT, LU,	MC. NI. PT. SE
JP 3349513	B2	20021125	JP 1995-530201	19950524
US 5780509 PRIORITY APPLN. INFO.:	A	19980714	US 1997-737625 JP 1994-109374	19970129 A 19940524
OTHER SOURCE(S):	маврат	124:233153	WO 1995-JP997	W 19950524

GI

AΒ A compound bearing at least two 2,6-diiodophenol-4-yl (antigen determinant) groups (I; X = monovalent atom or group; Y = bivalent atom or group), particularly a peptide composed of 2 to 8 iodinated tyrosine mols. condensed with each other (II; X, X1 = monovalent atom or group; R = H, iodo; n = 0-6; wherein R is selected such that the peptide contains more

II

than two 2,6-diiodophenol-4-yl group), is prepared This compound is useful as a diagnostic drug for iodine allergy, particularly against a x-ray imaging contrast agent for human urethra or blood vessels. The diagnostic method, which is based on the finding that the 2,6-diiodophenol-4-yl group is an antigenic determinant for iodine allergy, comprises administering the drug II (0.5-50 μg) to the patient to examine the intracutaneous reaction. Thus, 250 mg H-Tyr-Tyr-OH was added to 50 mM (NH4)2CO3 buffer solution (pH 9.4, 20 mL) and dissolved by adding 1 N NaOH, followed by adding portion wise 0.5 M KI (5.6 mL) and 1 N NaOH, and the resulting mixture was stirred at room temperature for 10 min, treated with sodium thiosulfate to decompose unreacted iodine, made pH 3 with 1 N HCl, and extracted with EtOAc to give 236 mg hexaiodotrityrosine II (R = iodo, X = H2N, X1 = CO2H, n = 1). When the latter compound (0.1 mL of 1 $\mu\text{g}/\text{mL}$ solution) was s.c. administered to iodine-sensitized guinea pigs, active cutaneous anaphylaxis was induced.

IT 174608-41-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptide containing diiodotyrosine as diagnostic agents for iodine allergy)

RN 174608-41-4 HCAPLUS

CN L-Tyrosine, 3,5-diiodo-L-tyrosyl-3,5-diiodo-L-tyrosyl-3,5-diiodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 53 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1995:958268 HCAPLUS

DOCUMENT NUMBER:

123:350253

TITLE:

Aerosol drug formulations containing vitamin E Fu, Lu Mou-ying; Gupta, Pramod K.; Adjei, Akwete L.

INVENTOR(S):

Abbott Laboratories, USA

PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.

KIND DATE

APPLICATION NO.

DATE

	#
	WO 9524892 A1 19950921 WO 1995-US2764 19950302
	W: AU, CA, JP, KR, MX
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
	CA 2183557 A1 19950921 CA 1995-2183557 19950302
	AU 9519804 A 19951003 AU 1995-19804 19950302
	AU 709783 B2 19990909
	JP 09510445 T 19971021 JP 1995-524061 19950302
	EP 804157 A1 19971105 EP 1995-912746 19950302
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE
DDTO	RITY APPLN. INFO.: US 1994-212472 A 19940314
FKIO	WO 1995-US2764 W 19950302
AB	Pharmaceutical compns. for aerosol delivery are disclosed comprising (a) a medicament, (b) a non-chlorofluorocarbon propellant, and (c) tocopherol of
	a pharmaceutically acceptable derivative thereof, as well as a method for
	preparing such compns. in which unwanted aggregation of the medicament is
	prevented without the use of surfactants or cosolvents. Pharmaceutical
	aerosols containing leuprolide acetate in 0.1% d- α tocopheryl acetate
	(I) and 10mL HFC-134a were prepared having good dispersion quality as
	compared with controls without I which had poor dispersion quality.
ΙT	170929-31-4
	RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(aerosol drug formulations containing vitamin E) 170929-31-4 HCAPLUS

RN

D-Alaninamide, N-acetyl-3-(1-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-O-(1-oxohexadecyl)-D-seryl-N-methyl-L-tyrosyl-N6-(3-pyridinylcarbonyl)-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl-CN (CA INDEX NAME)

L11 ANSWER 54 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1995:701735 HCAPLUS

DOCUMENT NUMBER: TITLE:

123:112727

Preparation of dipeptide derivatives of

5-amino-4-hydroxyhexanoic acid as HIV protease

inhibitors.

INVENTOR(S):

Bold, Guido; Lang, Marc; Faessler, Alexander; Capraro,

Hans-Georg; Bhagwat, Shripad

PATENT ASSIGNEE(S):

SOURCE:

Ciba-Geigy A.-G., Switz. Eur. Pat. Appl., 116 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

LANGUAGE:

Patent German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 618222	A2	19941005	EP 1994-810133	19940302
EP 618222 R: AT, BE, CH,	A3 DE, DK		GB, GR, IE, IT, LI,	• • • • • • • • • • • • • • • • • • • •
AU 9457588	Α	19940915	AU 1994-57588	19940304
AU 678202	B2	19970522		
FI 9401064	A	19940912	FI 1994-1064	19940307
CA 2118661	A1	19940912	CA 1994-2118661	19940309
NO 9400853	Α	19940912	NO 1994-853	19940310
ZA 9401668	A	19940913	ZA 1994-1668	19940310
ни 67089	A2	19950130	HU 1994-720	19940310
CN 1112125	Α	19951122	CN 1994-104099	19940310
JP 07316191	A	19951205	JP 1994-67908	19940311
PRIORITY APPLN. INFO.:			CH 1993-772	A 19930311
OTHER SOURCE(S):	MARPAT	123:11272	27	
GI				

$$R^{1}B^{1}N$$
 $R^{1}D$
 R^{1}

AB Title compds. [I; T = R6CO; R6 = (substituted) hydrocarbyl in which ≥1 C atom is replaced by a heteroatom; R1 = H, alkoxycarbonyl, heterocyclylcarbonyl, (substituted) benzyloxycarbonyl, heterocyclyloxycarbonyl, etc.; A1, B1 = bond, amino acid residue; R2, R3 = (substituted) Ph, cyclohexyl; A2 = amino acid residue; A1A2 = dipeptide residue whose central amide bond is reduced; NR4R5 = (substituted) morpholino, thiomorpholino], were prepared Title compound II was prepared by solution phase coupling reactions. I inhibited HIV-1 protease with IC50 = 10-7-10-9 M.

II

IT 150608-33-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of dipeptide derivs. of 5-amino-4-hydroxyhexanoic acid as HIV protease inhibitors)

RN 150608-33-6 HCAPLUS

CN Carbamic acid, [4-[(4-fluorophenyl)methyl]-2-hydroxy-5-[[1-[[[1-[(4-methoxyphenyl)methyl]-2-(4-morpholinyl)-2-oxoethyl]amino]carbonyl]-2-methylpropyl]amino]-5-oxo-1-(phenylmethyl)pentyl]-, 1,1-dimethylethyl ester, [1S-[1R*,2R*,4S*,5[R*(R*)]]]- (9CI) (CA INDEX NAME)

HCAPLUS COPYRIGHT 2007 ACS on STN L11 ANSWER 55 OF 90

ACCESSION NUMBER:

1995:666961 HCAPLUS

DOCUMENT NUMBER:

123:48053

TITLE:

Reduced-Size Antagonists of Luteinizing Hormone-Releasing Hormone Active in Vitro

AUTHOR(S):

Janecka, Anna; Janecki, Tomasz; Bowers, Cyril;

Folkers, Karl

CORPORATE SOURCE:

Institute for Biomedical Research, University of

Texas, Austin, TX, 78705, USA

SOURCE:

Journal of Medicinal Chemistry (1995), 38(15), 2922-4

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER:

American Chemical Society

Journal

DOCUMENT TYPE: English LANGUAGE: AR

A series of reduced-size analogs of LHRH was designed with the length varying from nine to two amino acids. These compds. were tested in vitro for the LH suppression in cultured rat pituitary cells treated with 1 ng of LHRH. The best analogs were also tested in vivo for their antiovulatory activity in rats. It appeared that terminal amino acids as well as the presence of Arg or ILys (Nε-isopropyllysine) in the sequence are both crucial for the antagonism. The most potent antagonist in this series was a heptapeptide, Ac-D-Nal-Ser-Tyr-D-Nal-Leu-Arg-ProNHEt, which completely inhibited LH release at the concentration 0.1 μg/mL and inhibited ovulation at 1000 $\mu g/\text{rat}$. For fragments shorter than heptapeptide the inhibition of LH release was observed at 100 µg/mL

concentration

of the analog.

162152-59-2 IT

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study) (reduced-size antagonists of LH-releasing hormone active in vitro)

RN 162152-59-2 HCAPLUS

D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-CN phenylalanyl-L-seryl-L-tyrosyl-3-(2-naphthalenyl)-D-alanyl-L-leucyl-Larginyl-L-prolyl- (9CI) (CA INDEX NAME)

PAGE 2-A

L11 ANSWER 56 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1995:568949 HCAPLUS

DOCUMENT NUMBER:

123:1202

TITLE:

Three-Dimensional Quantitative Structure-Activity

Relationships of Somatostatin Analogs. 1. Comparative

Molecular Field Analysis of Growth Hormone

Release-Inhibiting Potencies

AUTHOR(S):

Hocart, Simon J.; Reddy, Vik; Murphy, William A.; Coy,

David H.

CORPORATE SOURCE:

School of Medicine, Tulane University, New Orleans,

LA, 70112, USA

SOURCE:

Journal of Medicinal Chemistry (1995), 38(11), 1974-89

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER:

American Chemical Society

DOCUMENT TYPE:

Journal

LANGUAGE:

English

The previous work on the structure-activity relation of somatostatin and that of many others has generated a large database of analogs with different biol. activities and receptor affinities. This present work is an investigation of the growth hormone release-inhibiting potencies of somatostatin analogs by the 3-dimensional quant. structure-activity paradigm, comparative mol. field anal. (COMFA). A total of 64 analogs were modeled in SYBYL using structural information from 2 NMR studies. The mols. were aligned by a root-mean-square fit of atoms and field-fit of the steric and electrostatic mol. fields and the resulting databases

CN

analyzed by partial least squares anal. with cross-validation to extract the optimum number of components. The anal. was then repeated without cross-validation to give the final QSAR models. Preliminary investigations with the CoMFA models led to the synthesis of a new somatostatin analog. This compound together with 5 other newly synthesized compds. not included in the original training sets were used to test the predictive ability of the CoMFA models. Two models with good predictive powers are presented.

IT 150155-65-0, BIM 23067

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)

(three-dimensional QSARs of somatostatin analogs and comparative mol.

field anal. of growth hormone release-inhibiting potencies)

RN 150155-65-0 HCAPLUS

D-Phenylalaninamide, 4-chloro-D-phenylalanyl-L-alanyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

L11 ANSWER 57 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1995:480169 HCAPLUS

DOCUMENT NUMBER:

122:240447

TITLE:

Preparation of peptideamide analogs as tachykinin

antagonists.

INVENTOR(S):

Pieper, Helmut; Austel, Volkhard; Jung, Birgit;

Buerger, Erich; Entzeroth, Michael

PATENT ASSIGNEE(S):

Karl Thomas GmbH, Germany Ger. Offen., 101 pp.

SOURCE:

CODEN: GWXXBX

DOCUMENT TYPE:

Patent German

LANGUAGE:

1.

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4243858	A1	19940630	DE 1992-4243858	19921223
PRIORITY APPLN. INFO.:		•	DE 1992-4243858	19921223
OTHER SOURCE(S):	MARPAT	122:240447		
GT				

Ι

Updated Search

AB R4R5NACONHCHR3CXNR1R2 [A = 1,2-cyclopentylene, CHR6; R6 = H, (substituted) alkyl, Ph; R1 = H, (Ph- or pyridyl-substituted) alkyl; R2 = H, (amino- or guanidino-substituted) Ph, pyridyl, (cyclohexyl-, Ph-, or pyridyl-substituted) alkyl, etc.; R1R2N = (substituted) piperazinyl; R3 = H, (phenyl)alkyl, guanidino- or amino-substituted alkyl, aminocarbonylalkyl, etc.; R4 = H, (phenyl)alkyl; R5 = protecting group, (substituted) alkyl, alkanoyl, alkoxycarbonyl, alkylaminocarbonyl, PhCO, naphthylcarbonyl, biphenylcarbonyl, PhSO2, etc.; X = (H, H), O, S; the C atom bearing the R3 substituent is L; the C atom bearing the R6 substituent is D or L], were prepared Thus, title compound I (prepared by solution

phase methods) showed IC50 = 2 nM for neurokinin-1 receptor binding with IM-9 cells. Tablets were prepared containing I.

IT 162177-03-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of, as tachykinin antagonist)

RN 162177-03-9 HCAPLUS

CN L-Lysinamide, 4-amino-3,5-dibromo-N-(1-oxo-4-phenylbutyl)-D-phenylalanyl-N-[2-(2-methoxyphenyl)ethyl]-N6-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 58 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1995:408550 HCAPLUS

DOCUMENT NUMBER:

122:161391

TITLE:

Preparation of fluorine containing atrial natriuretic

peptides

INVENTOR(S):

Rakhit, Sumanas; Goghari, Mahesh H.

PATENT ASSIGNEE(S): SOURCE:

Bio-Mega/Boehringer Ingelheim Research Inc., Can. U.S., 19 pp. Cont.-in-part of U.S. 5,095,004.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

. 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 5376635	A	19941227	US 1991-781590		19911023
US 5095004	Α	19920310	US 1988-166526		19880314
PRIORITY APPLN. INFO.:			CA 1987-532982	Α	19870325
			CA 1987-542192	A	19870715
			US 1988-166526	°A2	19880314
OTHER SOURCE(S):	MARPAT	122:161391			

Y-R1-R2-Gly-Arg-R3-Asp-Arg-Ile-Gly-Ala-Gln-Ser-Gly-Leu-Gly-Cys-Asn-Ser-R4-Arg-R5-Z I

H-Ser-Ser-Cys-4FPhe-Gly-Gly-Arg-Ile-Asp-Arg-Ile-Gly-Ala-Gln-Ser-Gly-Leu-Gly-Cys-Asn-Ser-Phe-Arg-OH II

Disclosed herein are derivs. of atrial natriuretic peptides which are AΒ characterized by having (at positions 106 and/or 124) a phenylalanyl residue bearing a F or CF3 substituent on the aromatic portion thereof. peptides are represented by a general formula [I; R1, R4 = Phe, 2FPhe, 3FPhe, 4FPhe, 2CF3Phe, 3CF3Phe, 4CF3Phe; R2 = Gly, Ala, D-Ala; R3 = Ile, Met; R5 = Tyr, absent; Y = S-(lower alkylene)-CO, R6-Cys; wherein R6 = peptide residue, e.g., H-Ser-Ser and H-Arg-Ser-Ser; provided that when R1 = Phe, R4 ≠ Phe]. Optionally, the exocyclic N-terminal peptide segment and the first cysteinyl residue (at position 105) are replaced by an optionally substituted thioalkanoyl residue. The derivs. possess useful diuretic, natriuretic and antihypertensive activities. Thus, (4FPhe106)hANP(103-125) (II) was prepared by the solid phase method using Boc-Arg(Tos)-PAB-benzhydrylamine resin [PAB = α -(phenylacetamido)benzyl]. In a diuretic assay using normotensive rats, II at 0.5 μ g/kg per min urine excretion from 0.42 mL/10 min (control) to 1.27 mL/10 min.

IT 120728-19-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of fluorine-containing atrial natriuretic peptides as diuretics,

natriuretics, and antihypertensives)

RN 120728-19-0 HCAPLUS

CN Atrial natriuretic peptide-28 (human), 26-(4-fluoro-L-phenylalanine)-(9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

HCAPLUS COPYRIGHT 2007 ACS on STN L11 ANSWER 59 OF 90

1995:252000 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 122:46704

Subtype selectivity of peptide analogs for all five TITLE:

cloned human somatostatin receptors (hsstr 1-5)

Patel, Yogesh C.; Srikant, Coimbatore B. AUTHOR(S):

Fraser Lab., McGill Univ., Montreal, QC, H3A 1A1, Can. CORPORATE SOURCE:

Endocrinology (1994), 135(6), 2814-17 CODEN: ENDOAO; ISSN: 0013-7227 SOURCE:

PUBLISHER: Endocrine Society

DOCUMENT TYPE: Journal English LANGUAGE:

Recent reports (Raynor et al) have claimed the identification of potent AR somatostatin (SST) agonists exhibiting binding affinities of 1-2 pM and up to 30,000-fold binding selectivity for several of the 5 cloned sstr subtypes. These conclusions, however, are based on binding comparisons of sstr subtypes from different species expressed in different cell lines and studied with different radioligands. To eliminate the effect of species and/or methodol. variations, we have investigated agonist selectivity of 32 synthetic SST analogs for all 5 hsstrs stably expressed in CHO-K1 cells under identical binding conditions. We show that hsstr2, 3, 5 react potently with hexapeptide as well as cyclic and linear octapeptide analogs and belong to a similar sstr subclass. Hsstrl and 4 react poorly with these analogs and belong to a sep. subclass. The present generation of SST analogs exhibit a modest .apprx. 50-fold increase in binding potency compared to SST-14 for 2 subtypes (hsstr2, 3), and relative selectivity for only 2 subtype (hsstr2) which is at best only 35- fold. The potency and degree of selectivity of these analogs is several orders of magnitude less than that reported earlier and suggests the need for caution in using these compds. as putative superagonists or subtype selective compds. for any of the individual sstrs.

150155-65-0, BIM 23067 IT

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(somatostatin receptor subtype selectivity of)

RN 150155-65-0 HCAPLUS

D-Phenylalaninamide, 4-chloro-D-phenylalanyl-L-alanyl-L-tyrosyl-D-CN tryptophyl-L-lysyl-L-valyl-L-alanyl- (9CI) (CA INDEX NAME)

PAGE 1-B

L11 ANSWER 60 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1994:436149 HCAPLUS

DOCUMENT NUMBER:

121:36149

TITLE:

In vitro and in vivo Activities of Reduced-Size Antagonists of Luteinizing Hormone-Releasing Hormone Haviv, Fortuna; Fitzpatrick, Timothy D.; Nichols,

AUTHOR(S):

Updated Search

Charles J.; Bush, Eugene N.; Diaz, Gilbert; Bammert, Gary; Nguyen, A. T.; Johnson, Edwin S.; Knittle,

Judith; Greer, Jonathan

CORPORATE SOURCE:

TAP Pharmaceutical Products Inc, Abbott Park, IL,

60064, USA

SOURCE:

Journal of Medicinal Chemistry (1994), 37(5), 701-5

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE:

Journal

LANGUAGE: English

A novel series of octapeptide LHRH antagonists was designed based on LHRH agonist H-Phe-Trp-Ser-Tyr-D-Trp-Leu-Arg-Pro-NHEt. By adopting a systematic SAR study, first the in vitro activity was improved, followed by the in vivo LH suppression, raising them up to the range of the decapeptide antagonists NalGlu and A-75998, resulting in antagonist 4-FC6H4CH2CH2CO-D-Nal-Ser-MeTyr-D-Lys(Nic)-Leu-Lys(CHMe2)-Pro-D-Ala-NH2 (Nal = 3-(1-naphthyl) alanine, Nic = nicotinoyl) (A-76154). The octapeptide antagonist A-76154 is the most potent reduced-size LHRH antagonist reported. It suppresses LH in the castrated rat by over 80% for a period of 4 h following s.c. bolus administration of 30 $\mu g/kg$.

IT 136988-34-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and LH releasing hormone antagonist activity of)

RN 136988-34-6 HCAPLUS

L-Prolinamide, 4-chloro-N-[3-(4-fluorophenyl)-1-oxopropyl]-D-phenylalanyl-CN L-seryl-L-tyrosyl-D-tryptophyl-L-leucyl-L-arginyl-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

PAGE 2-A

L11 ANSWER 61 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1993:662666 HCAPLUS

DOCUMENT NUMBER:

119:262666

TITLE:

Characterization of cloned somatostatin receptors

SSTR4 and SSTR5

AUTHOR(S):

Raynor, Karen; O'Carroll, Anne Marie; Kong, Haeyoung; Yasuda, Kazuki; Mahana, Lawrence C.; Bell, Graeme I.;

Reisine, Terry

CORPORATE SOURCE:

Sch. Med., Univ. Pennsylvania, Philadelphia, PA,

19104, USA

SOURCE:

Molecular Pharmacology (1993), 44(2), 385-92

CODEN: MOPMA3; ISSN: 0026-895X

DOCUMENT TYPE:

Journal

LANGUAGE:

English

The recent mol. cloning of the genes and cDNAs encoding multiple somatostatin (SRIF) receptor subtypes has allowed for the individual expression of these receptors in mammalian cells and characterization of their resp. pharmacol. profiles. Previously, the authors fully described and compared the pharmacol. properties of the first 3 SRIF receptor subtypes, SRIF receptor type (SSTR)1, SSTR2, and SSTR3. In the present study, the authors have investigated the properties of the newly cloned SRIF receptor subtypes SSTR4 and SSTR5 with regard to pharmacol. profiles, the regulation of high-affinity agonist binding to these receptors by stable GTP analogs, Na+, or prior exposure to agonists, and the inhibition of forskolin-stimulated cAMP accumulation mediated by these receptors.

The authors labeled SSTR4 and SSTR5 expressed in Chinese hamster ovary (CHO-K1) and COS-1 cells, resp., with the metabolically stable SRIF analog 125I-CGP 23996. Radioligand binding competition studies were performed using SRIF analogs of differing structures, including hexapeptide analogs similar to MK 678, octapeptide analogs similar to SMS 201-995, pentapeptide analogs similar to c[Ahep-Phe-D-Trp-Lys-Thr(Bzl)], and linear SRIF analogs. SSTR4 bound compds. in all structural classes with high to moderate affinities, and several compds. were identified that are >100-fold selective for SSTR4, compared with the other cloned SRIF receptors, including the linear SRIF analog BIM 23052 and the CGP 23996-like SRIF analog L 362,855. In contrast, SSTR5 bound very few SRIF analogs with high affinity. Both receptors could be regulated by prior exposure to agonist. In addition, agonist binding to SSTR4 was reduced by stable GTP analogs, Na+ , and pertussis toxin, but agonist binding to SSTR5 was not affected by these treatments. SSTR4 is efficiently coupled to the inhibition of adenylyl cyclase activity, whereas SSTR5 appears not to couple to this cellular effector system. Such differences between the cloned SRIF receptors provide useful strategies for identifying regions of these receptor subtypes that may be involved in ligand-binding specificities and G protein and cellular effector system coupling. identification of subtype-selective SRIF analogs may lead to more specific therapeutic interventions.

IT 150155-65-0

RL: BIOL (Biological study)

(cloned somatostatin SSTR4 and SSTR5 receptors interaction with)

RN 150155-65-0 HCAPLUS

CN D-Phenylalaninamide, 4-chloro-D-phenylalanyl-L-alanyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-L-alanyl- (9CI) (CA INDEX NAME)

L11 ANSWER 62 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1993:650508 HCAPLUS

DOCUMENT NUMBER:

119:250508

TITLE:

Preparation of 5-amino-4-hydroxyhexanoic acid derivative containing peptides as HIV protease

inhibitors

INVENTOR(S):

Lang, Marc; Bold, Guido; Faessler, Alexander;

Schneider, Peter; Van Hoogesvest, Peter

PATENT ASSIGNEE(S):

SOURCE:

Ciba-Geigy A.-G., Switz. Eur. Pat. Appl., 79 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

LANGUAGE:

Patent German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PAT	TENT NO.		KIND	DATE	APPLICATION NO.	DATE
EP	532466		A2	19930317	EP 1992-810678	19920903
EP	532466		A3	19930616		
•	R: AT,	BE, C	H, DÈ, DK	, ES, FR,	GB, GR, IE, IT, LI, I	LU, MC, NL, PT, SE
JP	05230095		Α	19930907	JP 1992-238424	19920907
CA	2077948	1	A1	19930313	CA 1992-2077948	19920910
AU	9222889		А	19930318	AU 1992-22889	19920910
AU	661018		B2	19950713		
IL	103126		Α	19970930	IL 1992-103126	19920910
NO	9203533		Α	19930315	NO 1992-3533	19920911
HU	63632		A2	19930928	HU 1992-2925	19920911
ZA	9206938		Α	19940311	ZA 1992-6938	19920911
$_{ m PL}$	169969		В1	19960930	PL 1992-295905	19920911
RU	2067585		C1	19961010	RU 1992-5052915	19920911
CN	1089269		Α	19940713	CN 1993-100044	19930104
PRIORITY	Y APPLN.	<pre>INFO.:</pre>			CH 1991-2689	A 19910912
					CH 1992-980	A 19920327

CH 1992-2007

A 19920625

OTHER SOURCE(S):

MARPAT 119:250508

GI

$$R^{1}X$$
 $R^{1}X$
 $R^{1}X$
 $R^{1}X$
 $R^{1}X$
 $R^{1}X$
 $R^{1}X$
 $R^{2}X$
 R

Title compds. [I; R1 = H, alkoxycarbonyl, heterocyclylcarbonyl, heterocyclyloxycarbonyl, (substituted) benzyloxycarbonyl, etc.; X = bond, α -amino acid residue; R2, R3 = (substituted) Ph, cyclohexyl; A1 = bond, α -amino acid residue; A2 = α -amino acid residue; A1A2 = dipeptide residue whose central amide bond is reduced; NR4R5 = (thio)morpholino], were prepared as HIV protease inhibitors. Thus, title compound II was prepared in many steps starting from BOC-phenylalaninal using solution phase methods. I inhibited HIV-1 multiplication in MT-2 cells with ED90's of 10-5-10-8M. Generic I oral formulations are given.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as HIV protease inhibitor)

RN 150608-23-4 HCAPLUS

CN Carbamic acid, [1,4-bis[(4-fluorophenyl)methyl]-2-hydroxy-5-[[1-[[[1-[(4-methoxyphenyl)methyl]-2-(4-morpholinyl)-2-oxoethyl]amino]carbonyl]-2-methylpropyl]amino]-5-oxopentyl]-, 1,1-dimethylethyl ester, [1S-[1R*,2R*,4S*,5[R*(R*)]]]- (9CI) (CA INDEX NAME)

HCAPLUS COPYRIGHT 2007 ACS on STN L11 ANSWER 63 OF 90

ACCESSION NUMBER:

1993:574313 HCAPLUS

DOCUMENT NUMBER:

119:174313

Cloned somatostatin receptors: Identification of TITLE:

subtype-selective peptides and demonstration of high

affinity binding of linear peptides

AUTHOR(S):

Raynor, Karen; Murphy, William A.; Coy, David H.; Taylor, John E.; Moreau, Jacques Pierre; Yasuda,

Kazuki; Bell, Graeme I.; Reisine, Terry

CORPORATE SOURCE:

Sch. Med., Univ. Pennsylvania, Philadelphia, PA,

19104, USA

SOURCE:

Molecular Pharmacology (1993), 43(6), 838-44

CODEN: MOPMA3; ISSN: 0026-895X

DOCUMENT TYPE:

LANGUAGE:

Journal English

The authors investigated the affinities of a battery of somatostatin (SRIF) analogs to bind to SRIF receptor subtypes SSTR1 (cloned somatostatin complex), SSTR2, and SSTR3, as well as their abilities to inhibit the release of growth hormone from anterior pituitary cells in vitro. SSTR1 and SSTR3 receptors expressed in Chinese hamster ovary and COS-1 cells, resp., were labeled with the metabolically stable SRIF analog 125I-CGP 23996. SSTR2 receptors expressed in Chinese hamster ovary cells were labeled with the SSTR2-specific radioligand 125I-MK-678. Inhibition studies were performed using SRIF analogs of differing structures, including hexapeptide analogs similar to MK-678, octapeptide analogs similar to SMS 201-995, pentapeptide analogs similar to c[Ahep-Phe-D-Trp-Lys-Thr(Bzl)] (SA), and linear SRIF analogs. SSTR1 bound SRIF and SRIF-28 with high affinity and the peptide SA and its structural analogs with low affinity. The hexapeptides did not interact with SSTR1 at concns. as high as 1 μ M, and only a few of the octapeptides or linear peptides bound, with very low affinities. In contrast, 125I-MK-678 binding to SSTR2 was potently inhibited by the hexapeptides, octapeptides, and some of the linear compds., whereas SA and its analogs did not bind to SSTR2. The potencies of the various SRIF agonists to inhibit growth hormone release in vitro was highly correlated with their potencies to inhibit radioligand binding to SSTR2, but not to SSTR1 or SSTR3. SSTR3

bound analogs of each class but with moderate to low affinities, with the exception of several linear peptides and one of the octapeptides. For the first time the binding affinities of linear analogs of SRIF, some of which display subnanomolar affinities and are highly selective for SRIF receptor subtypes, are reported. Most importantly, these studies identify several peptide analogs that are highly potent, specific, and selective for individual subtypes of SRIF receptors. Such information, coupled with the knowledge of the distribution of these receptor subtypes in normal and pathol. tissues, will be critical for more specific exptl. and therapeutic interventions.

IT 150155-65-0, BIM 23067

RL: BIOL (Biological study)

(somatostatin receptor subtype binding of, selectivity in relation to)

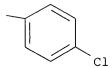
RN 150155-65-0 HCAPLUS

CN D-Phenylalaninamide, 4-chloro-D-phenylalanyl-L-alanyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-L-alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B



L11 ANSWER 64 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

Journal

1993:423988 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

119:23988

TITLE: Stoichiometric labeling of peptides by iodination on

tyrosyl or histidyl residues

Tsomides, Theodore J.; Eisen, Herman N. AUTHOR(S):

Cent. Cancer Res., Massachusetts Inst. Technol., CORPORATE SOURCE:

Cambridge, MA, 02139, USA

Analytical Biochemistry (1993), 210(1), 129-35 SOURCE:

CODEN: ANBCA2; ISSN: 0003-2697

DOCUMENT TYPE:

LANGUAGE: English

Radioiodination with 125I or 131I is a favored technique for labeling biol. active peptides or proteins because of high specific radioactivities and convenience in counting γ -emissions. Previous studies used trace labeling, in which fewer than 1% of the mols. are iodinated. Procedures are described for obtaining stoichiometrically iodinated and therefore chemical homogeneous peptides with specific activities exceeding 107 cpm/µg (≈10 Ci/mmol). By analyzing the pH dependence of iodination on tyrosyl and histidyl residues, it is shown that the method described can be applied to many short peptides and optimized for labeling on tyrosine and/or histidine. The power of reverse-phase HPLC is exploited to resolve multiple products substituted with different molar equivalents of iodine from each other and from unlabeled peptide. Specific radioactivity ratios can be used to identify the products, as confirmed by Edman sequence anal. under conditions that separated iodinated tyrosine and histidine derivs. from all other amino acids. It is also shown that the biol. activities of iodinated and uniodinated peptides can differ by several orders of magnitude in a T cell assay and the usefulness of stoichiometric labeling to overcome ambiguities inherent in studying biol. activities with trace-labeled peptides is also demonstrated.

IT 148362-79-2P

RL: PREP (Preparation)

(preparation and characterization of)

RN 148362-79-2 HCAPLUS CN L-Leucine, N-[N-[N-[N-[N-(N-L-arginylglycyl)-3-iodo-L-tyrosyl]-L-valyl]-3-iodo-L-tyrosyl]-L-glutaminyl]-L- α -glutamyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 2-A

i-Bu S N

HO2C S N

HN

R

L11 ANSWER 65 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 1992:585141 HCAPLUS

ACCESSION NUMBER: DOCUMENT NUMBER:

117:185141

TITLE:

Stabilization of the N-terminal residues of

luteinizing hormone-releasing hormone agonists and the

effect on pharmacokinetics

Haviv, Fortuna; Fitzpatrick, Timothy D.; Nichols, AUTHOR(S):

Charles J.; Swenson, Rolf E.; Bush, Eugene N.; Diaz, Gilbert; Nguyen, A.; Nellans, Hugh N.; Hoffman, Daniel

J.; et al.

Pharm. Prod. Div., Abbott Lab., Abbott Park, IL, CORPORATE SOURCE:

60064, USA

absorption over leuprolide was observed

SOURCE: Journal of Medicinal Chemistry (1992), 35(21), 3890-4

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

To stabilize leuprolide, [D-Leu6, Pro9-NHEt]LHRH (LHRH = LH-releasing AΒ hormone), against chymotrypsin and intestinal degradation, several agonists of LHRH, e.g. [N-Me-Ser4, D-Leu6, Pro9-NHEt] LHRH, [N-Ac-Sar1, D-Leu6, Pro9-NHEt]LHRH (Sar = sarcosine), [Phe2,D-Trp,Pro9-NHEt]LHRH, [N-MePhe2, D-Leu6, Pro9-NHEt] LHRH, [Tyr(Me)3, D-Leu6, Pro9-NHEt] LHRH, modified at positions 1, 2, or 3 and/or containing N- α -Me at positions 1, 2, or 4, were synthesized by the solid-phase method. These agonist were tested in vitro for (a) rat pituitary LHRH receptor binding, (b) LH release from rat pituitary cells, (c) stability against chymotrypsin, and (d) stability against rat intestinal degradation The clearances of the compds. in the rat were determined using a RIA. Complete stabilization against chymotrypsin (t1/2) and lumenal degradation (T1/2) was achieved with substitution of NMe-Ser4 in leuprolide; however, with an increase in clearance. Substitution with 1-Nal3 (Nal = naphthylalanine) increased both t1/2 and T1/2, while substitution with NAc-Sarl increased only T1/2. [NAcSarl, NMeSer4, D-Trp6, Pro9NHEt] LHRH, the doubly stabilized analog, was tested in the rat by both i.v. and id administrations, and its bioavailabilities were measured. No significant improvement in id

TΤ 143399-05-7P

> RL: SPN (Synthetic preparation); PREP (Preparation) (preparation, LH-releasing activity and stability of, to chymotrypsin and intestinal degradation)

ŔN 143399-05-7 HCAPLUS

Luteinizing hormone-releasing factor (swine), 3-(4-chloro-L-phenylalanine)-CN 6-D-leucine-9-(N-ethyl-L-prolinamide)-10-deglycinamide- (9CI) (CA INDEX

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

L11 ANSWER 66 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1992:214921 HCAPLUS

DOCUMENT NUMBER: 116:214921

TITLE: Preparation of iodinated peptides

INVENTOR(S): Halatsch, Wolf Rainer; Sohr, Reinhard; Henklein,

Peter; Schmidt, Eberhard

PATENT ASSIGNEE(S): Humboldt-Universitaet zu Berlin, Germany

SOURCE: Ger. (East), 4 pp.

CODEN: GEXXA8

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 296083	A5	19911121	DD 1990-339759	19900412
DD 296083	B5	19970220		•
PRIORITY APPLN. INFO.:			DD 1990-339759	19900412
OTHER SOURCE(S):	CASRE	ACT 116:2149	21; MARPAT 116:214921	
GT				

AB Title compds. were prepared via reacting free amino group-containing peptides H2N-AS1...ASn-B (I; AS1-ASn = any amino acid residue; A, B = protecting group or any organic group) or A-NH-AS1...A(NH2)Sx-B (II; ASx = amino acid residue containing an NH2 group in the sidechain) with norborn-5-ene-2,3-carboxyimide III (R = I, I125) or acylating I or II with III (R = H) and subsequent iodination. III (R = H) (preparation given) in dioxane containing Zn

Updated Search

was treated with KI in an NaOAc buffer, Chloramine T in NH4OAc was added to the reaction mixture, and the resulting mixture was stirred for 30 s to give III (R = I). This was condensed with H-Asp-Tyr(SO3Na)-Met-Gly-Trp-Met-Asp-Phe-NH2 in DMF-pyridine containing (Me2CH) 2NEt to give Q-Asp-Tyr(SO3Na)-Met-Gly-Trp-Met-Asp-Phe-NH2 [Q = 4,3-(HO)IC6H3CH2CH2CO].

IT 140908-81-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as pharmaceutical and diagnostic agent)

RN 140908-81-2 HCAPLUS

CN Caerulein, 1-de(5-oxo-L-proline)-2-de-L-glutamine-3-[N-[3-(4-hydroxy-3-iodophenyl)-1-oxopropyl]-L-aspartic acid]-5-L-methionine-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

Na

L11 ANSWER 67 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

1992:129629 HCAPLUS ACCESSION NUMBER:

116:129629

DOCUMENT NUMBER: TITLE:

Preparation of reduced size LH-RH analogs as LH-RH

agonists and antagonists

INVENTOR(S):

Haviv, Fortuna; Palabrica, Christopher A.; Greer,

Jonathan; Fitzpatrick, Timothy D.

PATENT ASSIGNEE(S):

SOURCE:

Abbott Laboratories, USA Eur. Pat. Appl., 90 pp.

CODEN: EPXXDW

Patent

DOCUMENT TYPE:

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 417454 EP 417454	A2 A3	19910320 19910710	EP 1990-114752	19900801
R: AT, BE, CH,			GB, GR, IT, LI, LU, NL,	SE
US 5140009	Α	19920818	US 1990-548511	19900710
CA 2022437	A1	19910208	CA 1990-2022437	19900801
CA 2022437	С	20021022		
NO 9003454	A	19910208	NO 1990-3454	19900806
HU 55414	A2	19910528	HU 1990-4911	19900806
KR 161972	В1	19981116	KR 1990-11998	19900806
AU 9060285	Α	19910207	AU 1990-60285	19900807
JP 03081292	A	19910405	JP 1990-209059	19900807
AU 9457894	Α	19940519	AU 1994-57894	19940317
AU 675274	B2	19970130		
PRIORITY APPLN. INFO.:			US 1989-390269	A 19890807
			US 1990-548511	A 19900710
			US 1988-154682	32 19880210
OBUED COUNCE/C).	MADDAM	116.12062	Λ.	

OTHER SOURCE(S): MARPAT 116:129629

AB Reduced size LH-RH analogs T-Q-X-A-B-C-D-E-F-Y [T = absent, D- or
L-H-Gln(Et), Z-W-W1CO; Z = H, C1-6 alkyl, cycloalkyl, etc.; W = absent,
alkylene, alkenylene' W1 = absent, O, S, NH; Q = absent, (substituted) Dor L-Phe, His, Trp, etc.; X = absent, (substituted) D- or L-Trp,
3-(1-naphthyl)alanyl, Pro, etc.; A = (substituted) L-Ser, Ala, Gln, etc.;
B = (substituted) Tyr, Trp, His, etc.; C = (substituted) D-amino acid
residue, Ser(PO3H2), Ser(PO3Me2), etc.; D = (substituted) Leu, Ile,
Thr(PO3H2), etc.; E = L-amino acyl residue NR1CH[(CH2)pR2]CO, etc.; R1 =
H, Me, Et, Pr, Me2CH; R2 = NH2, alkylamino, cycloalkylamino,
alkanoylamino, etc.; p = 1-4; F = L-Pro, trans-βaminocyclopentanecarbonyl, etc.; Y = D- or L-Ala-NH2, Gly-NH2, etc.; with
provisos] were prepared Thus, 1-naphthylacetyl-Ser-Tyr-D-Leu-Leu-Arg-ProNHEt (I) was prepared via solid phase methods starting with resin-bound
Boc-Pro-OH and Boc-Arg(Tos)-OH, Boc-Leu-OH, Boc-D-Leu-OH,
Boc-Tyr(4-BrZ)-OH, Boc-Ser (Bzl)-OH, and naphthylacetic acid. I had a pD2
(neg. log of concentration which produces half-maximal release of LH) of 6.85

vs.

9.27 for LH-RH.

IT 136967-92-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as LH-RH agonist and antagonist)

RN 136967-92-5 HCAPLUS

CN L-Prolinamide, N-[3-(4-fluorophenyl)-1-oxopropyl]-L-seryl-L-tyrosyl-D-tryptophyl-L-leucyl-L-arginyl-N-ethyl- (9CI) (CA INDEX NAME)

AUTHOR(S):

PAGE 2-A

HCAPLUS COPYRIGHT 2007 ACS on STN L11 ANSWER 68 OF 90

1991:157334 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 114:157334

TITLE: Increased concentrations of immunoreactive inhibin

during conception cycles in the marmoset monkey: suppression with an LHRH antagonist and cloprostenol Webley, G. E.; Knight, P. G.; Given, A.; Hodges, J. K.

Comp. Physiol. Group, Inst. Zool., London, NW1 4RY, UK CORPORATE SOURCE: SOURCE:

Journal of Endocrinology (1991), 128(3), 465-73

CODEN: JOENAK; ISSN: 0022-0795

DOCUMENT TYPE: Journal English LANGUAGE:

Peripheral concns. of immunoreactive (ir) inhibin have been measured during the ovarian cycle and early pregnancy in the marmoset monkey. Blood samples were taken (3/wk) during conception and non-conception cycles. Ir-inhibin was measured by RIA using an antiserum raised against a synthetic peptide fragment of the α subunit of human inhibin. Monomeric bovine α subunit and 32 kDa bovine inhibin were used as tracer and standard resp. In all animals low concns. of ir-inhibin were recorded during the follicular phase (40-60 μ g/L) of the cycle. After ovulation, ir-inhibin concns. increased but the peak concns. attained differed between conception and non-conception cycles. In non-pregnant animals ir-inhibin concns. reached a maximum of 242 μ g/L on days 12/13 after ovulation. In pregnant animals ir-inhibin concns. were higher (1.8-fold) than in non-pregnant animals on days 8/9 after ovulation, and reached a maximum value of 636 μ g/L on days 20/21 after ovulation. Administration of an LH-RH antagonist during the luteal phase on days 6-8 after ovulation decreased progesterone and ir-inhibin concns. within 4 and 8 h, resp. This was prevented by coadministration with human chorionic gonadotropin. Administration of cloprostenol to pregnant animals between days 17 and 20 after ovulation halved the initial concns. of both inhibin and progesterone within 1.5 h. The increase in plasma ir-inhibin concns. in the luteal phase and the apparent similarity in control of ir-inhibin and progesterone supports a luteal source of ir-inhibin in both conception and non-conception cycles. The higher levels of ir-inhibin from days 8/9 after ovulation in conception cycles were not related to any detectable increase in peripheral concns. of chorionic gonadotropin and occurred at least 4 days before the expected time of implantation. This suggests a role for the conceptus in inhibin secretion which may involve the release of an embryo message before implantation.

IT 132998-39-1

RL: BIOL (Biological study)

(inhibin secretion suppression by, in marmoset monkey)

RN 132998-39-1 HCAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-L-histidyl-4-chloro-D-phenylalanyl-L-seryl-L-tyrosyl-D-arginyl-L-phenylalanyl-L-arginyl-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

$$(CH_2)_{3} \xrightarrow{H} NH_2$$

$$(CH_2)_{3} \xrightarrow{NH} NH_2$$

$$(CH_2)_{3} \xrightarrow{NH} NH_2$$

$$NH_2 \xrightarrow{NH} NH_2$$

$$NH_3 \xrightarrow{NH} NH_2$$

$$NH_4 \xrightarrow{NH} NH_2$$

$$NH_5 \xrightarrow{NH} NH_2$$

$$NH_6 \xrightarrow{NH} NH_2$$

L11 ANSWER 69 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1990:199087 HCAPLUS

DOCUMENT NUMBER:

112:199087

TITLE:

Synthetic studies on physiologically active oligopeptides carrying isodityrosine units

AUTHOR(S):

Suzuki, Y.; Nishiyama, S.; Yamamura, S.

CORPORATE SOURCE: SOURCE:

Fac. Sci. Technol., Keio Univ., Yokohama, Japan Tennen Yuki Kagobutsu Toronkai Koen Yoshishu (1989),

31st, 190-6

CODEN: TYKYDS

DOCUMENT TYPE:

Journal

LANGUAGE:

Japanese

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

In connection with synthetic studies on physiol. active natural products AB carrying isodityrosine units, the total synthesis of OF4949-III (I), and K-13 (II) along with a synthetic study on vancomycin have been achieved. I and II have been successfully synthesized from the corresponding tripeptides. Interesting activities against gram pos. bacteria and the concepts of mol. recognition have evoked total synthesis of vancomycin. Methods used to construct the isotyrosine units in this antibiotic are discussed. I is an aminopeptidase B inhibitor and II is an inhibitor of angiotensin-converting enzyme.

IT 123418-38-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and oxidation-cyclization of)

123418-38-2 HCAPLUS RN

L-Tyrosine, N-[N-(N-acetyl-3,5-dichloro-L-tyrosyl)-O-(phenylmethyl)-L-CN tyrosyl]-3,5-diiodo-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HCAPLUS COPYRIGHT 2007 ACS on STN L11 ANSWER 70 OF 90

ACCESSION NUMBER:

1990:77969 HCAPLUS

DOCUMENT NUMBER:

112:77969

TITLE:

Preparation of antibiotic OF4949 analogs

INVENTOR(S):

Yamamura, Shosuke; Nishiyama, Shigeru; Suzuki,

Ryoichi; Katayama, Kaoru

PATENT ASSIGNEE(S):

SOURCE:

Takara Shuzo Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 10 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

LANGUAGE:

Patent

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01168698	A	19890704	JP 1987-325494	19871224

PRIORITY APPLN. INFO.: OTHER SOURCE(S):

JP 1987-325494

19871224

MARPAT 112:77969

GΙ

The title compds. [I; R1 = H, alkyl, acyl; R2 = H, OH, acyloxy; R3 = H, alkyl, protecting group; R4 = H, alkyl, protecting group; X = H2NCO, hydroxyalkyl, CO2H, alkoxycarbonyl; Y = H2NCO, hydroxyalkyl, (protected) CO2H; Z = H] (no data on pharmacol. activities), are prepared via catalytic reduction of I (Z = Br; R1-R4, X, and Y same as defined above). I (R1 = Me, X = H2NCO, R2 = R3 = H, R4 = Me3CO2C, Y = CO2Me, Z = Br) in MeOH was hydrogenolyzed over Pd black to give 96% I (R1 = Me, X = H2NCO, R2 = R3 = H, R4 = Me3CO2C, Y = CO2Me, Z = H).

IT 116523-66-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as intermediate for antibiotics)

RN 116523-66-1 HCAPLUS

CN L-Tyrosine, 3,5-dichloro-N-[N2-[3,5-dibromo-N-[(phenylmethoxy)carbonyl]-L-tyrosyl]-L-asparaginyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 71 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1989:595381 HCAPLUS

DOCUMENT NUMBER:

111:195381

TITLE: Biomimetic synthesis and stereostructure of K-13, a

novel inhibitor of angiotensin I converting enzyme

AUTHOR(S): Nishiyama, Shigeru; Suzuki, Yoshikazu; Yamamura,

Shosuke

CORPORATE SOURCE: Fac. Sci. Technol., Keio Univ., Yokohama, Japan

SOURCE: Tetrahedron Letters (1989), 30(3), 379-82

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 111:195381

AB A novel inhibitor of angiotensin I converting enzyme, K-13, has been synthesized from N-acetyl-3,5-dichloro-L-tyrosyl-O-benzyl-L-tyrosyl-3,5-diiodo-L-tyrosine Me ester, whose oxidation with thallium trinitrate as a key step followed by zinc reduction affords the corresponding di-Ph ether with the same heterocyclic skeleton as that of K-13, indicating that K-13 is

biosynthesized from three mols. of L-tyrosine.

IT 123418-33-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and oxidative cyclization of, with thallium trinitrate)

RN 123418-33-7 HCAPLUS

CN L-Tyrosine, 3,5-dibromo-N-[N-[3,5-dichloro-N-[(1,1-

dimethylethoxy)carbonyl]-L-tyrosyl]-O-(phenylmethyl)-L-tyrosyl]-, methyl
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 72 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1989:433726 HCAPLUS

DOCUMENT NUMBER: 111:33726

TITLE: Synthesis of tritium labeled derivatives of atrial

natriuretic peptide (ANP) and characterization of a

biologically active linear analog

AUTHOR(S): Pham, P.; Moustier, A. M.; Rousseau, B.; Beaucourt, J.

Ρ.

CORPORATE SOURCE: Serv. Mol. Marquees, CEN-Saclay, Gif-sur-Yvette,

91191, Fr.

SOURCE: Colloque INSERM (1989), 174 (Forum Pept., 2nd, 1988),

247-50

CODEN: CINMDE; ISSN: 0768-3154

DOCUMENT TYPE: Journal LANGUAGE: English

AB The syntheses of three tritiated rat ANP(1-28) derivs.: (4-3H-Phe8)ANP,

(4-3H-Phe26)ANP and (4-3H-Phe8, 3,5-3H2-Tyr28)ANP are described. The high specific activity peptides obtained are biol. fully active. A byproduct of the tritiation reaction, isolated by HPLC, was characterized as the linear analog of ANP: (Ala7,23)ANF. Preliminary results showed this peptide to be biol. active and suggest that the disulfide bridge of ANP is not essential for activity.

120642-96-8 IT

RL: RCT (Reactant); RACT (Reactant or reagent)

(tritiation of)

120642-96-8 HCAPLUS RN

Atrial natriuretic peptide-28 (rat), 26-(4-iodo-L-phenylalanine)- (9CI) CN (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

HCAPLUS COPYRIGHT 2007 ACS on STN L11 ANSWER 73 OF 90

ACCESSION NUMBER:

1989:232086 HCAPLUS

DOCUMENT NUMBER:

110:232086

TITLE:

Preparation of fluorine-containing atrial natriuretic

peptides as diuretics, natiuretics, and

antihypertensives

INVENTOR(S):

Rakhit, Sumanas; Goghari, Mahesh H.

PATENT ASSIGNEE(S):

Bio-Mega Inc., Can.

SOURCE:

Eur. Pat. Appl., 16 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAS	rent i	10.			KINI)	DATE		AP	PLICAT	ION N	10.			DATE
	28395	-			A2		1988		EP	1988-	10436	65			19880318
	28395 28395	56			A3 B1		1990 1992	1111							
т т	R: 85728	•	BE,	CH,	DE, A		FR, 1993	•	•	T, LI, 1988-	•	•	SE		19880314
	8813				A		1988			1988-					19880316
	60525				В2		1991								
	82295				T		1992			1988-					19880318
PRIORIT	01000 (APP		INFO	. :	A		1989	0110		1988- 1987-				A	19880325 19870325
									CA	1987-	54219	92		A	19870715
									EP	1988-	10436	65		Α	19880318

OTHER SOURCE(S):

MARPAT 110:232086

GΙ

AB The title compds. (I; R1, R4 = Phe, 2-, 4-, or 5-fluorophenylalanyl, trisfluorophenylalanyl; R2 = Gly, Ala, D-Ala; R3 = Ile, Met; R5 = Tyr, Null; Y = thioalkylcarbonyl, R6 - Cys; R6 = H-Ser-Ser, H-Arg-Ser, H-Arg-Arg-Ser-Ser, H-Leu-Arg-Arg-Ser-Ser, H-Ser-Leu-Arg-Arg-Ser-Ser; Z = OH, amino) useful as diuretics, natriuretics, and antihypertensives, were prepared I (Y = H-Ser-Leu-Arg-Ser-Ser-Cys, R1 = Phe, R2 = Gly, R3 = Met, R4 = 4-fluorophenylalanyl, R5 = Tyr, Z = OH), prepared on (α -phenylacetamido)benzylbenzhydrylamine resin, had a relative potency of 0.94 v.s. human atrial natriuretic peptide (hANP)in the rabbit aorta assay.

IT 120728-19-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as atrial natriuretic peptide analog)

RN 120728-19-0 HCAPLUS

CN Atrial natriuretic peptide-28 (human), 26-(4-fluoro-L-phenylalanine)(9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L11 ANSWER 74 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1989:213362 HCAPLUS

DOCUMENT NUMBER: 110:213362

TITLE: Preparation of cyclic peptides as anticancer agents

INVENTOR(S): Itokawa, Hideji; Watanabe, Kinzo; Kawaoto, Satoshi;

Inoue, Tsutomu

PATENT ASSIGNEE(S): Tobishi Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63203671 PRIORITY APPLN. INFO.:	A	19880823	JP 1987-34508 JP 1987-34508	19870219 19870219
OTHER SOURCE(S):	MARPAT	110:213362		

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB Title compds. I (R1 = H, alkyl; R2 = H, PhCH2O2C; R3 = H, (substituted) alkyl; X-X2 = halo) useful as intermediates for anticancer agents I (X-X2 = H), are prepared from tripeptides II (R4 = Me; X3 = halo) or their amino-or CO2R4-protected compds. via cyclic tripeptides III. Treatment of II (X-X3 = Br; R2 = PhCH2O2C; R3 = CH2CHMe2; R4 = Me) (preparation given) with thallium nitrate in MeOH and reduction of a product, after work-up and chromatog., with Zn in AcOH gave the Me ester of I (X-X2 = Br; R1 = H; R2 = PhCH2O2C; R3 = CH2CHMe2) (IV), which showed 6.0 μg/mL of IC50 against P388 mice leukemia cells. IV in MeOH was hydrogenated in the presence of KOAc and Pd/C to give I (X-X2 = H; R1 = H; R2 = PhCH2O2C; R3 = CH2CHMe2).

 IT 120377-40-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of cyclic peptide anticancer agent)

RN 120377-40-4 HCAPLUS

CN L-Tyrosine, 3,5-dibromo-N-[N-[3,5-dibromo-N-[(phenylmethoxy)carbonyl]-L-tyrosyl]-L-leucyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Br MeO O Ph O NH OH
Br OH
Br I-Bu O

L11 ANSWER 75 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1989:213307 HCAPLUS

DOCUMENT NUMBER: 110:213307

TITLE: Synthesis of tritium labelled atrial natriuretic

factor (ANF) derivatives and characterization of a

tritiated biologically active linear peptide

by-product

AUTHOR(S): Pham, P.; Moustier, A.; Rousseau, B.; Beaucourt, J. P.

CORPORATE SOURCE: Serv. Mol. Marquees, CEN-Saclay, Gif-sur-Yvette,

91191, Fr.

SOURCE: Journal of Labelled Compounds and Radiopharmaceuticals

(1988), 25(8), 901-11

CODEN: JLCRD4; ISSN: 0362-4803

DOCUMENT TYPE: Journal LANGUAGE: French

OTHER SOURCE(S): CASREACT 110:213307

AB (4-3H-Phe8)ANF, (4-3H-Phe26)ANF, and (4-3H-Phe8, 3,5-3H2-Tyr28)ANF were obtained by catalytic dehalogenation of iodinated precursors with 3H-Pd and exhibited high specific radioactivity. A radioactive byproduct isolated by HPLC was characterized as 3H-(Ala7,23)ANF and was biol.

active.

IT 120642-96-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(reductive tritiation of)

RN 120642-96-8 HCAPLUS

CN Atrial natriuretic peptide-28 (rat), 26-(4-iodo-L-phenylalanine)- (9CI)

(CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L11 ANSWER 76 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1988:611493 HCAPLUS

DOCUMENT NUMBER: 109:211493

TITLE: Preparation of somatostatin analogs as drugs

INVENTOR(S): Coy, David H.; Murphy, William A.; Heiman, Mark L.

PATENT ASSIGNEE(S): Tulane Educational Fund, Inc., USA

SOURCE: Eur. Pat. Appl., 5 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

Eng I

PATENT INFORMATION:

PAT	ENT N	10.			KINI)	DATE		1	APE	PLICATION NO.		_	DATE
	27741				A2	-	1988		I	ΞP	1987-310487		_	19871127
EP	27741	. 9			A3		1990							
EP	27741	- 9			В1		1997							
	R:	AT,	BE,	CH,	DE,	ES	, FR,	GB,	GR,	IJ	r, LI, LU, NL,	SE		
JP	63196	5599			Α		1988	0815		JP	1987-295911			19871124
JP	25682	228			B2		1996	1225						
AT	15461	L2			T		1997	0715	7	TP	1987-310487			19871127
ES	21045	551			Т3		1997	1016]	ES	1987-310487			19871127
US	48533	371			Α		1989	0801	Ţ	JS	1988-209883			19880622
	49046				A		1990	0227	Ţ	JS	1989-312138			19890217
PRIORITY			TNFO	. :					τ	IJS	1987-10349		Α	19870203
I,IXIOIXII				• •					Ţ	IJS	1985-775488		A2	19850912
									ī	US	1986-875266		A2	19860617
											1987-70400		A2	19870707
											1988-209883		A3	19880622

OTHER SOURCE(S):

MARPAT 109:211493

AB R-Al-Cys-Tyr-D-Trp-Lys-A2-Cys-A3 (I; R = H, Cl-20 alkyl; Al = D- β -Nal, D-Trp, D-X-Phe; A2 = α -aminobutyryl; A3 = Thr-NH2, Thr-OH, Nal-NH2, Trp-NH2; X = H, OH, Me, halo) and pharmaceutically acceptable salts thereof were prepared for reducing growth hormone, insulin, glucagon, and/or pancreatic exocrine secretion. D- β -Naphthylalanyl-Cys-Tyr-D-Trp-Lys-Abu-Cys-Thr-NH2 was prepared by the solid-phase method using BOC-protected amino acids on benzhydrylamine resin.

IT 117382-74-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as somatostatin analog)

RN 117382-74-8 HCAPLUS

CN L-Threoninamide, 4-chloro-D-phenylalanyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-2-aminobutanoyl-L-cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

GΙ

L11 ANSWER 77 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1988:529660 HCAPLUS

DOCUMENT NUMBER: 109:129660

TITLE: Total synthesis of OF4949-III, a novel inhibitor of

aminopeptidase B

AUTHOR(S): Nishiyama, Shigeru; Suzuki, Yoshikazu; Yamamura,

Shosuke

CORPORATE SOURCE: Fac. Sci. Technol., Keio Univ., Hiyoshi, Japan

SOURCE: Tetrahedron Letters (1988), 29(5), 559-62

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 109:129660

HO2C H NH2 H O OME I

AB OF4949-III (I) has been synthesized from N-benzyloxycarbonyl-3,5-dibromo-L-tyrosyl-L-asparaginyl-3,4-dichloro-L-tyrosine Me ester, whose oxidation with

Updated Search

thallium trinitrate as a key step followed by zinc reduction affords the corresponding di-Ph ether with the same heterocyclic skeleton as that of ${\tt I.}$

IT 116523-66-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and oxidative cyclization of)

RN 116523-66-1 HCAPLUS

CN L-Tyrosine, 3,5-dichloro-N-[N2-[3,5-dibromo-N-[(phenylmethoxy)carbonyl]-L-tyrosyl]-L-asparaginyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 78 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1988:132324 HCAPLUS

DOCUMENT NUMBER:

108:132324

TITLE:

Preparation of somatostatin analogs as drugs

INVENTOR(S):

Coy, David H.; Murphy, William A.; Heiman, Mark L.

PATENT ASSIGNEE(S):

Tulane Educational Fund, Inc., USA

SOURCE:

Eur. Pat. Appl., 13 pp. CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

5

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	rent no.	· 		KINI)	DATE		API	PLICATION NO	 DATE
	214872 214872	,		A2 A3		1987031 1989090		EP	1986-307044	19860912
13.	R: AT,	BE,	CH,		FR		-	LI, LU	J, NL, SE	-
ΑU	8662076	•	•	A		1987033	•	•	1986-62076	19860829
ΑU	602657			В2		1990102	25		1	
DK	8604351			Α		1987033	. 3	DK	1986-4351	19860911
DK	172212			B1		1998010)5			
FI	8603680			Α		1987033	. 3	FI	1986-3680	19860911
FI	89062			В		1993043	30			
FI	89062			С		1993083	.0			
NO	8603638			Α		1987033	. 3	ИО	1986-3638	19860911
NO	174809			В		1994040)5			
NO	174809			С		1994073	. 3			
ES	2003739			Α6		1988111	. 6	ES	1986-1814	19860911

```
19860911
                                            CA 1986-517969
    CA 1338301
                         С
                                19960430
                                            JP 1986-215581
                                                                    19860912
                                19870528
    JP 62116594
                         Α
                         В2
                                19961211
    JP 2563278
                                                                   19880622
                                            US 1988-209883
                                19890801
    US 4853371
                          Α
                                            US 1989-312138
                                                                   19890217
    US 4904642
                          Α
                                19900227
PRIORITY APPLN. INFO.:
                                            US 1985-775488
                                                                A 19850912
                                                                A 19860617
                                            US 1986-875266
                                                                A2 19870203
                                            US 1987-10349
                                                                A2 19870707
                                            US 1987-70400
                                                                A3 19880622
                                            US 1988-209883
```

GI

A1A2NCHA3CO-Cys-A4-D-Trp-Lys-A5-Cys-A7-NH2 I

The title compds. [I; A1, A2 = H, alkyl, phenylalkyl, acyl, alkoxycarbonyl; A3 = CHA6 (A6 = pentafluorophenyl, naphthyl, pyridyl, phenyl); A4 = o-, m-, or p-substituted X-Phe (X = H, halo, NO2, OH, NH2, alkyl), pentafluoro-Phe, β -naphthylalanyl (β -Nal); A5 = Thr, Ser, Phe, Val, α -aminoisobutyric acid residue, Ile; A7 = Thr, Trp, β -Nal], somatostatin analogs, and their pharmaceutically acceptable salts are prepared via the solid-phase method. H-D- β -Nal-Cys-Tyr-D-Trp-Lys-Val-Cys-Thr-NH2 was prepared by peptide coupling of the appropriate protected amino acids on a benzhydrylamine resin, followed by deprotection and resin cleavage using HF/anisole.

IT 113294-83-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, for reduction of growth hormone, insulin, glucagon, or pancreatic exocrine secretion)

RN 113294-83-0 HCAPLUS

CN L-Threoninamide, 2,3,4,5,6-pentafluoro-D-phenylalanyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-L-cysteinyl- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

L11 ANSWER 79 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1987:214405 HCAPLUS

DOCUMENT NUMBER:

106:214405

TITLE:

Somatostatin octapeptide analogs with growth hormone

release-inhibiting activity

INVENTOR(S): Coy, David H.; Murphy, William A.; Heiman, Mark L.

PATENT ASSIGNEE(S): Tulane Educational Fund, Inc., USA

SOURCE: Eur. Pat. Appl., 12 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 215171	A2	19870325	EP 1985-308770	19851202
EP 215171	A3	19881109		
EP 215171	B1	19901122		
R: AT, BE, CH,	DE, FR	, GB, IT, I	LI, LU, NL, SE	
JP 62061997	Α	19870318	JP 1985-270577	19851130
JP 08005913	B [°]	19960124		
AT 58542	T	19901215	AT 1985-308770	19851202
US 4853371	Α	19890801	US 1988-209883	19880622
US 4904642	Α	19900227	US 1989-312138	19890217
PRIORITY APPLN. INFO.:			US 1985-775488	A 19850912
			EP 1985-308770	A 19851202
1			US 1986-875266	A2 19860617
	-		US 1987-10349	A2 19870203
			US 1987-70400	A2 19870707
			US 1988-209883	A3 19880622
GI				

RR1NCHR2CO-Cys-Z-D-Trp-Lys-Z1-Cys-Thr-NH2

The title peptides [I; R, R1 = H, (phenyl)alkyl, COR3, CO2R4; R2 = CH2R5; R3 = alkyl, alkenyl, alkynyl, Ph, naphthyl, phenylalkyl; R4 = (phenyl)alkyl; R5 = pentafluoronaphthyl, pyridyl, Ph, halophenyl, NO2, NH2, OH, alkyl, alkoxy; Z = (un)substituted Ph, pentafluoroalanine, naphthylalanine; Z1 = Thr, Ser, Phe, Val, Ile] or their pharmaceutically acceptable salts, inhibiting the secretion of growth hormone, insulin, and glucagon, were prepared by solid-phase synthesis. I (RR1NCHR2 = N-tert-butoxycarbonyl-D-p-Cl-Phe, Z = Tyr, Z1 = Val) is prepared by using N-tert-butoxycarbonyl-O-benzylthreonine bound to a benzhydrylamine resin.

II 108335-13-3DP, benzhydrylamine resin-bound

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and deprotection and resin cleavage of)

RN 108335-13-3 HCAPLUS

CN L-Threoninamide, 4-chloro-N-[(1,1-dimethylethoxy)carbonyl]-D-phenylalanyl-S-[(4-methylphenyl)methyl]-L-cysteinyl-L-tyrosyl-D-tryptophyl-N6[(phenylmethoxy)carbonyl]-L-lysyl-L-valyl-S-[(4-methylphenyl)methyl]-L-cysteinyl-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

PAGE 1-B

SOURCE:

PAGE 2-A

L11 ANSWER 80 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1987:113675 HCAPLUS

DOCUMENT NUMBER: 106:113675

TITLE: Structure-activity studies of antagonists of

luteinizing hormone-releasing hormone with emphasis on

the amino-terminal region

AUTHOR(S): Hocart, Simon J.; Nekola, Mary V.; Coy, David H. CORPORATE SOURCE: Sch. Med., Tulane Univ., New Orleans, LA, 70112, USA

Journal of Medicinal Chemistry (1987), 30(4), 735-9

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal LANGUAGE: English

The structure-activity relations of the hydrophobic N-terminal region of the antagonist [N-acetyl-D-naphthylalanine, D-p-chlorophenylalanine2, D-Trp3, D-Arg6, Phe7, D-Ala10]-LH-RH [96394-82-0] was investigated by the incorporation of a variety of amino acids with emphasis on positions 1, 2, and 3. The analogs were prepared by routine solid-phase peptide synthesis. All purifications were performed in 2 stages: gel permeation chromatog. followed by preparative, reversed-phase, HPLC. The analogs were assayed in a standard rat antiovulatory assay with a 40% propane-1,2-diol-saline vehicle. A simplified antagonist was developed that allowed the removal of the custom-synthesized D-p-chlorophenylalanine and the labile D-tryptophan while retaining antiovulatory potency. [N-Acetyl-D-naphthylamine1,D-Phe2,3,D-Arg6,Phe7,D-Ala10]-LH-RH [106881-55-4] caused a 56% blockade of ovulation at the 500 ng dose and was approx. equipotent with the parent analog in thisa system.

IT 106881-64-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(ovulation inhibition by, structure in relation to)

RN 106881-64-5 HCAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-3-(2-naphthalenyl)-D-alanyl-2,3,4,5,6-pentafluoro-D-phenylalanyl-L-seryl-L-tyrosyl-D-arginyl-L-phenylalanyl-L-arginyl-L-prolyl- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

L11 ANSWER 81 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1987:81074 HCAPLUS

DOCUMENT NUMBER: 106:81074

TITLE: Mechanism studies of Coomassie Blue and silver

staining of proteins

AUTHOR(S): De Moreno, Miriam R.; Smith, Jean F.; Smith, Robert V. CORPORATE SOURCE: Coll. Pharm., Univ. Texas, Austin, TX, 78712-1074, USA

SOURCE: Journal of Pharmaceutical Sciences (1986), 75(9),

907-11

CODEN: JPMSAE; ISSN: 0022-3549

DOCUMENT TYPE: Journal LANGUAGE: English

A relatively high complexation affinity has been found for Coomassie Blue AΒ G-250 and the following amino acids: arginine; tyrosine; lysine; and histidine. A linear relationship was observed between log molar absorptivity and log mol. weight of 52 and 69 proteins, polypeptides, and di- and tripeptides that were allowed to react with Coomassie Blue G-250 in solution The solution complexation results were used in a study of the detection of the following model proteins: bovine serum albumin, lysozyme, recombinant DNA derived human insulin, and calmodulin. Interactions between Coomassie Blue stained gels and Ag detection reagents were determined and used as the basis for studies of enhanced sensitivity of detection of electrophoretically developed proteins. Sensitivity enhancements of up to 8-fold were observed when various sulfonic acid dye complexed proteins were detected with Ag reagents vs. the use of Ag reagents alone. A site-directed nucleation of Aq caused by the protein complexed sulfonic acid dyes is proposed as a mechanism for the observed enhancements.

IT 106814-81-7

RL: ANST (Analytical study)

(complexation of, with Coomassie Blue G-250, in solution)

RN 106814-81-7 HCAPLUS

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-O-(1-oxohexadecyl)-D-seryl-L-tyrosyl-D-arginyl-L-lysyl-L-arginyl-L-prolyl- (9CI) (CA INDEX NAME)

PAGE 1-B

L11 ANSWER 82 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1986:627314 HCAPLUS

DOCUMENT NUMBER:

INVENTOR(S):

105:227314

TITLE:

Analgesic and antipsychotic penta- and heptapeptides Cervini, Maria Antonietta; De Castiglione, Roberto;

Mena, Renzo; Perseo, Giuseppe; Rossi, Alessandro Farmitalia Carlo Erba S.p.A., Italy

PATENT ASSIGNEE(S):

SOURCE:

Ger. Offen.

CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
DE 3537405	A1	19860430	DE 1985-3537405		19851021
GB 2166139	Α	19860430	GB 1984-27027		19841025
GB 2166139	В	19880622			
JP 61103898	Α	19860522	JP 1985-233569		19851021
BE 903493	A1	19860422	BE 1985-215758		19851022
PRIORITY APPLN. INFO.:			GB 1984-27027	Α	19841025
OTHER SOURCE(S):	CASREA	ACT 105:2273	14; MARPAT 105:227314	1	
GI					

AB The title peptides [I; X = H, C(:NH)NH2, amino protective group; Y = H, phenolic OH protective group; A = D-Ala, D-Val, D-Ile, D-Leu, D-Pro, D-Ser, D-Thr, D-Met, D-Met(O), D-Arg, D-Lys, D-Orn; B = Trp, Phg (phenylglycine), p-(un)substituted Phe; C = Phg, Npg (neopentylglycine), Gly, Sar (sarcosine), D- or L-Ala, Val, Ile, Leu, Met, Ser, Thr, Phe, Trp,

Updated Search

Tyr, etc.; E = D- or L-Tyr, Ser, Thr, Met, Met(O), Leu, Nle, Ape (2-aminovaleric acid), p-(un)substituted Phe; F = Pro-Ser, bond] were prepared as analgesics and antipsychotics. Thus, Boc-Phe-OH was coupled with D-Ala-OBzl.HCl to give Boc-Phe-D-Ala-OBzl, which was converted in 8 steps to H-Tyr-D-Ala-Phe-D-Ala-Tyr-Pro-Ser-NH2.HCl (II). In the rat tail-flick test II had an ED50 of 1.3 mg/kg s.c.

IT 105412-73-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as analgesic and antipsychotic)

RN 105412-73-5 HCAPLUS

CN Dermorphin, 3-(4-chloro-L-phenylalanine)-4-D-alanine- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

L11 ANSWER 83 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1986:472825 HCAPLUS

DOCUMENT NUMBER:

105:72825

TITLE:

Synthesis and biological activity of highly potent

octapeptide analogs of somatostatin

AUTHOR(S):

Cai, R. Z.; Szoke, B.; Lu, R.; Fu, D.; Redding, T. W.;

Schally, A. V.

CORPORATE SOURCE:

SOURCE:

Sch. Med., Tulane Univ., New Orleans, LA, 70146, USA Proceedings of the National Academy of Sciences of the

United States of America (1986), 83(6), 1896-900

CODEN: PNASA6; ISSN: 0027-8424

DOCUMENT TYPE: LANGUAGE: Journal English

In the search for selective and long-acting analogs of somatostatin, nearly 200 compds. were synthesized by solid-phase methods, purified, and tested biol. Among these octapeptides, some contained N-terminal D-Phe, Ac-D-Phe, or AcPhe followed by hexapeptide sequences Cys-Phe-D-Trp-Lys-Thr-Cys or Cys-Tyr-D-Trp-Lys-Val-Cys and Thr-NH2 or Trp-NH2 as C-terminal residues. (Cyclo 2-7)-D-Phe-Cys-Try-D-Trop-Lys-Val-Cys-Thr-NH2 (I) [99660-13-6] and (cyclo 2-7)-D-Phe-Cys-Tyr-D-Trp-Lys-Val-Cys-Trp-NH2 (II) [103222-11-3] were $\overline{177}$ times and 113 times more potent, resp., than somatostatin in tests for inhibition of growth hormone [9002-72-6] release. These 2 octapeptides containing tyrosine and valine in positions 3 and 6, resp., were more active and more selective than their Ph-3 and Thr-6 counterparts, (cyclo 2-7)-D-Phe-Cys-Phe-D-Trp-Lys-thr-Cys-Thr-NH2 [99685-66-2] and (cyclo 2-7)-D-Phe-Cys-Phe-D-Trp-Lys-Thr-Cys-Trp-NH2[103222-10-2]. I was also .apprx.6 times more potent than its L-Trp-4 diastereoisomer [103222-07-7]. The analogs I, and II showed a prolonged duration of action and inhibited growth hormone release for at least 3 h. Analogs of both Phe-3/Thr-6 and Tyr-3/Val-6 classes also suppressed the release of insulin [9004-10-8] and glucagon [9007-92-5] in rats and pentagastrin-induced secretion of gastric acid in dogs, but their potencies in these tests were much smaller than the growth-hormone-release inhibitory activity. Some of these analogs possessed antitumor activities as shown by the inhibition of growth of animal models of prostate, mammary, and ductal pancreatic tumors.

IT 103548-89-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study) (growth hormone secretion inhibition by, mol. structure in relation to)

RN 103548-89-6 HCAPLUS

CN L-Threoninamide, 4-chloro-D-phenylalanyl-L-cysteinyl-L-tyrosyl-D-tryptophyl-L-lysyl-L-valyl-L-cysteinyl- (9CI) (CA INDEX NAME)

PAGE 1-B

L11 ANSWER 84 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1985:572200 HCAPLUS

DOCUMENT NUMBER:

103:172200

TITLE:

Radioimmunoassay of cholecystokinin: comparison of

different tracers

AUTHOR(S):

Cantor, Per; Rehfeld, Jens F.

CORPORATE SOURCE:

Dep. Clin. Chem., Univ. Copenhagen, Copenhagen,

DK-2100, Den.

SOURCE:

Journal of Immunological Methods (1985), 82(1), 47-55

CODEN: JIMMBG; ISSN: 0022-1759

DOCUMENT TYPE:

Journal English

LANGUAGE:
AB The

The binding of cholecystokinin (CCK) [9011-97-6] antibodies with different sequence-specificities to Bolton-Hunter labeled CCK-33 (125I-BH-CCK-33 [98823-90-6]), CCK-8 (125I-BH-CCK-8 [79672-09-6])

]) and chloramine-T iodinated gastrin-17 (125I-gastrin-17 [59240-67-4]) was compared. The antibody binding was expressed as the final antiserum dilution (titer) and the effective equilibrium constant of the binding.

Antibodies

specific for the C- or the N-terminal sequence of CCK-8 all bound well to 125I-BH-CCK-8. In contrast, some of the antibodies directed against the common C-terminus of CCK and gastrin displayed remarkably low binding of 125I-gastrin-17 or 125I-BH-CCK-33, whereas all antisera specific for the N-terminal or midsequence of CCK-33 bound 125I-BH-CCK-33 well. The lower binding of 125I-BH-CCK-33 to some C-terminal antibodies raised against gastrin may be due to a C-terminal conformation of CCK-33 different from that of gastrin. In accord with the high specific radioactivity of 125I-BH-CCK-8, the best sensitivity of CCK RIA was obtained with the CCK-8 tracer.

IT 79672-09-6

RL: BIOL (Biological study)

(as tracer, for radioimmunoassay of cholecystokinin peptides)

RN 79672-09-6 HCAPLUS

CN Cholecystokinin-8 (swine), N-[3-[4-hydroxy-3-(iodo-125I)phenyl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

L11 ANSWER 85 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1985:7091 HCAPLUS

DOCUMENT NUMBER:

102:7091

TITLE:

Renin inhibitors

INVENTOR(S):

Burton, James

PATENT ASSIGNEE(S):

General Hospital Corp., USA

SOURCE:

U.S., 7 pp. CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE:

English 1

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE				
	 А	19840619	US 1983-497707	19830524				
PRIORITY APPLN. INFO.: OTHER SOURCE(S):	MARPAT	102:7091	US 1983-497707	19830524				
-			3 = Phe, Phe(4-Cl), Phe Fyr(o-Me); X2 = Val, The					
threo- α -amino-3-chlorobutyric acid residue; X4 = Lys, Arg; R = NH2, NHR1 (R1 = C1-4 alkyl), OH, OR2 (R2 = C1-4 alkyl), OM (M = cation)] were								
prepared as renin	inhibito	rs and the	y can be used for the H-Phe(4-Cl)-Phe-Val-T	treatment of				
was prepared by th	ne solid-	phase metho	od on a benzhydrylamin					
μm inhibited humar IT 91223-94-8P		_						
RL: SPN (Synthetic (preparation ar								

RN 91223-94-8 HCAPLUS

CN L-Lysinamide, L-phenylalanyl-4-iodo-L-phenylalanyl-L-valyl-L-tyrosyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 86 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1984:552320 HCAPLUS

DOCUMENT NUMBER:

101:152320

TITLE:

Synthesis and characterization of an iodinated derivate of the cholecystokinin octapeptide for

receptor binding studies

AUTHOR(S):

Jamieson, James D.; Rosenzweig, Steven A.; Miller,

Laurence J.

CORPORATE SOURCE:

Sch. Med., Yale Univ., New Haven, CT, 06510, USA

SOURCE:

Biol. Act. Princ. Nat. Prod. (1984), 164-9.

Editor(s): Voelter, Wolfgang; Daves, Doyle G. Thieme:

Stuttgart, Fed. Rep. Ger.

CODEN: 51TMAX

DOCUMENT TYPE:

Conference

LANGUAGE:

English

Cholecystokinin octapeptide (CCK-8), H-Asp-Tyr(SO3H)-Met-Gly-Trp-Met-Asp-Phe-NH2, was treated with 125I-labeled N-hydroxysuccinimidyl 3-(4-hydroxyphenyl)propionate (125I-Bolton-Hunter reagent) in DMF containing Et3N to give $N\alpha$ -(125I-desaminotyrosyl)-CCK-8 (I). Binding studies of I with rat pancreatic acini showed that interaction with its receptor was rapid, reversible, saturable, and sp. in that only structural analogs of CCK and not unrelated gastrointestinal peptide hormones inhibited binding.

79672-09-6P ΙT

> RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and receptor-binding studies of)

RN 79672-09-6 HCAPLUS

Cholecystokinin-8 (swine), N-[3-[4-hydroxy-3-(iodo-125I)phenyl]-1-CN (CA INDEX NAME) oxopropyl]- (9CI)

PAGE 1-B

L11 ANSWER 87 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1984:511385 HCAPLUS

DOCUMENT NUMBER:

101:111385

TITLE:

Analogs of the luteinizing hormone releasing hormone having the Azagly10 moiety with antiovulatory activity

AUTHOR(S): Folkers, Karl; Bowers, Cyril Y.; Stepinski, Janusz;

Plucinski, Tomasz; Sakagami, Masanori; Kubiak, Teresa

CORPORATE SOURCE: Inst. Biomed. Res., Univ. Texas, Austin, TX, 78712,

USA

SOURCE: Zeitschrift fuer Naturforschung, Teil B: Anorganische

Chemie, Organische Chemie (1984), 39B(4), 528-32

CODEN: ZNBAD2; ISSN: 0340-5087

DOCUMENT TYPE: Journal LANGUAGE: English

Twenty-four analogs of LH-releasing hormone (LHRH) were synthesized and assayed for antiovulatory activity in rats. [N-Ac-3 Δ -Prol, pF-D-Phe2, D-Trp3,6, Azagly10]-LHRH (I, Azagly = NHNHCO) completely inhibited ovulation at 6 μ g and was the most potent of the 24; I is a relatively potent antagonist. The Azagly and Ac-NHNH and D-Ala moieties in position 10, and D-Arg in position 6, and diverse substitutions in position 1 were emphasized. D-Arg6 was inferior to D-Trp6, and pCl-D-Phe6 appeared superior to D-Trp6. D-Trp3,6 was superior to D-2-Nal3,6 (D-2-Nal = β -(2-naphthyl-D-alanine residue) and D-His3,6.

IT 91676-08-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antiovulatory activity of)

RN 91676-08-3 HCAPLUS

CN D-Alaninamide, 1-acetyl-3,4-didehydro-L-prolyl-4-chloro-D-phenylalanyl-4-chloro-D-phenylalanyl-L-seryl-L-tyrosyl-4-chloro-D-phenylalanyl-L-leucyl-L-arginyl-L-prolyl- (9CI) (CA INDEX NAME)

CN Caerulein, 1-de(5-oxo-L-proline)-2-de-L-glutamine-3-[N-[3-(4-hydroxy-3-iodophenyl)-1-oxopropyl]-L-aspartic acid]-5-L-methionine- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

L11 ANSWER 90 OF 90 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1976:456594 HCAPLUS

DOCUMENT NUMBER: 85:56594

TITLE: Studies on the action mechanism of the antihemostatic

effect of iodopeptides

AUTHOR(S): Golub, A. L.; Mende, T. J.

CORPORATE SOURCE: Sch. Med., Univ. Miami, Miami, FL, USA

SOURCE: Thrombosis and Haemostasis (1976), 35(2), 437-46

CODEN: THHADQ; ISSN: 0340-6245

DOCUMENT TYPE: Journal LANGUAGE: English

A synthetic iodopeptide having a glutamic acid-diiodotyrosine molar ratio AB of 1:1 (diiodotyrosine-glutamic acid copolymer [59827-23-5]) was an effective anticoagulant both in vivo and in vitro. Contrasted with heparin [9005-49-6] the following general conclusions may be made regarding its action. The iodopeptide did not act through the inactivation of thrombin in plasma. Iodopeptide did interact with fibrinogen to form a complex which, in vitro, was not soluble in buffered saline at physiol. pH. At pH 8, iodopeptide interacted with fibrinogen to form a soluble complex in the presence of 0.9% NaCl that was not coaguable either by thrombin or Crotalus venom enzymes. All the available evidence indicates that the fibrinogen to fibrin conversion was not inhibited under these conditions, but that fibrin, once formed, was not able to polymerize due to interference by iodopeptide. Similar results were obtained with heparin in vitro with thrombin-fibrinogen mixts. in the absence of NaCl. Studies with Russell's viper venom in native PRP strongly suggest that the iodopeptide also interferes with processes in the early coagulation pathway associated with prothrombin activation.

IT 59884-15-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(anticoagulant activity of)

RN 59884-15-0 HCAPLUS

CN L-Glutamic acid, N-[N-[N-[N-[N-[N-[N-[N-[N-L- α -glutamyl-3,5-diiodo-L-tyrosyl]-L- α -glutamyl]-3,5-diiodo-L-tyrosyl]-L- α -glutamyl]-3,5-diiodo-L-tyrosyl]-L- α -glutamyl]-3,5-diiodo-L-tyrosyl]-L- α -glutamyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B